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Willms et al.

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[45] **Date of Patent: Apr. 7, 1992**

[54] **N-SULTAMSULFONAMIDES**

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[21] Appl. No.: **749,158**

[22] Filed: **Aug. 23, 1991**

Related U.S. Application Data

[62] Division of Ser. No. 493,946, Mar. 15, 1990, Pat. No. 5,073,185, which is a division of Ser. No. 263,817, Oct. 28, 1988, Pat. No. 4,925,480.

[30] **Foreign Application Priority Data**

Oct. 31, 1987 [DE] Fed. Rep. of Germany 3736959

[51] **Int. Cl.**^{5} **C07D 275/02**; C07D 279/02; C07D 285/36; C07D 285/38

[52] **U.S. Cl.** **544/224**; 544/239; 544/240; 544/241; 540/467; 540/544; 548/951; 548/213; 548/214

[58] **Field of Search** 548/951, 213, 214; 544/224, 239, 240, 241; 540/467, 544

[56] **References Cited**

U.S. PATENT DOCUMENTS

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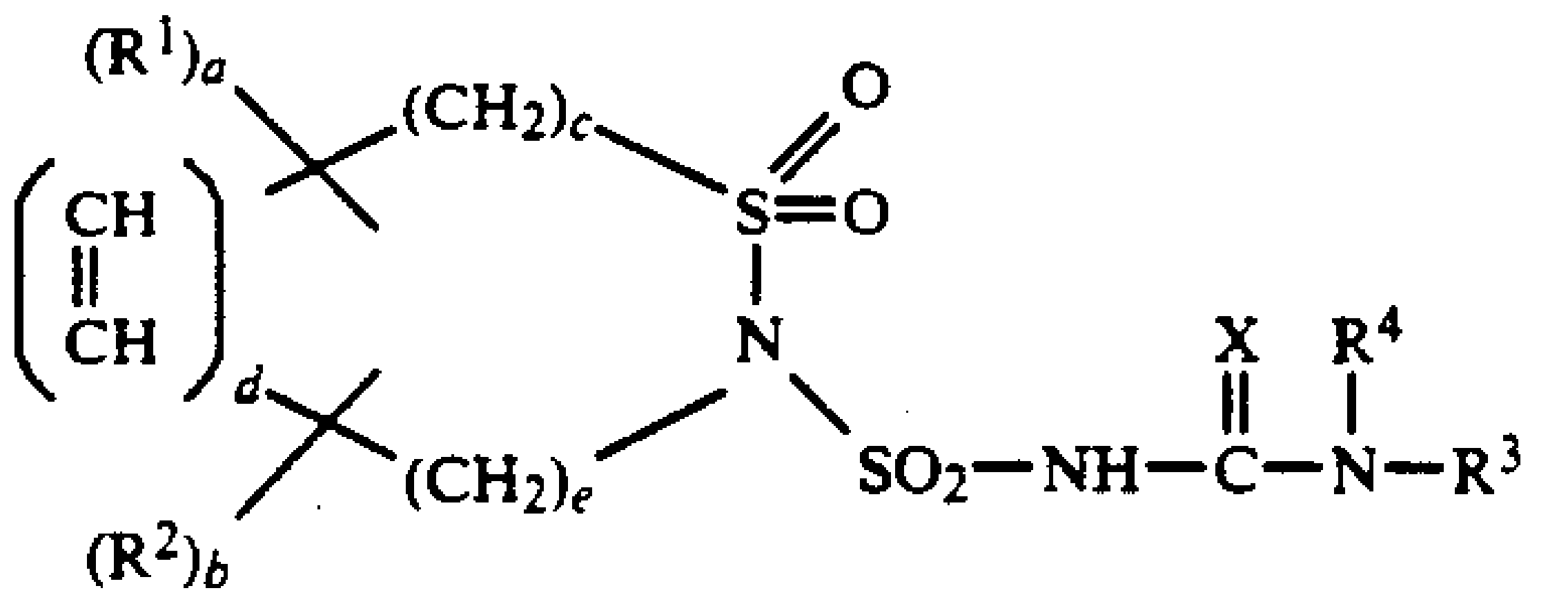
Primary Examiner—John M. Ford

Attorney, Agent, or Firm—Curtis, Morris & Safford

[57] **ABSTRACT**

Heterocyclically substituted N-sultamsulfonamides, processes for their preparation and their use as herbicides and plant growth regulators.

Compounds of the formula I or their salts



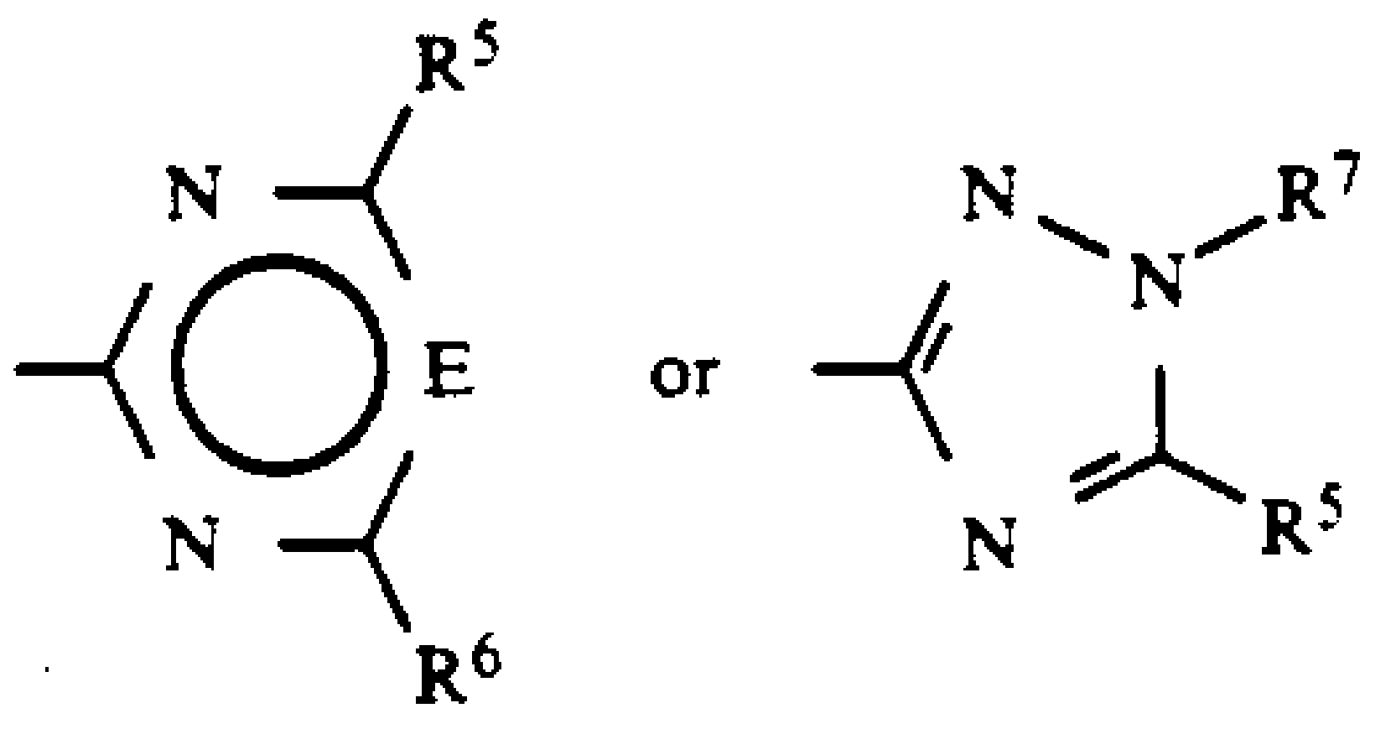
(I)

wherein

R^1 and R^2 denote H, halogen, alkyl, alkenyl, alkynyl or alkoxy, which can be substituted, or denote —$(CH_2)_n$—$COOR^{11}$;

R^3 denotes H, alkyl, alkenyl or alkynyl;

R^4 denotes a heterocyclic radical of the formulae



where E is CH or N; X is O or S and a, b, c, d, e in each case denote 0, 1 or 2, with the proviso that $c+d+e \geqq 2$, possess excellent herbicidal and plant growth-regulating properties.

2 Claims, No Drawings

N-SULTAMSULFONAMIDES

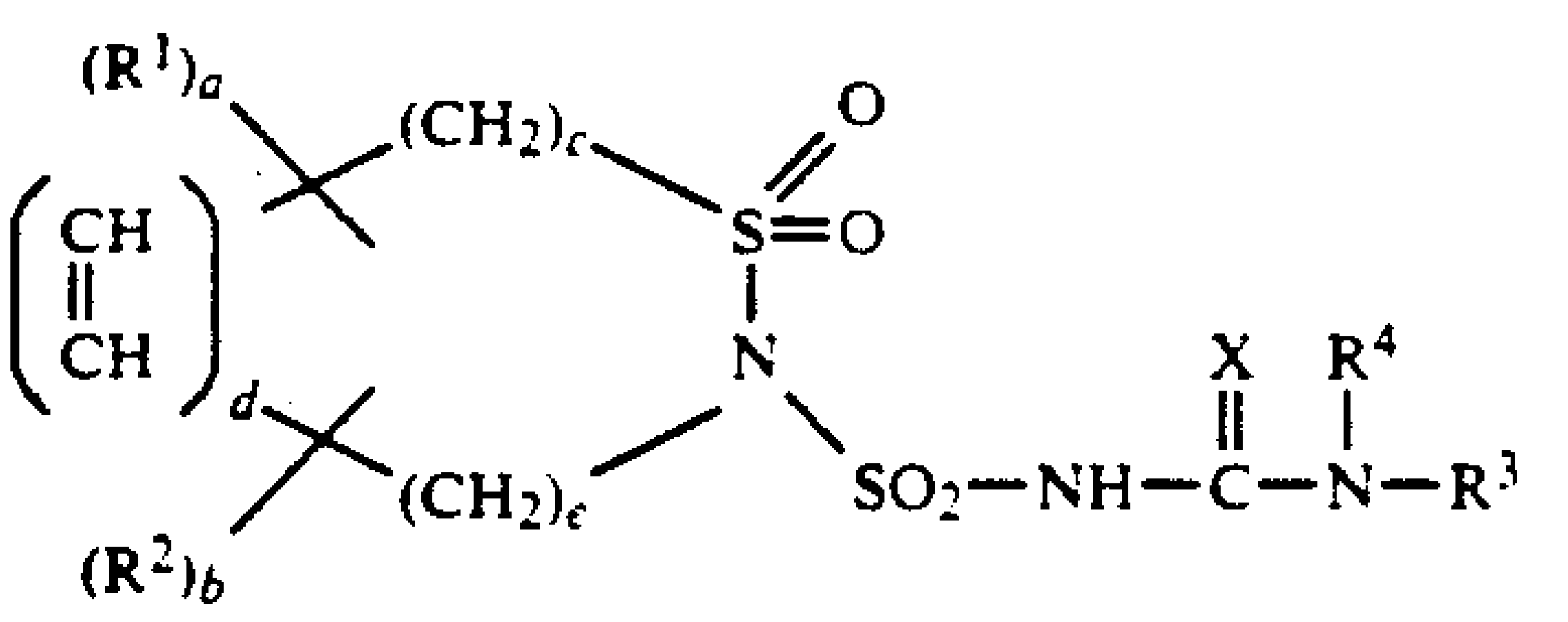
This application is a division of application Ser. No. 07/493,946, filed Mar. 15, 1990, now U.S. Pat. No. 5,073,185, which is a division of application Ser. No. 07/263,817, filed Oct. 28, 1988, now U.S. Pat. No. 4,925,480.

It is known that heterocyclically substituted sulfonylureas show herbicidal and plant growth-regulating properties (for example EP-A-131,258).

However, they show disadvantages upon use, such as, for example, high persistence or insufficient selectivity.

It has now been found that heterocyclically substituted N-sultamsulfonamides are particularly suitable as herbicides and plant growth regulators.

The present invention thus relates to compounds of the formula (I) or their salts

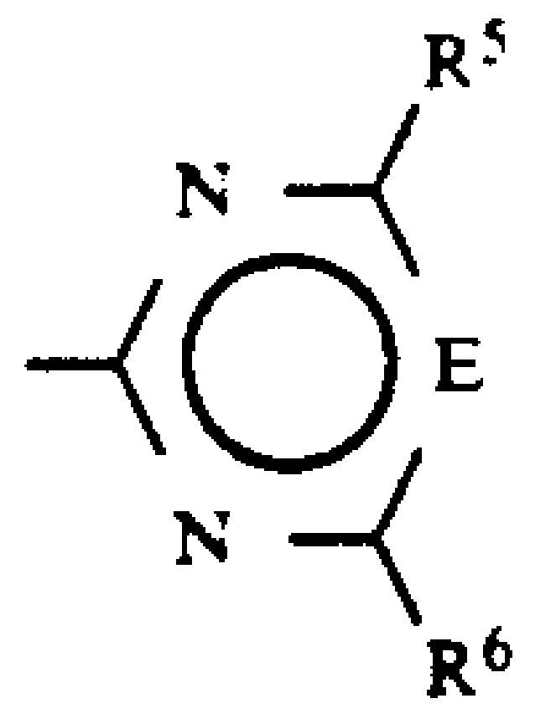
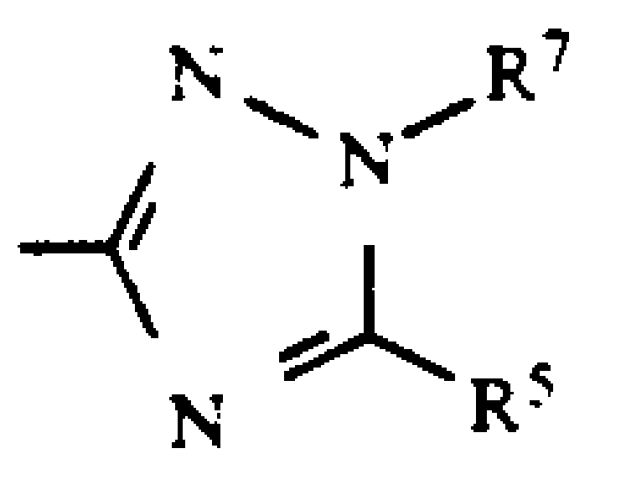

(I)

in which

R^1 and R^2 independently of one another denote hydrogen, halogen, $(C_1$-$C_8)$-alkyl, $(C_2$-$C_8)$-alkenyl, $(C_2$-$C_8)$alkynyl or $(C_1$-$C_8)$-alkoxy, it being possible for these radicals to be optionally substituted once or more than once by halogen or to be substituted once or twice by $(C_1$-$C_4)$-alkoxy or $(C_1$-$C_4)$-alkylthio; or denotes $—(CH_2)_n—COOR^{11}$, where n denotes a number between 0 and 2,

R^3 denotes hydrogen; $(C_1$-$C_8)$-alkyl; $(C_2$-$C_8)$-alkenyl or $(C_2$-$C_8)$-alkynyl,

R^4 denotes a heterocyclic radical of the formulae


or


where

E is CH or N,

R^5 and R^6 independently of one another denote hydrogen; halogen; $(C_1$-$C_4)$-alkyl or $(C_1$-$C_4)$-alkoxy, both of which can optionally be halogenated once or more than once; di-[$(C_1$-C_4-)-alkoxy]-$(C_1$-$C_2)$-alkyl; $(C_3$-$C_6)$-cycloalkyl, $—OCHR^8COOR^9$; $—NR^9R^{10}$ or $(C_1$-$C_4)$-alkylthio,

R^7 denotes $(C_1$-$C_4)$-alkyl,

R^9 and R^{10} independently of one another denote hydrogen; $(C_1$-$C_4)$-alkyl; $(C_2$-$C_4)$-alkenyl or $(C_2$-$C_4)$-alkynyl

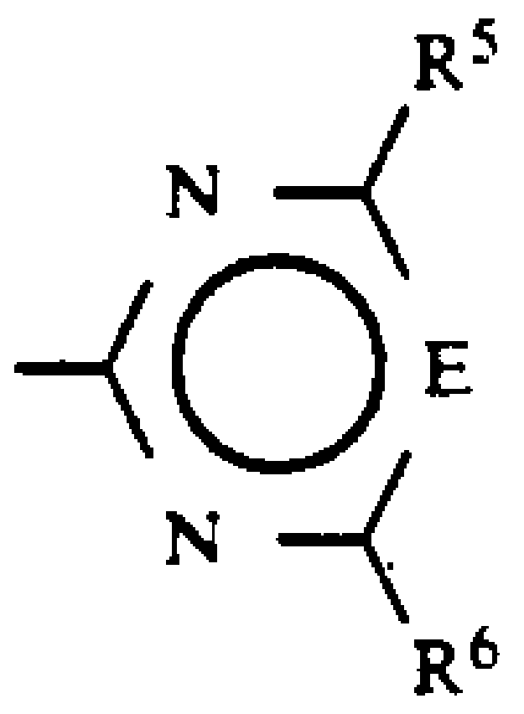
R^{11} denotes hydrogen, $(C_1$-$C_8)$-alkyl, $(C_2$-$C_4)$-alkenyl or $(C_2$-$C_4)$-alkynyl, each of which can optionally be substituted once or more than once by halogen or $(C_1$-$C_4)$-alkoxy radicals,

X denotes oxygen or sulfur and

a, b, c, d and e independently of one another denote the number 0, 1 or 2, with the proviso that the total of $c+d+e$ is larger than, or equal , 2.

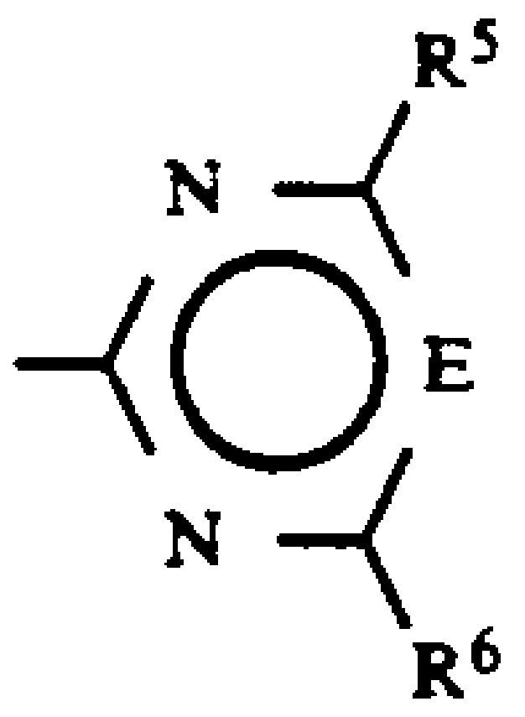
The compounds of the formula I can form salts in which the hydrogen of the $—SO_2—NH—$group is replaced by a cation suitable for agriculture. In general, these salts are metal salts, in particular alkali metal salts, alkaline earth metal salts, or optionally alkylated ammonium salts or organic amine salts. They are preferably prepared in inert solvents, such as, for example, water, methanol or acetone, at temperatures of from 0° to 100° C. Suitable bases for the preparation of the salts according to the invention are, for example, alkali metal carbonates, such as potassium carbonates, alkali metal hydroxides and alkaline earth metal hydroxides, ammonia or ethanolamine.

Preferred compounds of the formula I are those in which R^1 and R^2 independently of one another denote hydrogen, $(C_1$-$C_4)$-alkyl which is substituted as described above, or halogen; a, b, c, d and e independently of one another denote the numbers 0, 1 or 2, but, with the proviso that $c+d+e \geqq 2$ and $\leqq 4$, R^3 denotes hydrogen, $(C_1$-$C_4)$-alkyl or allyl; R^4 denotes a radical of the formula



and R^5 and R^6 independently of one another denote halogen, $(C_1$-$C_4)$-alkyl or $(C_1$-C_4-)alkoxy, both of which can be substituted by halogen, and X stands for oxygen.

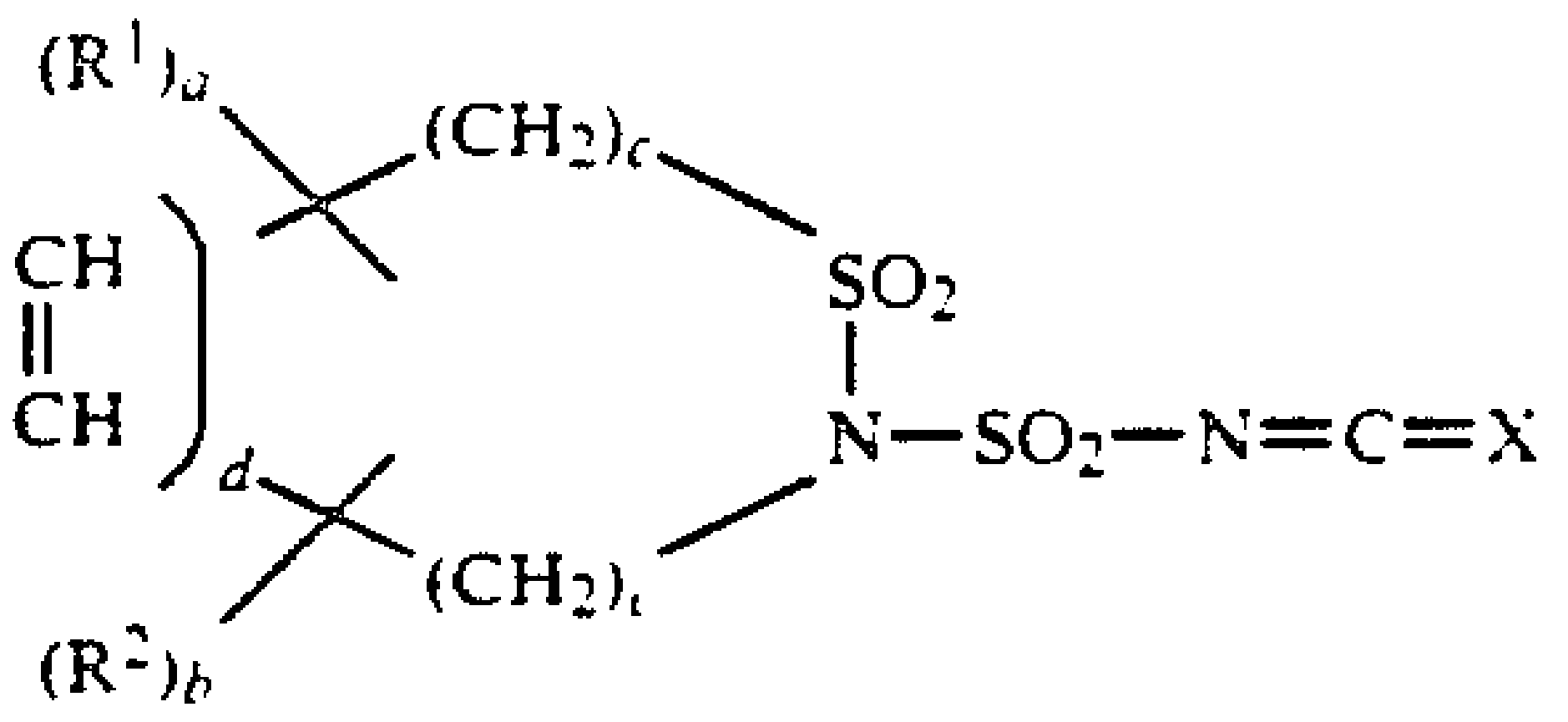
Halogen preferably denotes fluorine, chlorine or bromine. Halogenated alkyl or halogenated alkoxy are taken to mean, in particular, the radicals CF_3, $CH_2—CH_2Cl$, CH_2CH_2Br, OCF_2H and OCH_2CF_3. Halogenated alkenyl or halogenated alkynyl denotes, in particular, $CH_2CH{=}CHCl$, $CH_2CCl{=}CCl_2$ and $CH_2—C{\equiv}CCH_2—Cl$. $(C_3$-$C_6)$Cycloalkyl denotes, in particular, cyclopropyl. Particularly preferred compounds of the formula (I) are those in which R^1 and/or R^2 denote(s) hydrogen and $(C_1$-$C_4)$-alkyl which is substituted as described above, R^3 denotes hydrogen, $a+b$ is 0, 1 or 2, d is 0, $c+e$ is 3 or 4, in particular 4, R^4 denotes a radical of the formula



and R^5 and R^6 independently of one another denote chlorine, bromine, $(C_1$-$C_4)$-alkyl, $(C_1$-$C_4)$-alkoxy, OCF_2H, OCH_2CF_3 or CF_3, and their salts.

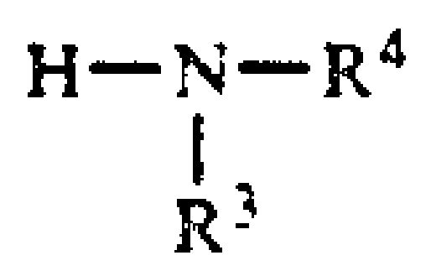
The present invention further relates to a process for the preparation of compounds of the general formula (I), which comprises

(a) reacting a compound of the formula (II)



(II)

with a compound of the formula (III)

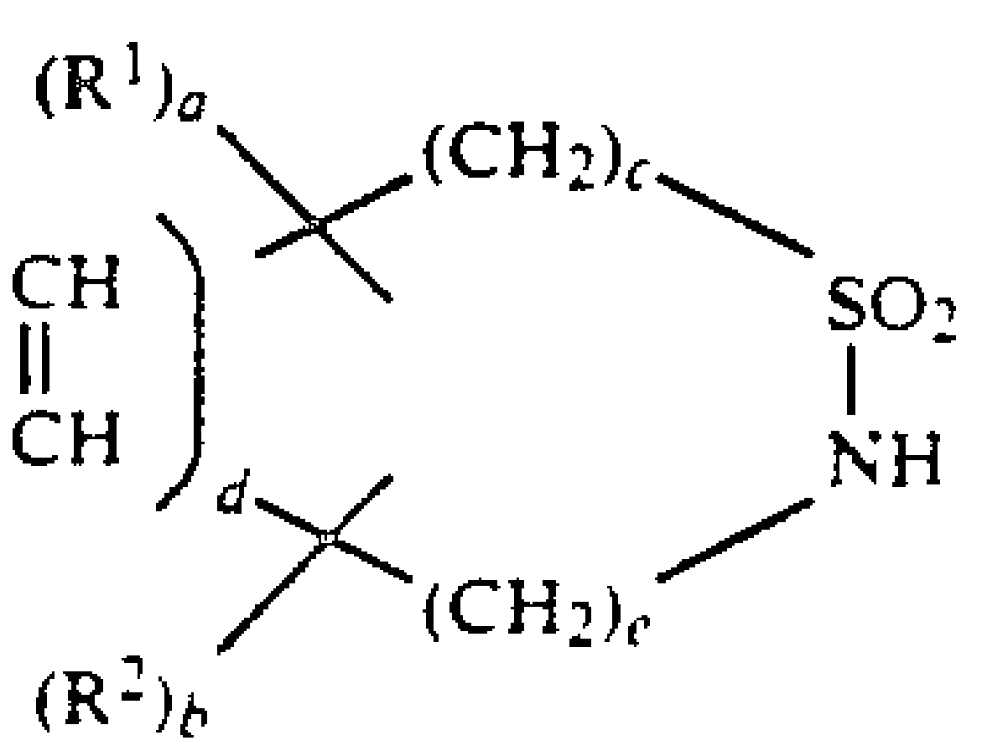


(III)

$$H-\underset{\displaystyle R^3}{\underset{|}{N}}-R^4$$

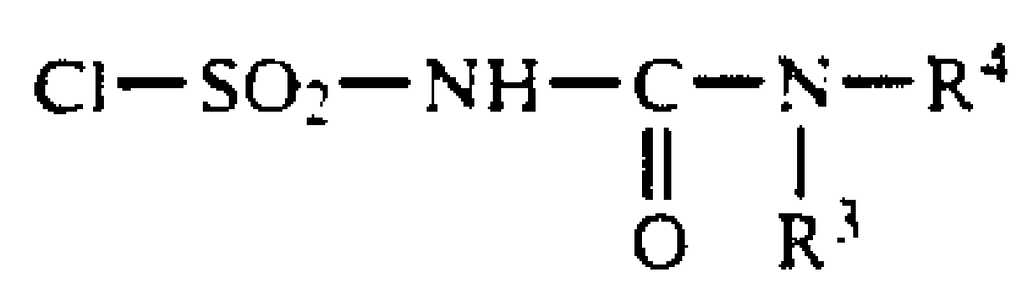
or

(b) when X is oxygen, reacting a compound of the formula (IV)



(IV)

with a chlorosulfonylurea of the formula (V)



(V)

$$Cl-SO_2-NH-\underset{\displaystyle O}{\underset{\|}{C}}-\underset{\displaystyle R^3}{\underset{|}{N}}-R^4$$

and, if appropriate, converting the resulting compound into a salt thereof.

The compounds of the formulae (II) and (III) are preferably reacted in inert aprotic solvents, such as, for example, acetonitrile, dichloromethane, toluene, tetrahydrofuran or dioxane, at temperatures between 0° C. and the boiling temperature of the solvent.

The N-sultamsulfonyl isocyanates of the formula II are novel and can be prepared by reacting the sultams of the formula II with chlorosulfonyl isocyanate. Thus, the compounds of the formula II and the process for their preparation also constitute a part of the present invention. This process is preferably carried out in inert organic solvents, such as, for example, toluene, xylene or chlorobenzene, following the known reaction of open-chain secondary sulfonamides with chlorosulfonyl isocyanate (German Offenlegungsschrift 2,257,240).

The reaction of the compounds (IV) with the chlorosulfonylureas (V) is preferably carried out in inert solvents, such as, for example, dichloromethane, acetonitrile, tetrahydrofuran, dioxane or dimethoxyethane, at temperatures of from −70° C. to 150° C., if appropriate in the presence of a base as the HCl-binding agent. Bases which can be employed include alkali metal carbonates, alkali metal bicarbonates, alkaline earth metal carbonates or alkaline earth metal bicarbonates, such as, for example, K_2CO_3, $NaHCO_3$ and Na_2CO_3, or tertiary amines, such as, e.g, pyridine or triethylamine.

The sultams (V) are known from the literature or can be prepared by processes known from the literature (cf., for example, Liebigs Ann. 646 (1961), pp. 32–45; Liebigs Ann. 651 (1962), pp. 17–29; C.A. 89 (1978), 179478 Z; Bull. Chem. Soc. Jap. 44 (1971), 771–777, Tetr. Lett. (1972), p. 213; Chem. Ber. 93 (1960), p. 784). The chlorosulfonylureas (V) are accessible from the amines of the formula (III) and chlorosulfonyl isocyanate (EP-A 141,199).

The starting substances of the formula (III) are known or can be prepared by processes known in principle, for example by cyclizing the corresponding guanidine derivatives with appropriately substituted 1,3-diketones, compare for example "The Chemistry of Heterocyclic Compounds", Volume XVI (1962) and Supplement I (1970), or by derivatizing cyanuric chloride, cf., for example, "The Chemistry of Heterocyclic Compounds", L. Rapaport: "s-Triazines and Derivatives" (1959).

The compounds of the formula I according to the invention show an excellent herbicidal activity against a broad range of economically important monocotyledon and dicotyledon weeds. The active substances also have a good action against perennial weeds which produce shoots from rhizomes, root stocks or other perennial organs and which cannot be easily controlled. In this context, it does not matter if the substances are applied before sowing, or using the pre-emergence or post-emergence method. Some representatives of the monocotyledon and dicotyledon weed flora which can be controlled by the compounds according to the invention may be mentioned individually as examples, but this naming is not to be taken to mean a restriction to certain species.

The monocotyledon weed species which are well controlled include, for example, Avena, Lolium, Alopecurus, Phalaris, Echinochloa, Digitaria, Setaria etc. and Cyperus species from the annual group, and the perennial species include Agropyron, Cynodon, Imperata and Sorghum etc., and also perennial Cyperus species.

Of the dicotyledon weed species, the range of action covers species such as, for example, Galium, Viola, Veronica, Lamium, Stellaria, Amaranthus, Sinapis, Ipomoea, Matricaria, Abutilon, Sida etc. from the annual plants, and Convolvulus, Cirsium, Rumex, Artemisia etc. from the perennials.

The weeds occurring under the specific culture conditions in rice, such as, for example, Sagittaria, Alisma, Eleocharis, Scirpus, Cyperus etc., are also very well controlled by the active substances according to the invention.

If the compounds according to the invention are applied to the soil surface before germination, either emergence of the weed seedlings is prevented completely, or the weeds grow until they have reached the cotyledon stage but growth then ceases and, after a period of three to four weeks, the plants eventually die completely. When the active substances are applied using the post-emergence method to the green parts of the plants, growth also stops dramatically very soon after treatment, and the weeds remain in the growth stage of the time of application, or, after a certain period of time, die more or less rapidly so that competition from the weeds, which is detrimental for the crop plants, can thus be prevented at a very early stage and in a sustained manner by using the new compounds according to the invention.

Even though the compounds according to the invention have an excellent herbicidal activity against monocotyledon and dicotyledon weeds, crop plants of economically important crops such as, for example, wheat, barley, rye, rice, maize, sugar beet, cotton and soya beans, are damaged to a negligible extent only, or not at all. Thus, the present compounds are very suitable for selectively controlling undesired plant growth in agricultural crops.

In addition, the compounds according to the invention have growth-regulating properties in crop plants. They engage in the plant metabolism in a regulating manner and can thus be employed for facilitating harvesting, such as, for example, by initiating desiccation, abscission and stunted growth. Furthermore, they are also suitable for generally regulating and inhibiting undesired vegetative growth without simultaneously destroying the plants.

Inhibition of vegetative growth plays an important role in many monocotyledon and dicotyledon crops because lodging can hereby be reduced, or prevented completely.

The agents according to the invention can be employed in the conventional preparations as wettable powders, emulsifiable concentrates, sprayable solutions, dusting agents, seed dressing agents, dispersions, granules or microgranules.

Wettable powders are preparations which are uniformly dispersible in water and which, besides the active substance, also contain wetting agents, for example, polyoxyethylated alkylphenols, polyoxyethylated fatty alcohols, alkylsulfonates or alkylphenylsulfonates, and dispersing agents, for example sodium ligninsulfonate, sodium 2,2'-dinaphthylmethane-6,6'-disulfonate, sodium dibutylnaphthalenesulfonate and also sodium oleoylmethyltaurate, in addition, if appropriate, to a diluent or inert substance. They are prepared in a customary manner, for example by grinding and mixing of the components.

Emulsifiable concentrates can be prepared for example by dissolving the active substance in an inert organic solvent, for example butanol, cyclohexanone, dimethylformamide, xylene and also higher-boiling aromatics or hydrocarbons, with the addition of one or more emulsifiers. In the case of liquid active substances, all or some of the solvent can also be omitted. Emulsifiers which can be used are, for example: calcium salts of alkylarylsulfonic acids, such as Ca dodecylbenzenesulfonate, or nonionic emulsifiers, such as fatty acid polyglycol esters, alkylaryl polyglycol ethers, fatty alcohol polyglycol ethers, propylene oxide/ ethylene oxide condensation products, fatty alcohol/propylene oxide/ethylene oxide condensation products, alkyl polyglycol ethers, sorbitan fatty acid esters, polyoxyethylene sorbitan fatty acid esters or polyoxyethylene sorbitol esters.

Dusting agents can be obtained by grinding the active substance with finely divided solid substances, for example talc or natural clays, such as kaolin, bentonite, pyrophillite or diatomaceous earth.

Granules can be produced either by spraying the active substance onto adsorptive, granulated inert material or by applying active substance concentrates onto the surface of excipients such as sand, kaolinites or granulated inert material, by means of binders, for example polyvinyl alcohol, sodium polyacrylate or alternatively mineral oils. Suitable active substances can also be granulated in the manner which is conventional for the preparation of fertilizer granules, if desired mixed with fertilizers.

The active substance concentration in wettable powders is, for example, about 10 to 90% by weight, the remainder to 100% by weight comprising conventional formulation components. In the case of emulsifiable concentrates, the active substance concentration can be about 5 to 80% by weight. Dust-form formulations usually contain 5 to 20% by weight of active substance, and sprayable solutions about 2 to 20% by weight. In the case of granules, the active substance content depends partly on whether -, the active compound is liquid or solid and on which granulation auxiliaries, fillers etc. are used.

In addition, the active substance formulations mentioned contain, if appropriate, the adhesives, wetting agents, dispersing agents, emulsifiers, penetrants, solvents, fillers or excipients which are conventional in each case.

For use, the concentrates, present in commercially available form, are diluted, if appropriate, in a conventional manner, for example using water in the case of wettable powders, emulsifiable concentrates, dispersions and, in some cases also for microgranules. Dust-form and granulated preparations and also sprayable solutions are usually not further diluted with other inert substances before use.

The application rate required varies with the external conditions, such as temperature and humidity, amongst others. It can vary within wide limits, for example from 0.005 to 10.0 kg/ha or more of active substance; preferably, however, it is from 0.01 to 5 kg/ha.

If appropriate, mixtures or mixed formulations with other active substances, such as, for example, insecticides, acaricides, herbicides, fertilizers, growth regulators or fungicides are also possible.

The following examples illustrate the invention in greater detail.

FORMULATION EXAMPLES

A. A dusting agent is obtained by mixing 10 parts by weight of active substance and 90 parts by weight of talc or inert substance, and comminuting the mixture in a hammer mill.

B. A wettable powder which is readily dispersible in water is obtained by mixing 25 parts by weight of active substance, 64 parts by weight of kaolin-containing quartz as inert substance, 10 parts by weight of potassium ligninsulfonate and 1 part by weight of sodium oleoylmethyltaurate as wetting and dispersing agent, and grinding the mixture in a pin disk mill.

C. A dispersion concentrate which is readily dispersible in water is obtained by mixing 20 parts by weight of active substance with 6 parts by weight of alkylphenol polyglycol ether (Triton X 207), 3 parts by weight of isotridecanol polyglycol ether (8 EO) and 71 parts by weight of paraffinic mineral oil (boiling range, for example, about 255 to above 377° C.), and grinding the mixture in a ball mill to a fineness of below 5 microns.

D. An emulsifiable concentrate is obtained from 15 parts by weight of active substance, 75 parts by weight of cyclohexanone as solvent and 10 parts by weight of oxyethylated nonylphenol (10 EO) as emulsifier.

CHEMICAL EXAMPLES

EXAMPLE 1

N-(1,4-Butanesultam)-sulfonyl isocyanate

A solution of 7.78 g (0.055 mol) of chlorosulfonyl isocyanate in 20 ml of absolute chlorobenzene is added dropwise at 0° C. to a suspension of 6.78 g (0.05 mol) of 1,4-butanesultam—prepared in accordance with Liebigs Ann. 651 (1962), p. 26—in 80 ml of absolute chlorobenzene. When the dropwise addition is complete, the temperature is slowly increased to 125°-130° C., and the mixture is heated for about 6 h at 130° C. The mixture is cooled and the solvent is removed on a rotary evaporator. The oil remaining (12.0 g≙100% of theory) is employed without purification.

EXAMPLE 2

1-[N-(1,4-Butanesultam)sulfonyl]-3-(4,6-dimethylpyrimidin-2-yl)-urea

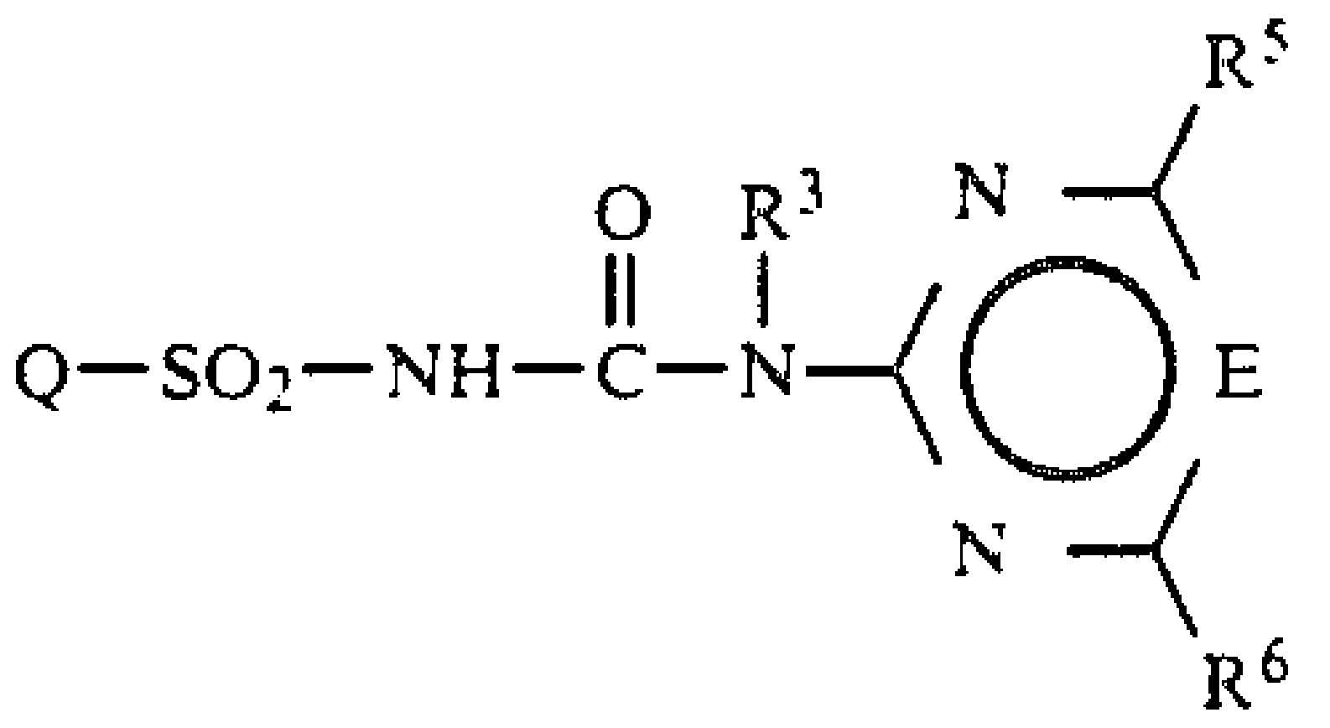
A solution of 6.24 g (0.026 mol) of N-(1,4-butanesultam)sulfonyl isocyanate in 20 ml of dichloromethane is added dropwise at 0° C. to 3.08 g (0.025 mol) of 2-amino-4,6-dimethylpyrimidine in 80 ml of absolute dichloromethane. The mixture is allowed to warm to room temperature, and stirring is continued for 18 hours. The reaction solution is washed with 0.5N hydrochloric acid and water and dried over sodium sulfate, and the solvent is then removed on a rotary evaporator. The oil remaining is crystallized from dichloromethane/n-heptane. 8.36 g (92% of theory) of 1-[N-(1,4-butanesultam)-sulfonyl]-3(4,6-dimethylpyrimidin-2-yl)-urea of m.p. 145°-147° C. are obtained.

EXAMPLE 3

1-[N-(1,3-Propanesultam)-sulfonyl]-3-(4,6-dimethylpyrimidin-2-yl)-urea

2.2 ml (0.025 mol) of chlorosulfonyl isocyanate are initially introduced into 100 ml of absolute acetonitrile at 40° C., and 3.88 g (0.025 mol) of 2-amino-4,6-dimethoxypyrimidine is added under nitrogen. This suspension is stirred at 0° C. for about 1 h and cooled to −40° C., and 3.07 g (0.025 mol) of 1,3-propanesultam, dissolved in 20 ml of absolute CH_3CN, are added. The mixture is allowed to warm to room temperature in the course of 4 h, and stirring is continued for 18 h at room temperature. The residue is filtered off with suction and suspended in 50 ml of absolute acetonitrile at 0° C., and 3.5 ml (0.025 mol) of triethylamine are added. The mixture is stirred for 2 h at room temperature, and the residue is filtered off with suction, washed with water and dried in vacuo. 9.21 g (96.6% of theory) of 1-[N-(1,3-propanesultam)-sulfonyl]-3-(4,6-dimethoxy-pyrimidin-2-yl)-urea of m.p. 166°-170° C. are obtained.

TABLE 1



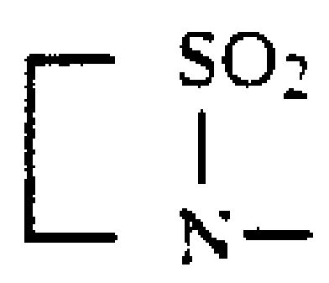
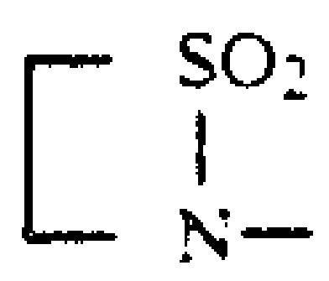
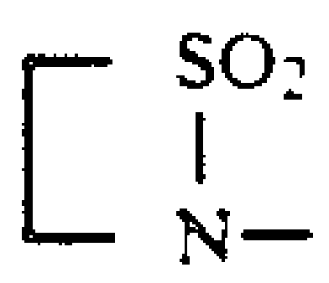
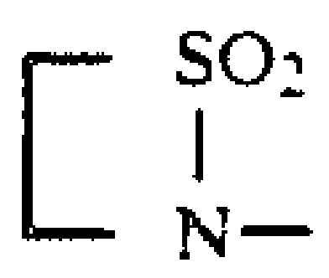
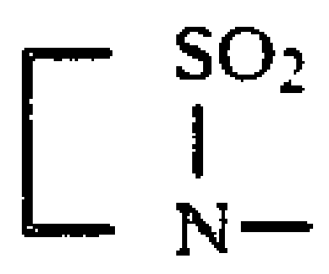
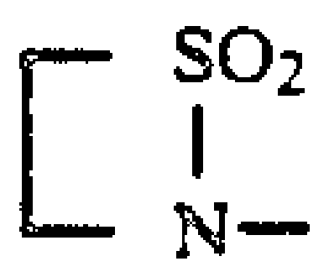
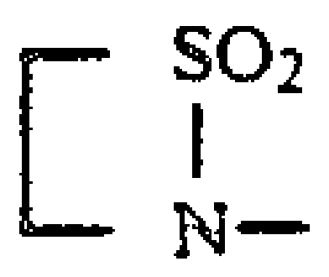
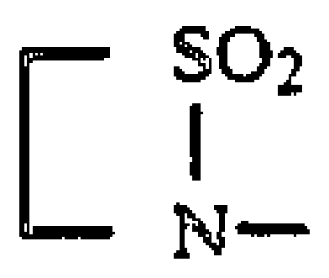
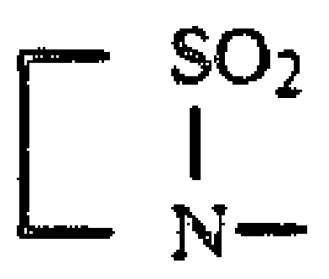
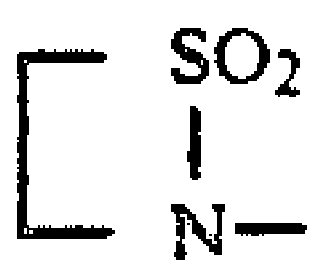
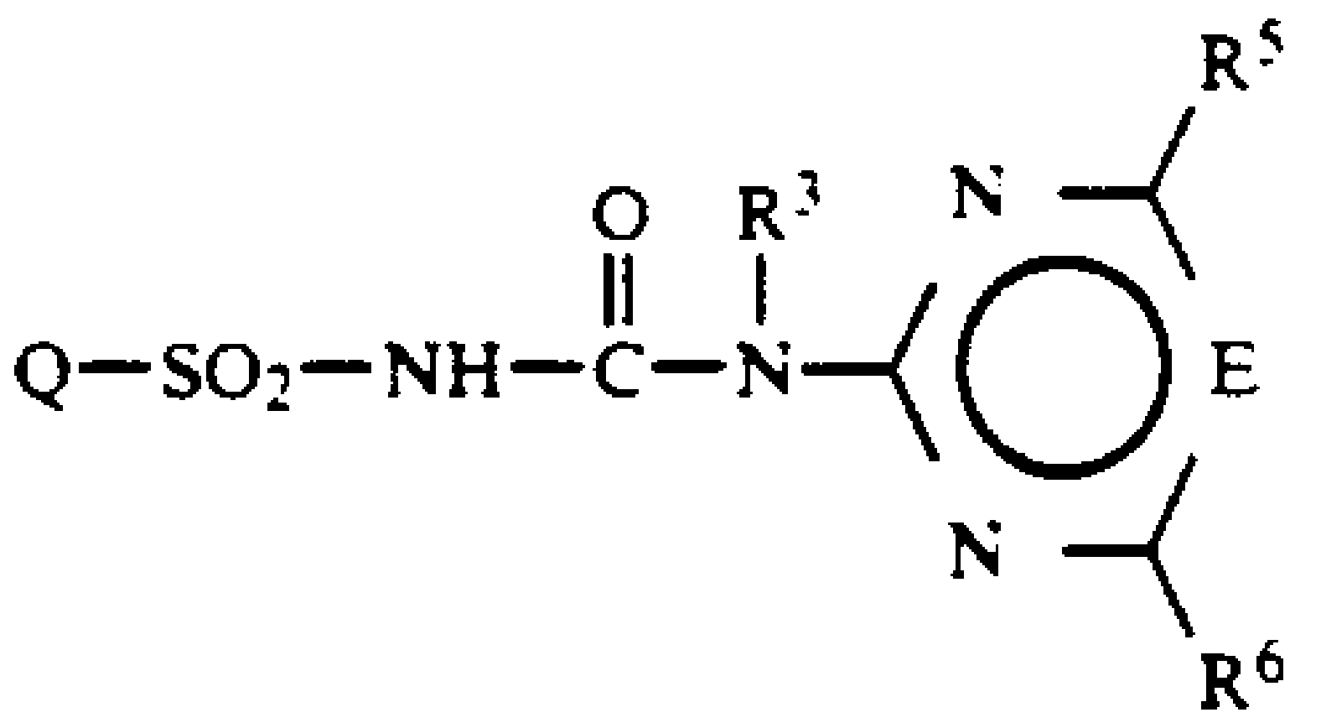
Example	Q	R^3	R^5	R^6	E	m.p.
4		H	CH_3	CH_3	CH	
5		H	OCH_3	CH_3	CH	
6		H	OCH_3	OCH_3	CH	40–44
7		H	OCH_3	Cl	CH	
8		H	OC_2H_5	OCH_3	CH	
9		H	$OCHF_2$	OCH_3	CH	
10		H	$OCHF_2$	$OCHF_2$	CH	
11		H	OCH_2CF_3	OCH_3	CH	
12		H	CH_3	Cl	CH	
13		H	CH_3	CH_3	N	

TABLE 1-continued



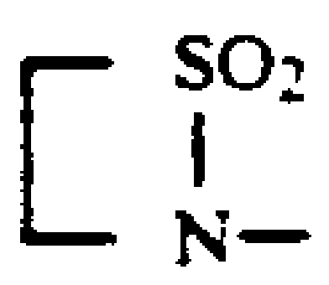
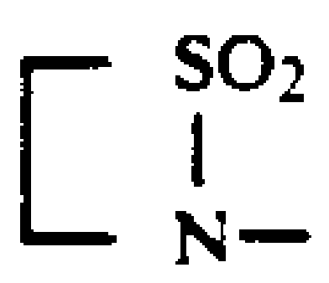
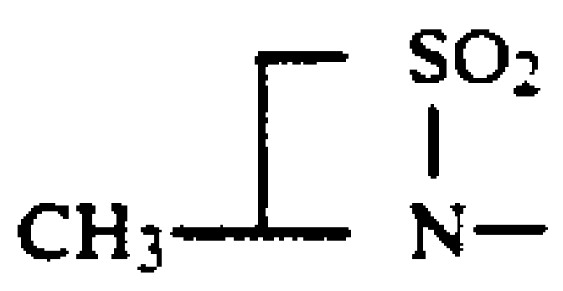
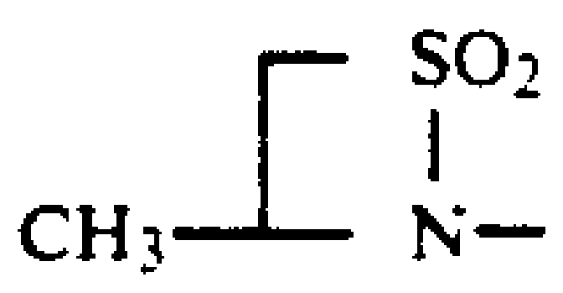
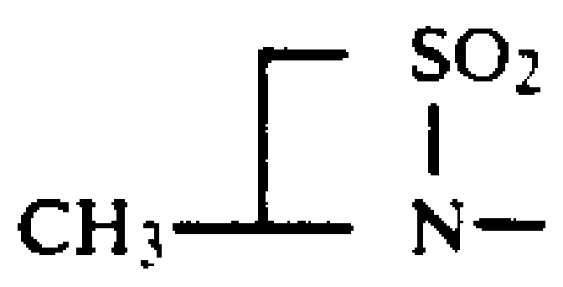
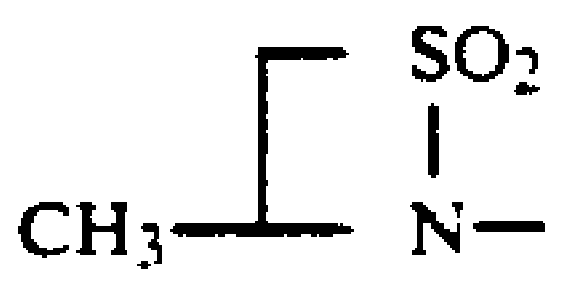
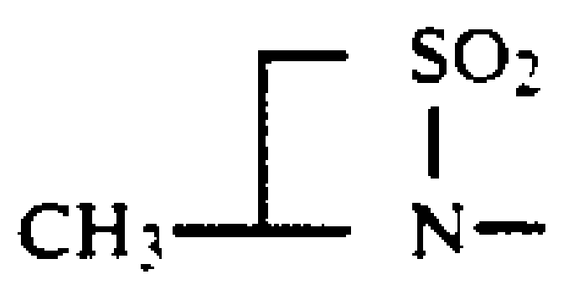
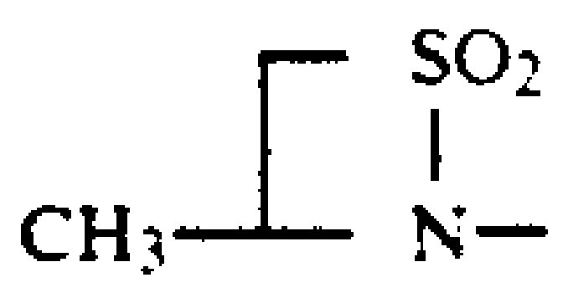
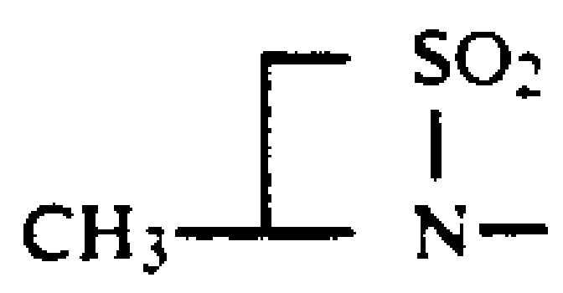
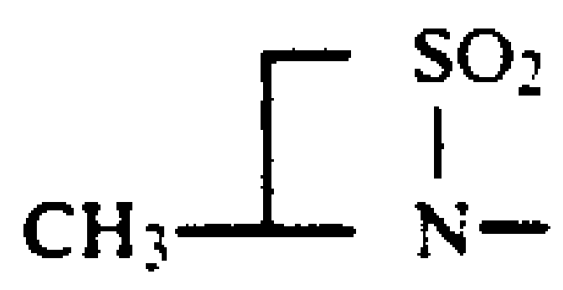
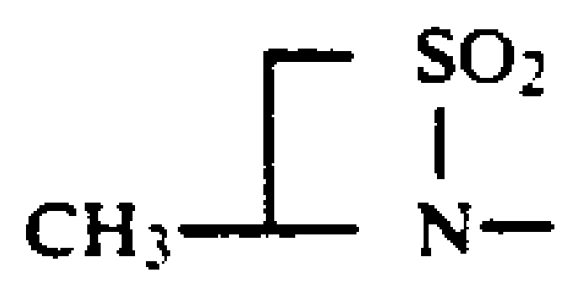
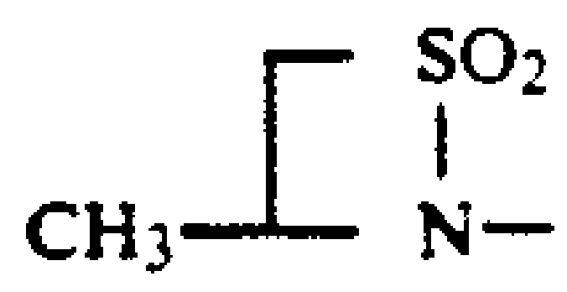
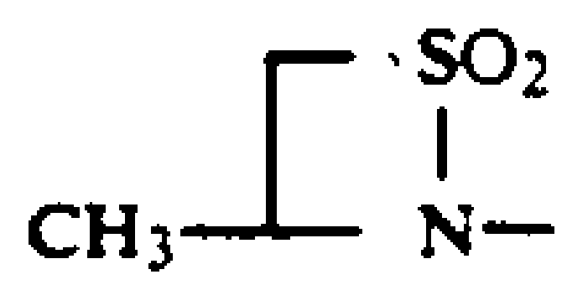
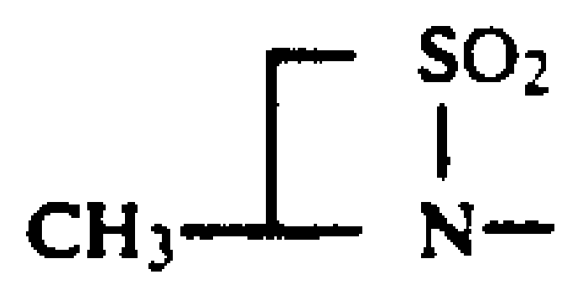
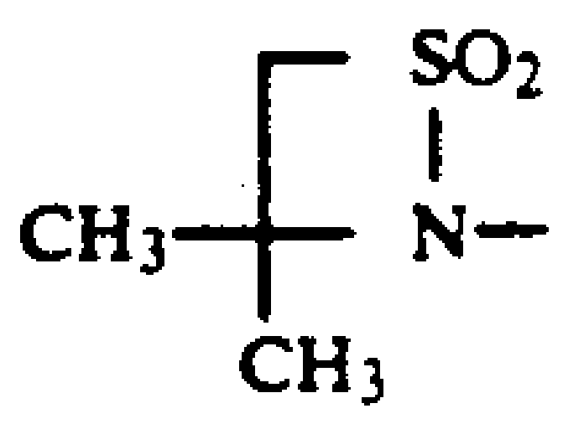
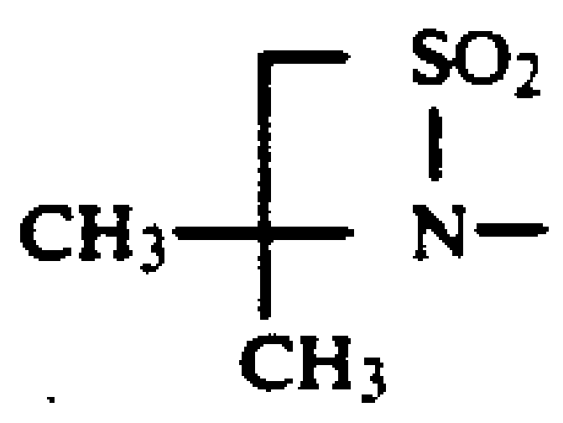
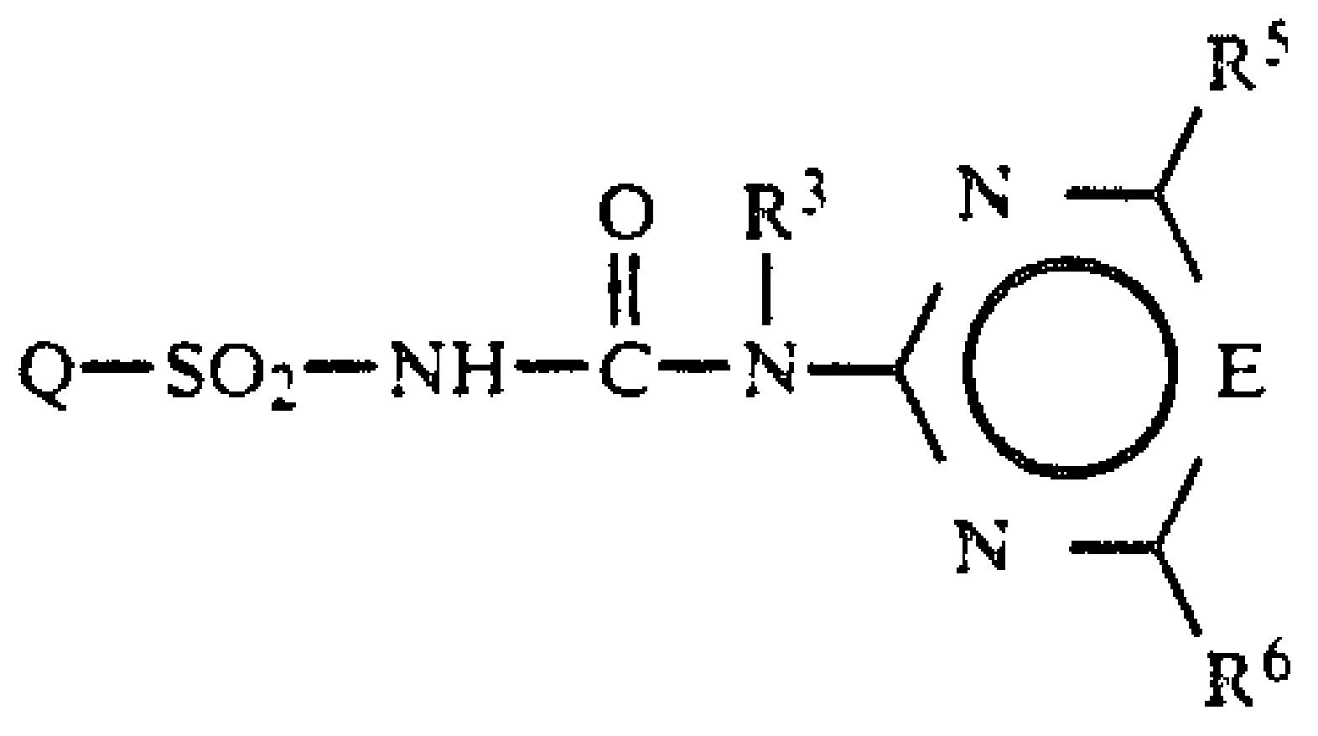
Example	Q	R³	R⁵	R⁶	E	m.p.
14		H	OCH_3	CH_3	N	
15		H	OCH_3	OCH_3	N	
16		H	CH_3	CH_3	CH	
17		H	OCH_3	CH_3	CH	
18		H	OCH_3	OCH_3	CH	68-71
19		CH_3	OCH_3	OCH_3	CH	
20		H	OCH_3	Cl	CH	
21		H	OCH_3	$NHCH_3$	CH	
22		H	OCH_3	Br	CH	
23		H	OCH_3	SCH_3	CH	
24		H	$OCHF_2$	OCH_3	CH	
25		H	OCH_3	CH_3	N	
26		H	OC_2H_5	$NHCH_3$	N	
27		H	OCH_3	$N(CH_3)_2$	N	
28		H	CH_3	CH_3	CH	
29		H	OCH_3	CH_3	CH	

TABLE 1-continued



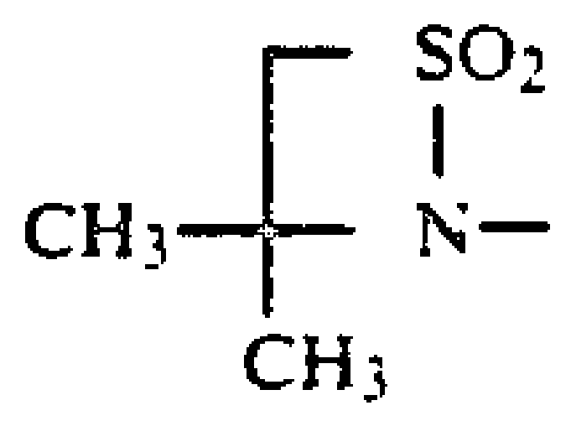
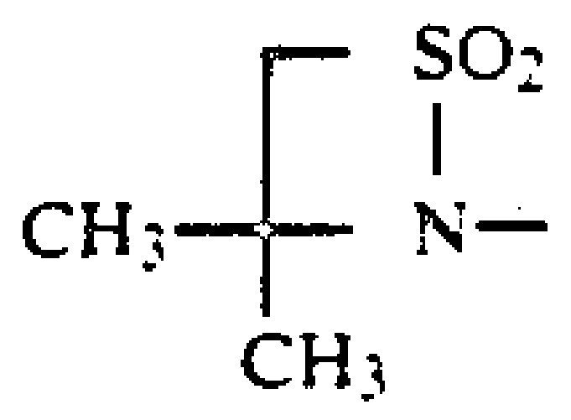
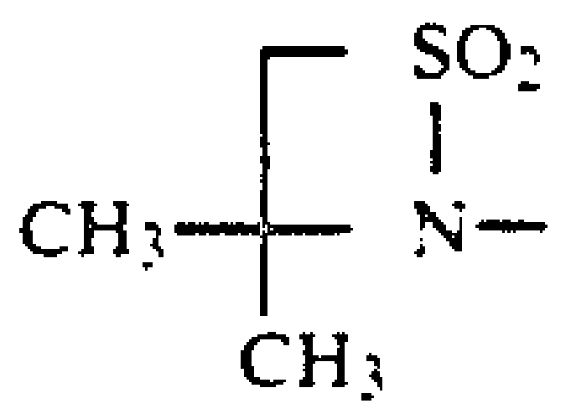
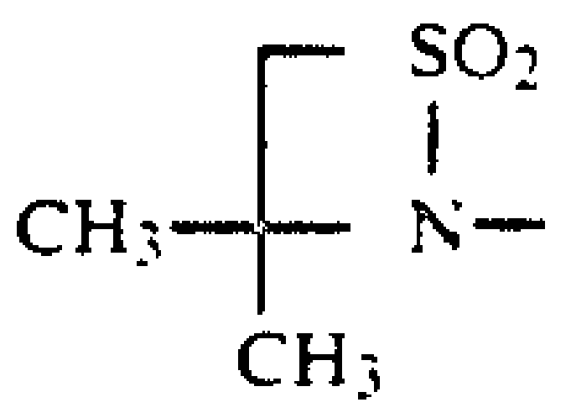
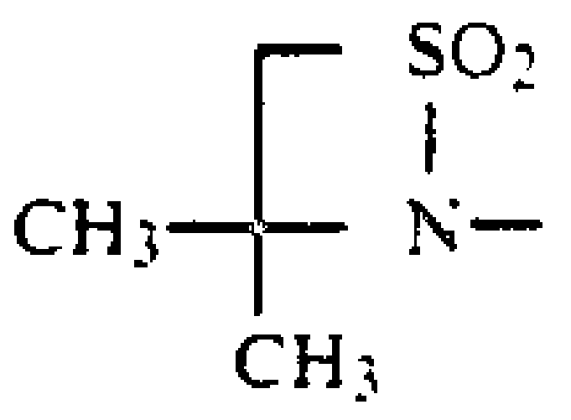
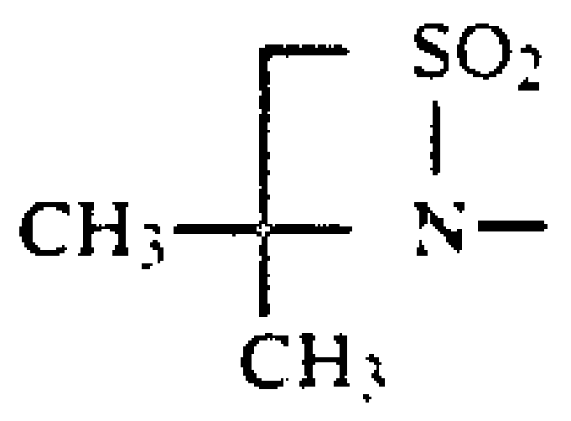
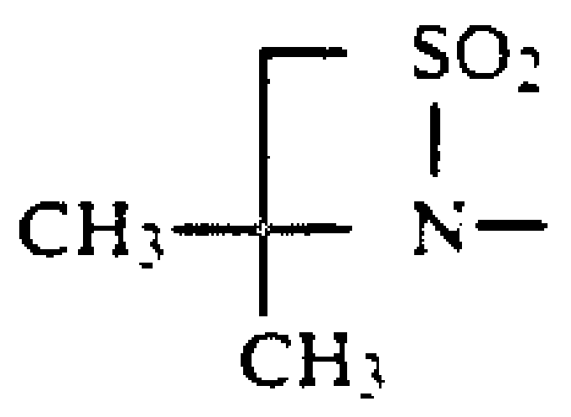
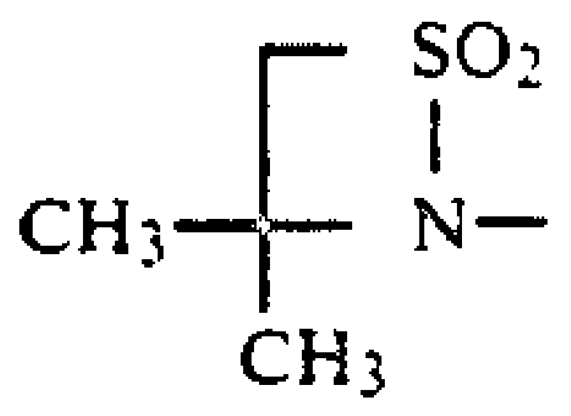
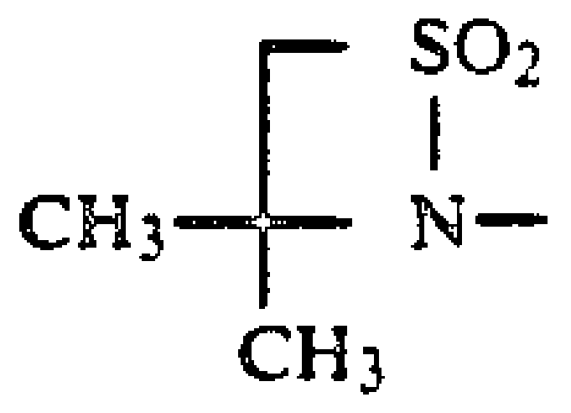
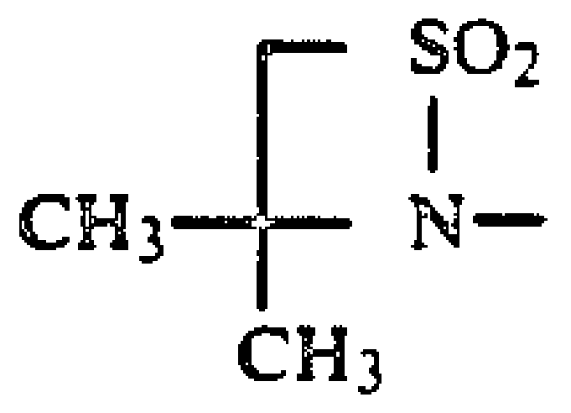
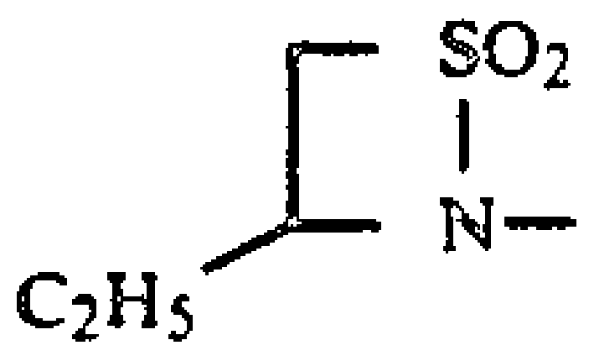
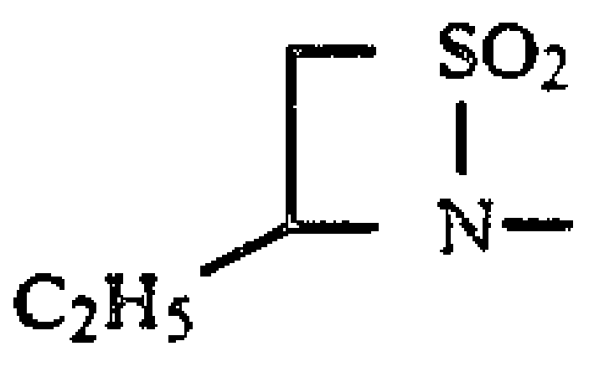
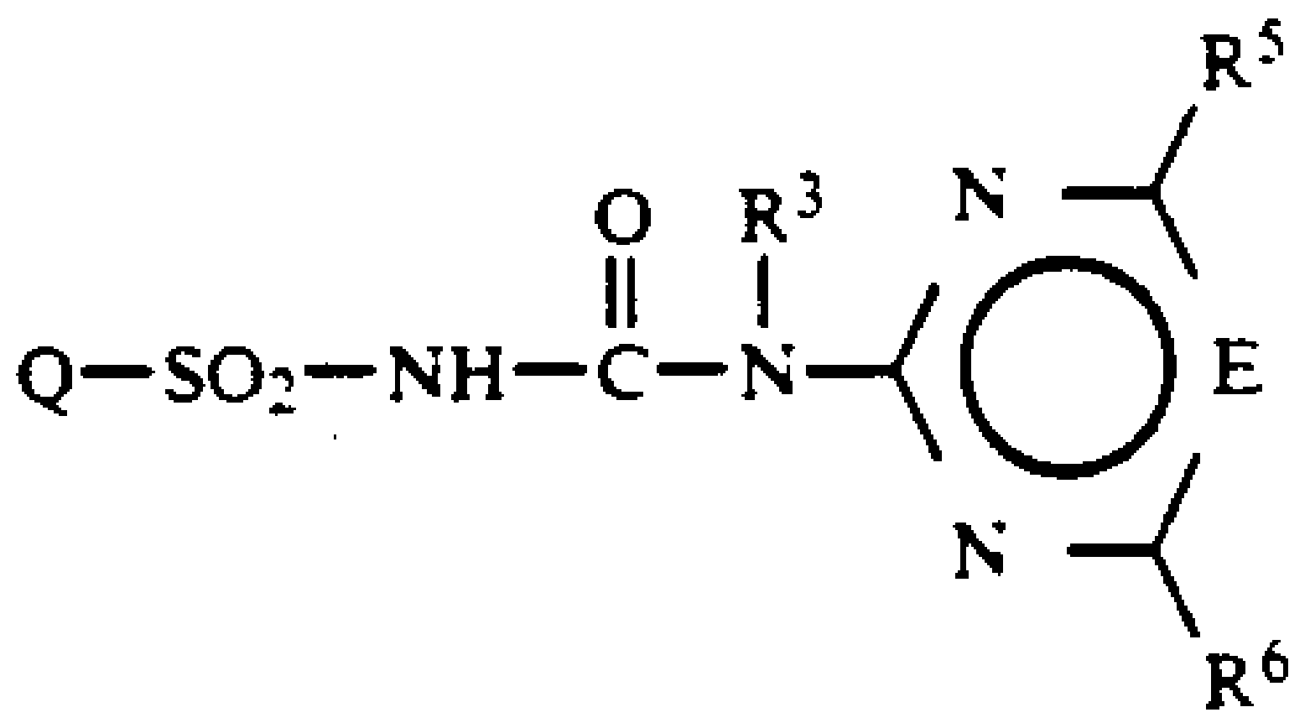
Example	Q	R^3	R^5	R^6	E	m.p.
30		H	OCH_3	OCH_3	CH	131-133
31		CH_3	OCH_3	CH_3	CH	
32		H	OCH_3	Cl	CH	
33		H	$OCHF_2$	$OCHF_2$	CH	
34		H	SCH_3	CH_3	CH	
35		H	$CH(OCH_3)_2$	OCH_3	CH	
36		H	OCH_3	CH_3	N	
37		H	OCH_3	OCH_3	N	
38		H	NH_2	OCH_3	N	
39		CH_3	OCH_3	CH_3	N	
40		H	OCH_3	OCH_3	CH	
41		H	OCH_3	CH_3	CH	

TABLE 1-continued



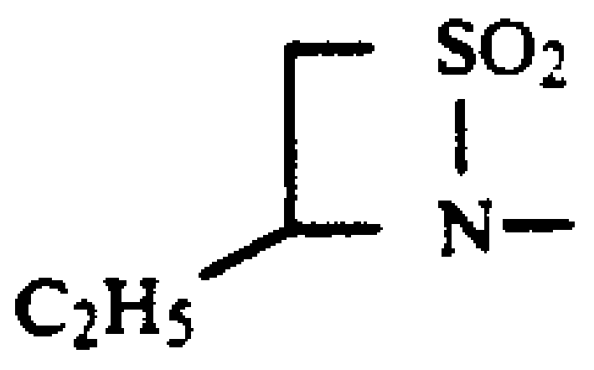
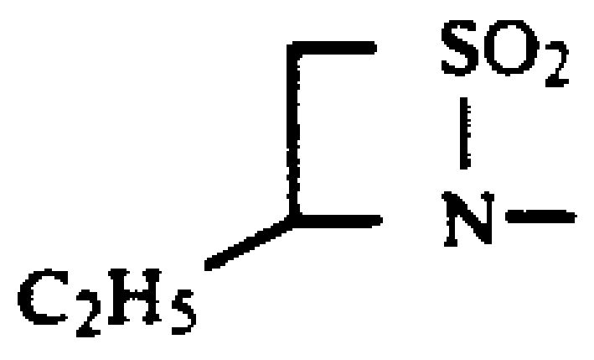
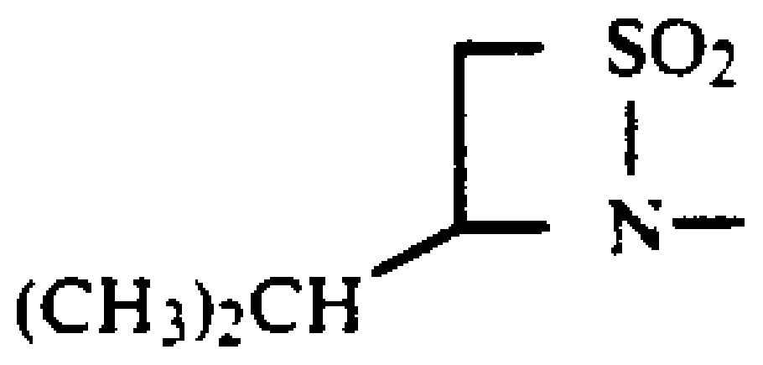
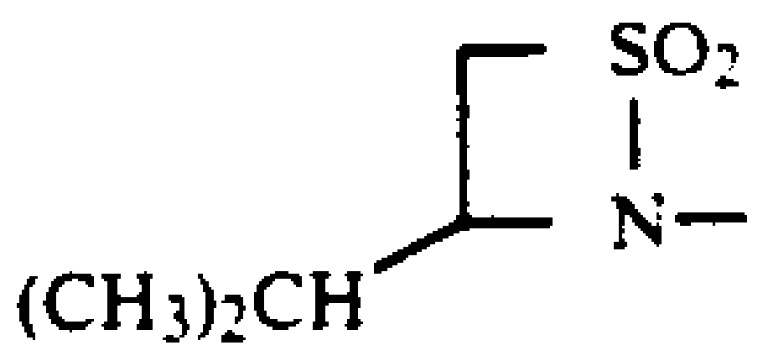
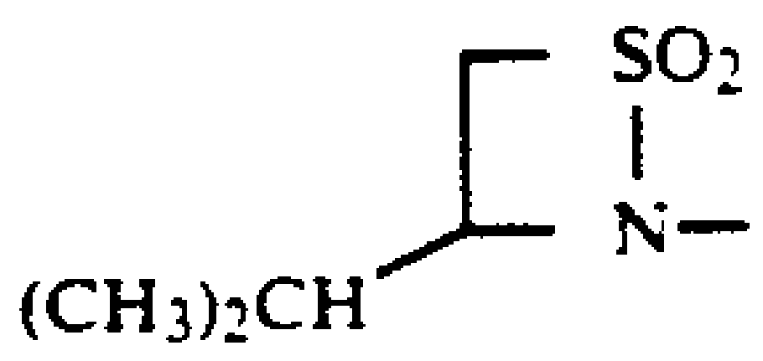
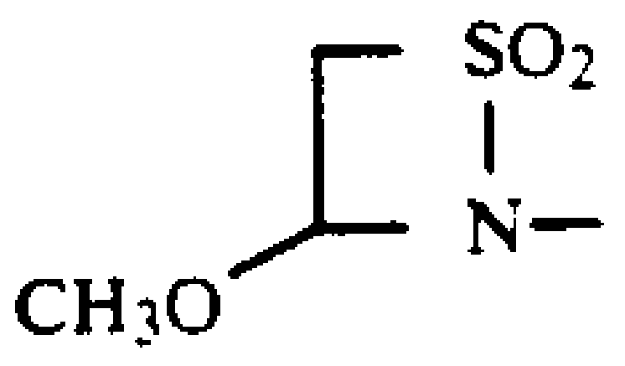
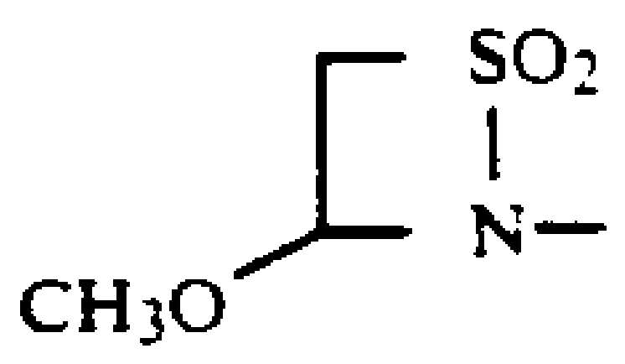
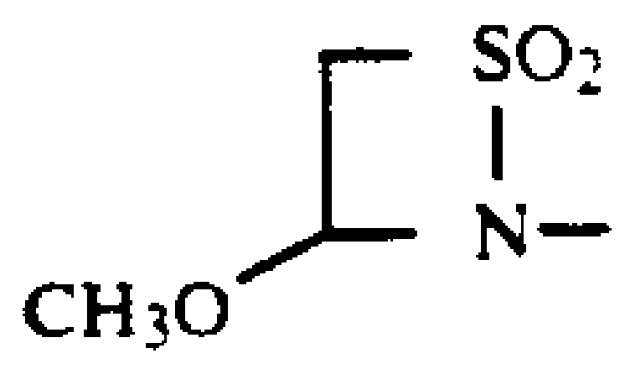
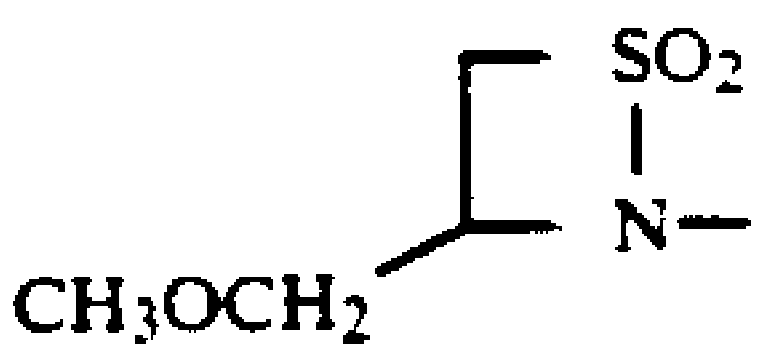
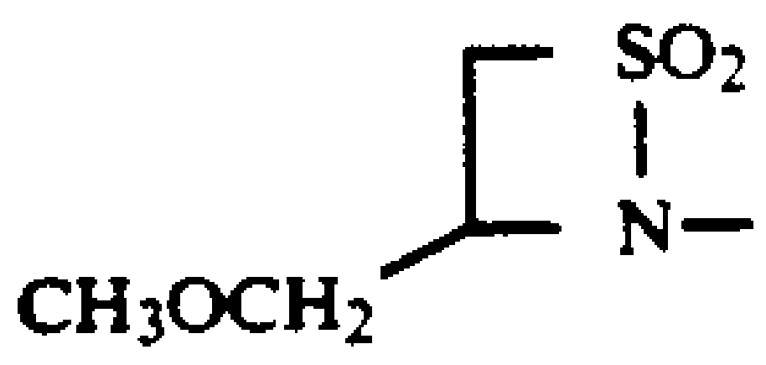
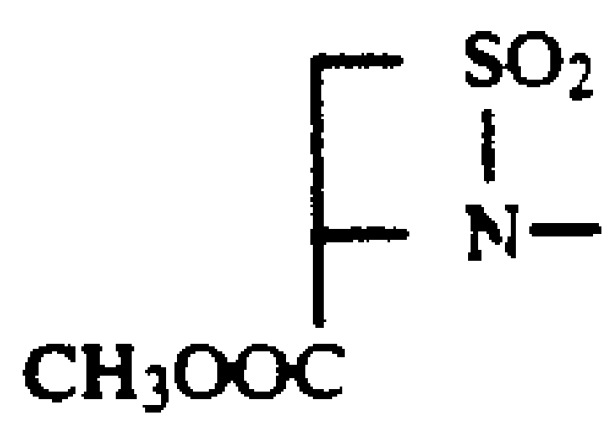
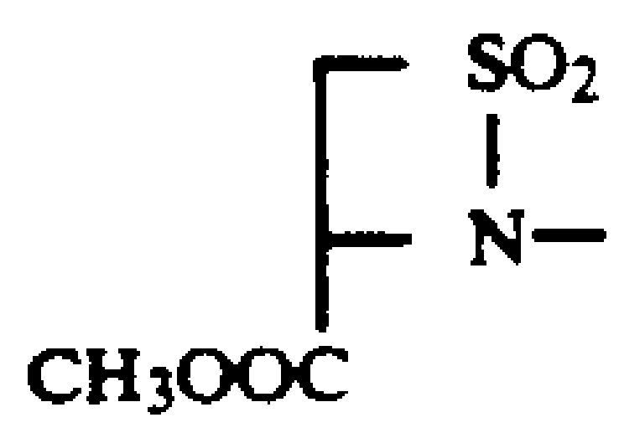
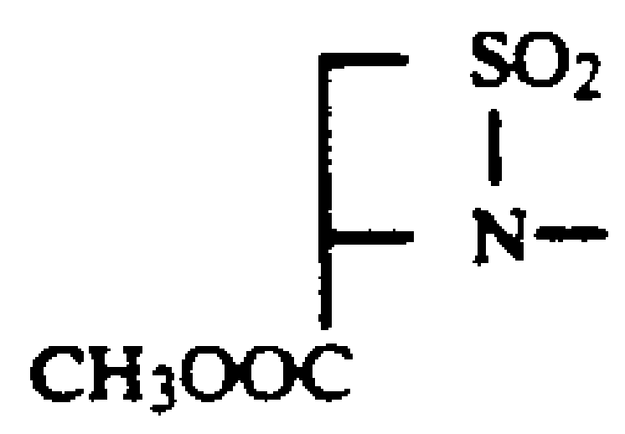
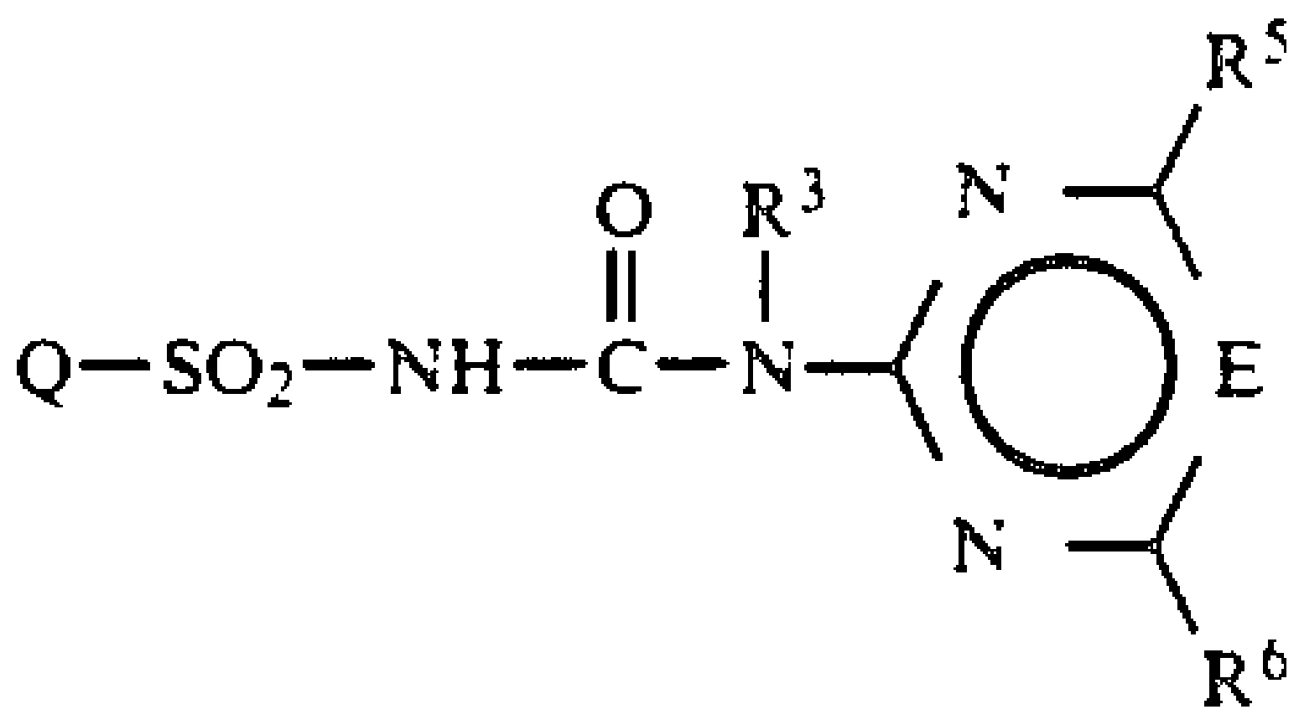
Example	Q	R³	R⁵	R⁶	E	m.p.
42		H	CH_3	CH_3	CH	
43		H	OCH_3	CH_3	N	
44		H	OCH_3	OCH_3	CH	
45		H	OCH_3	Cl	CH	
46		H	OCH_3	CH_3	CH	
47		H	OCH_3	OCH_3	CH	
48		H	CH_3	CH_3	CH	
49		H	OCH_3	Cl	CH	
50		H	OCH_3	OCH_3	CH	
51		H	OCH_3	CH_3	N	
52		H	OCH_3	OCH_3	CH	110–111
53		H	OCH_3	OCH_3	CH	
54		H	OCH_3	Cl	CH	

TABLE 1-continued



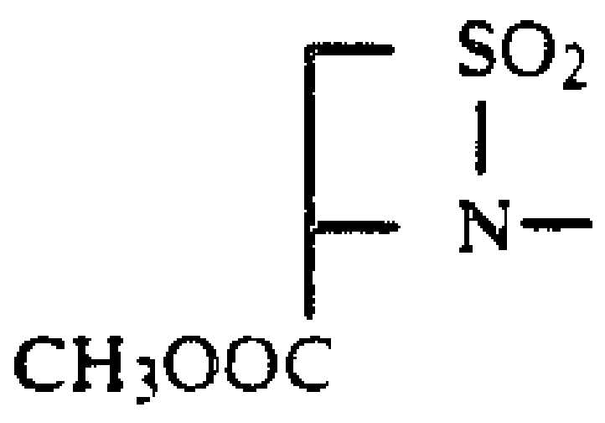
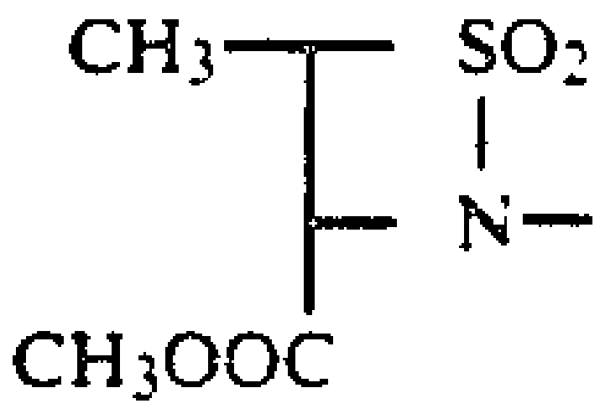
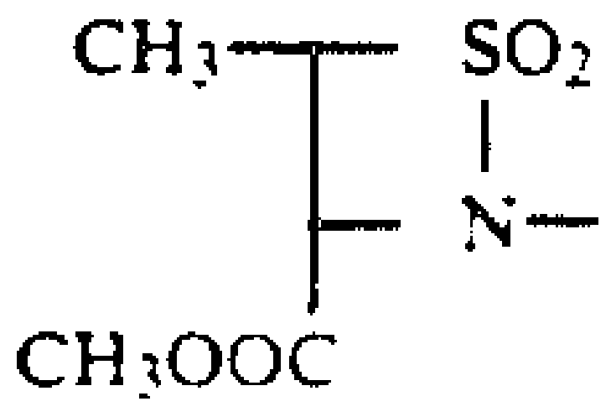
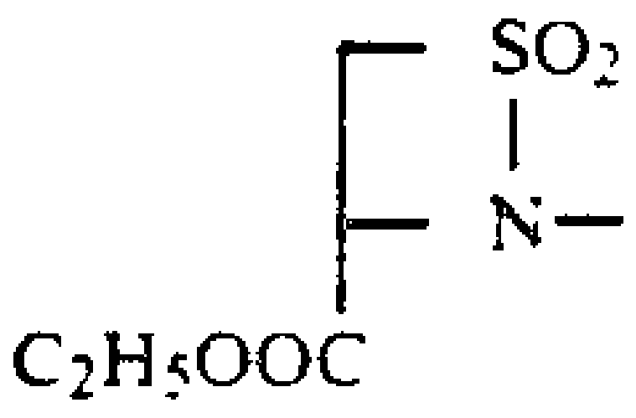
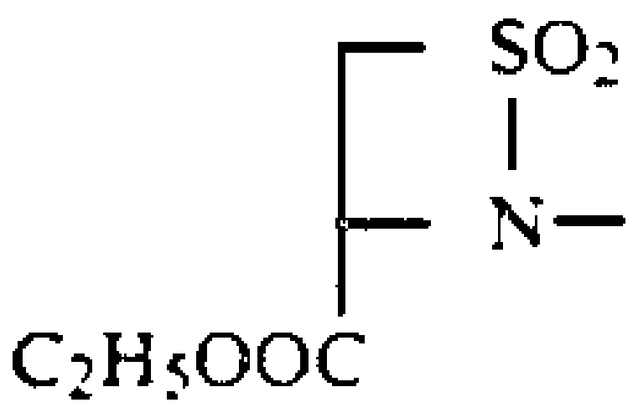
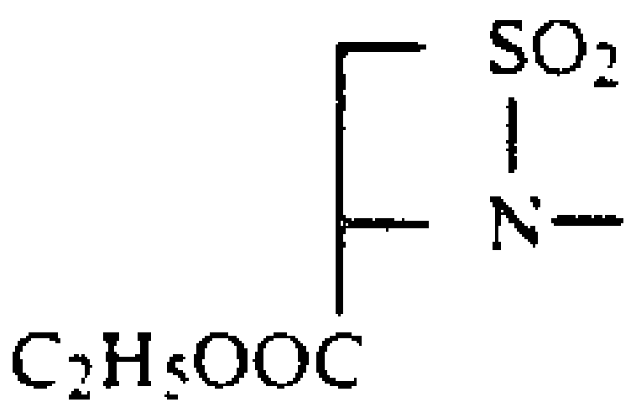
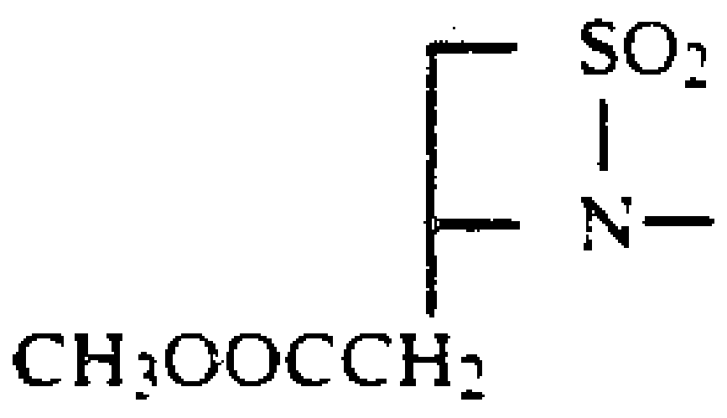
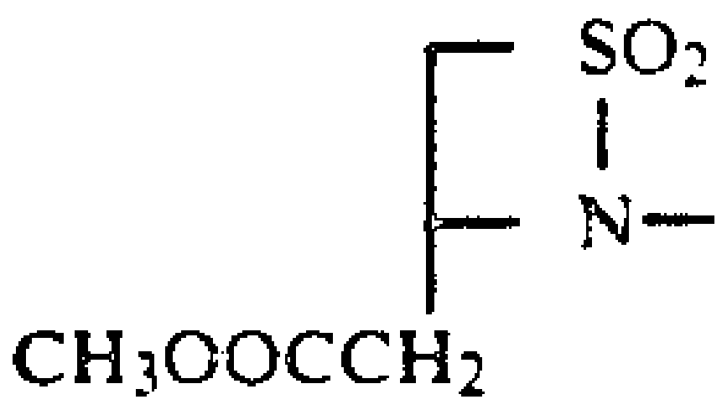
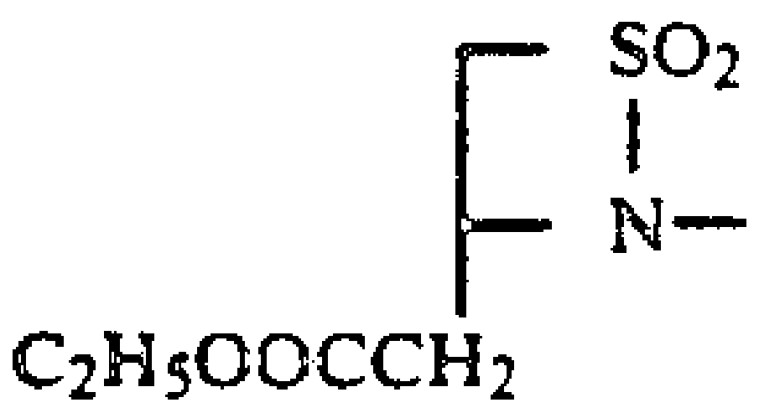
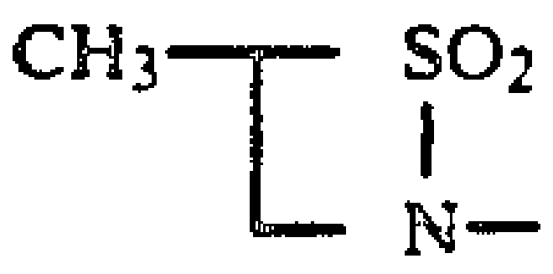
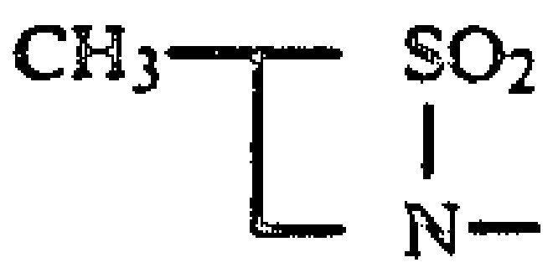
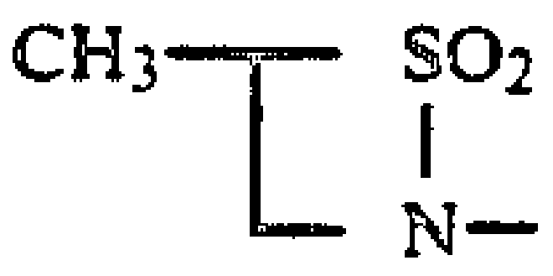
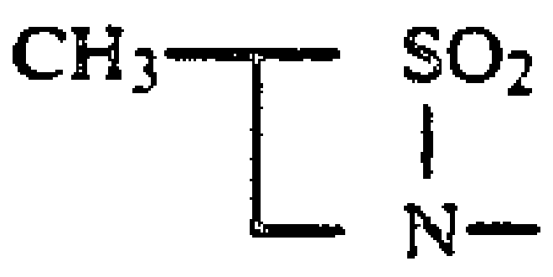
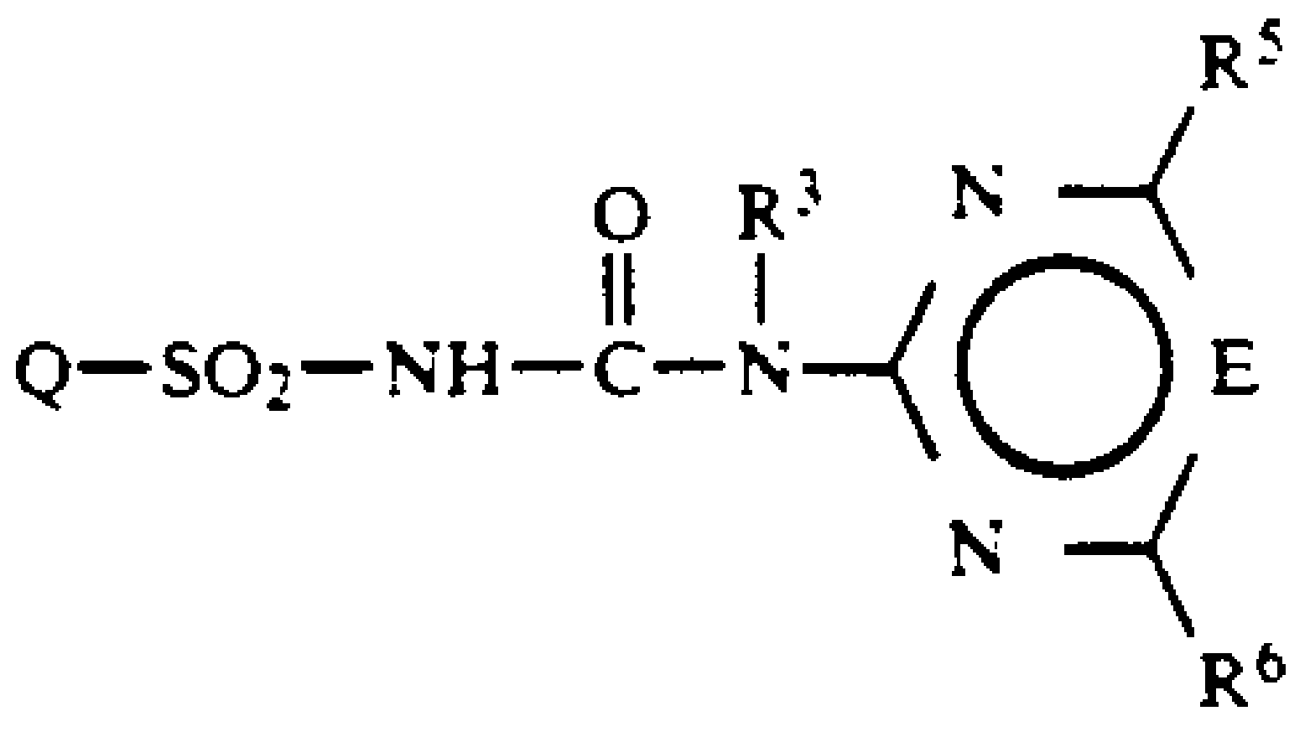
Example	Q	R^3	R^5	R^6	E	m.p.
55		H	OC_2H_5	Cl	CH	
56		H	OCH_3	OCH_3	CH	
57		H	OCH_3	CH_3	N	
58		H	OCH_3	OCH_3	CH	
59		H	CH_3	CH_3	CH	
60		H	OCH_3	OCH_3	N	
61		H	OCH_3	OCH_3	CH	
62		H	OCH_3	$ClCH_2$	N	
63		H	OCH_3	OCH_3	CH	
64		H	OCH_3	OCH_3	CH	
65		H	OCH_3	CH_3	CH	
66		H	CH_3	CH_3	CH	
67		CH_3	OCH_3	CH_3	N	

TABLE 1-continued



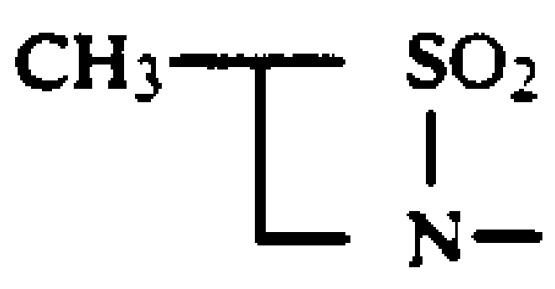
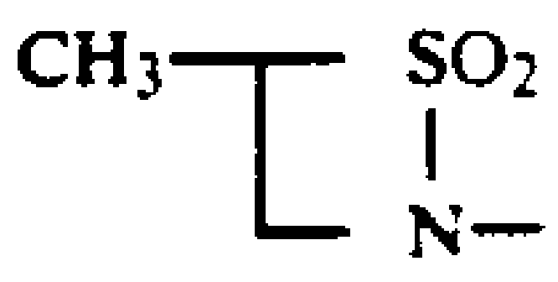
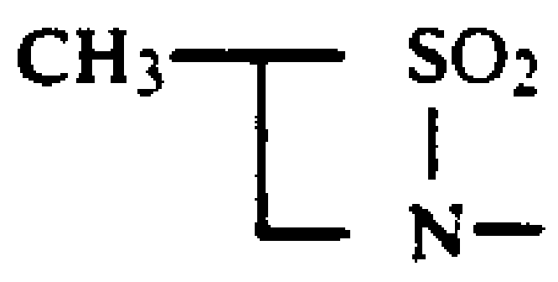
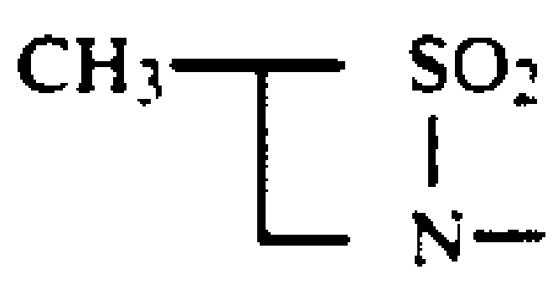
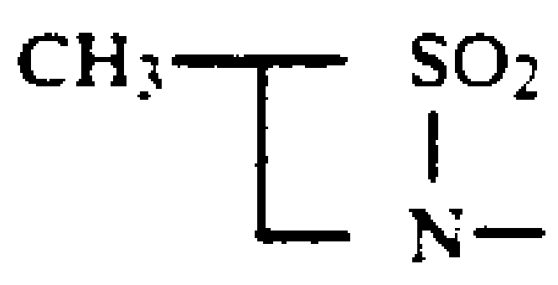
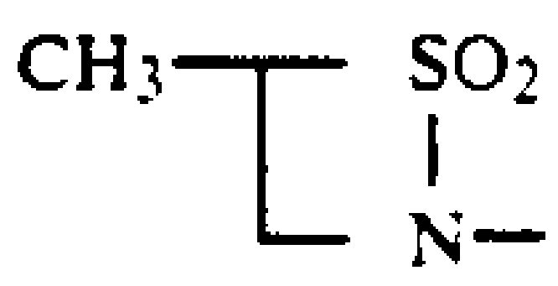
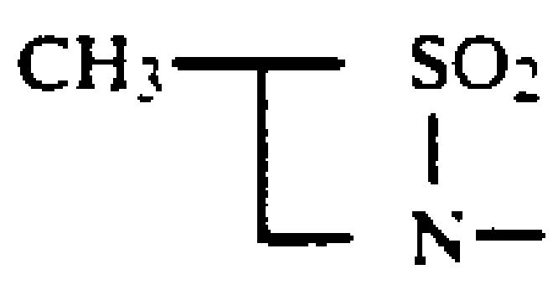
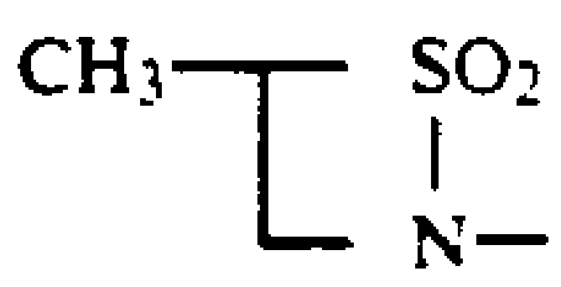
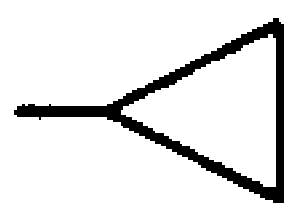
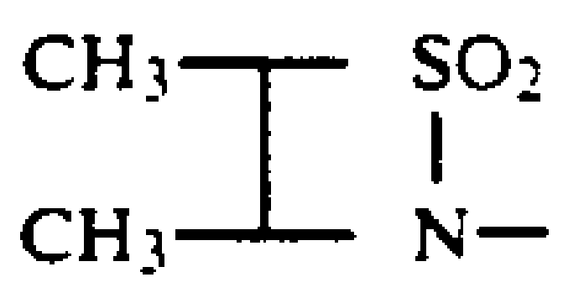
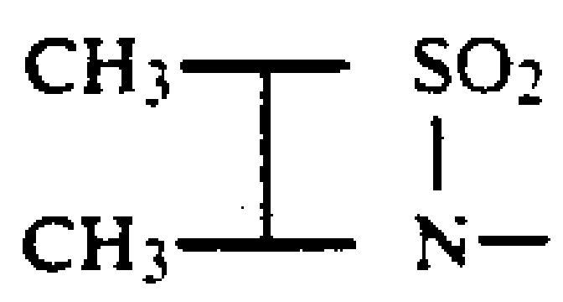
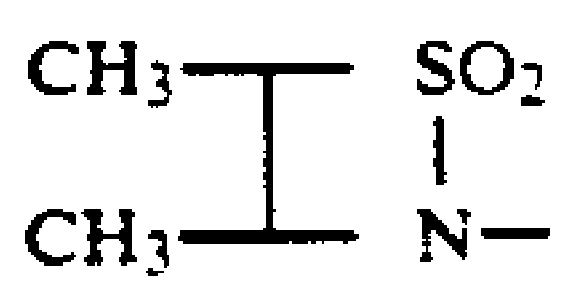
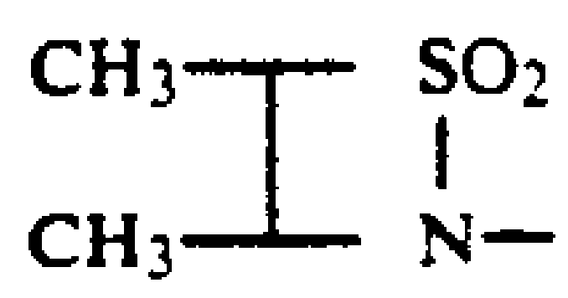
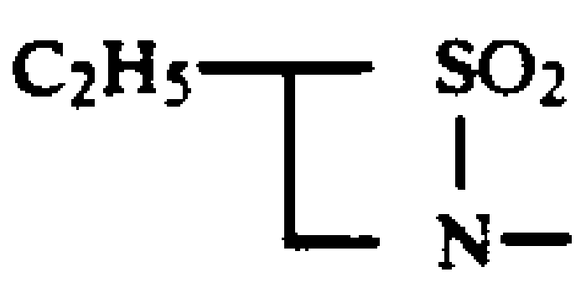
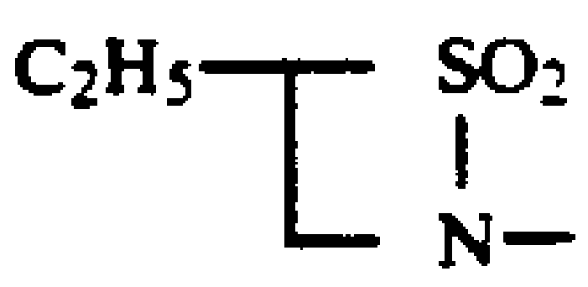
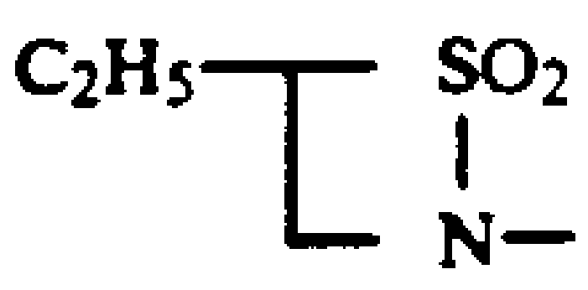
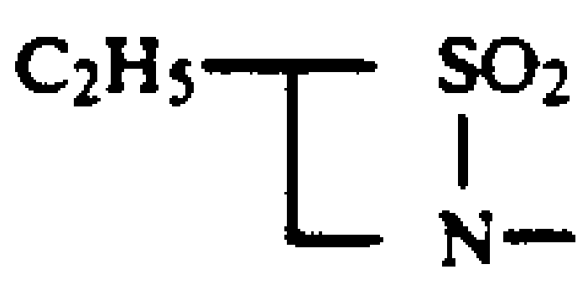
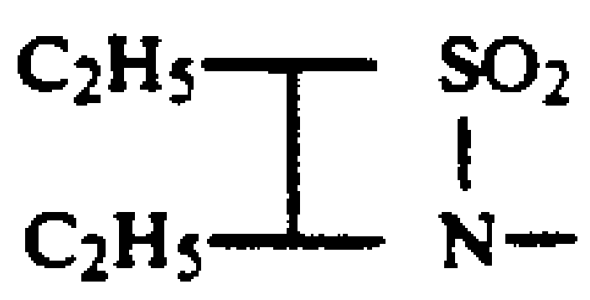
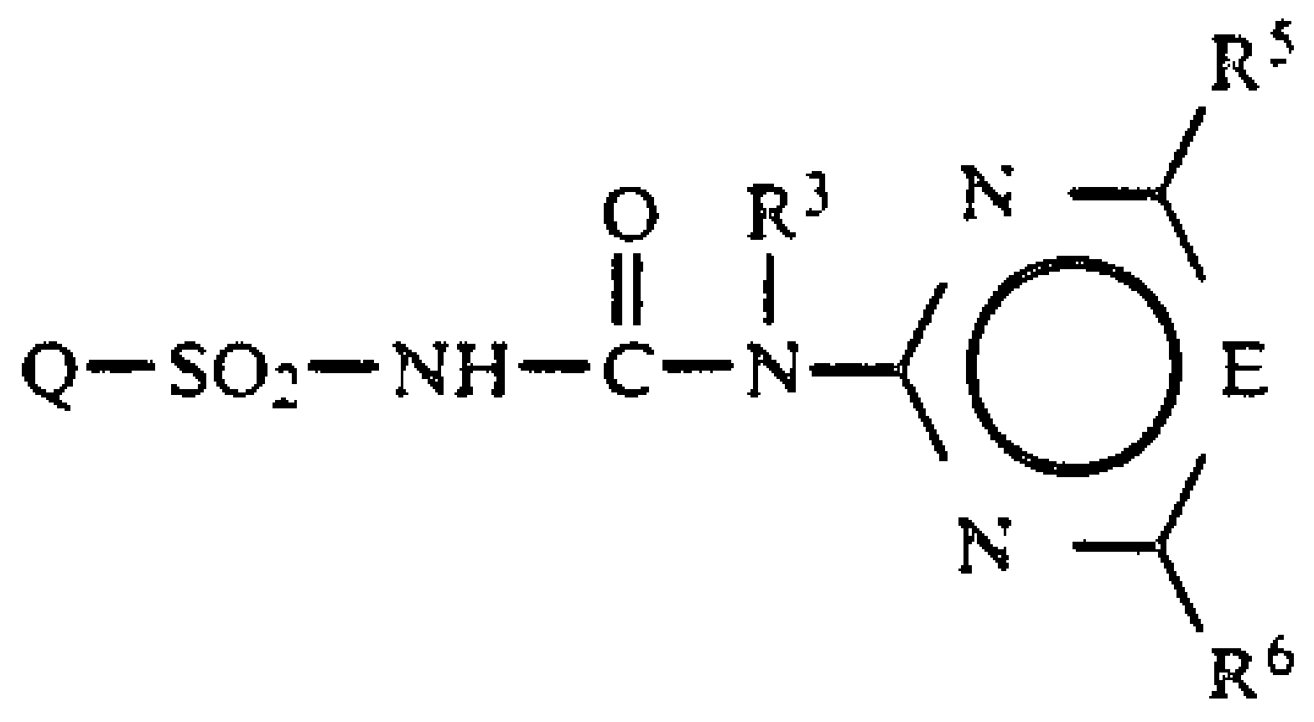
Example	Q	R^3	R^5	R^6	E	m.p.
68		C_2H_5	OCH_3	OCH_3	N	
69		H	OCH_3	CH_3	N	
70		H	OC_2H_5	$NHCH_3$	N	
71		H	OCH_3	$NHCH_3$	CH	
72		H	Cl	SCH_3	CH	
73		H	Cl	OCH_3	CH	
74		H	$OCHF_2$	$OCHF_2$	CH	
75		H		OCH_3	N	
76		H	OCH_3	OCH_3	CH	
77		H	OCH_3	OCH_3	CH	
78		H	OCH_3	Cl	CH	
79		H	OCH_3	CH_3	N	
80		H	OCH_3	OCH_3	CH	
81		H	OCH_3	Cl	CH	
82		H	$OCHCF_2$	OCH_3	CH	
83		H	CH_3	CH_3	CH	
84		H	OCH_3	OCH_3	CH	

TABLE 1-continued



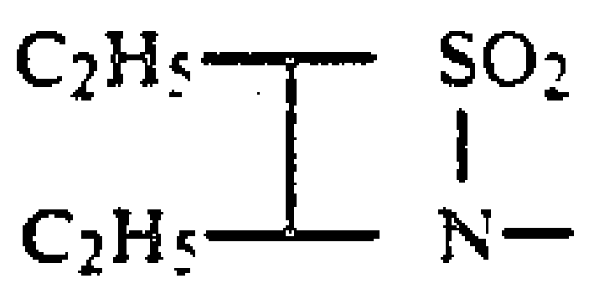
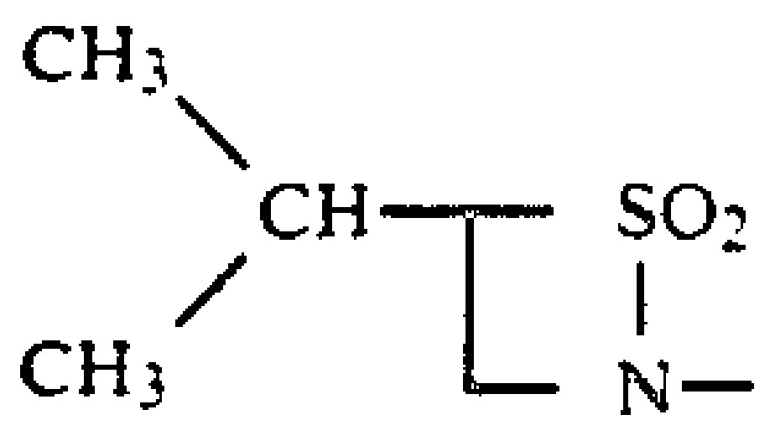
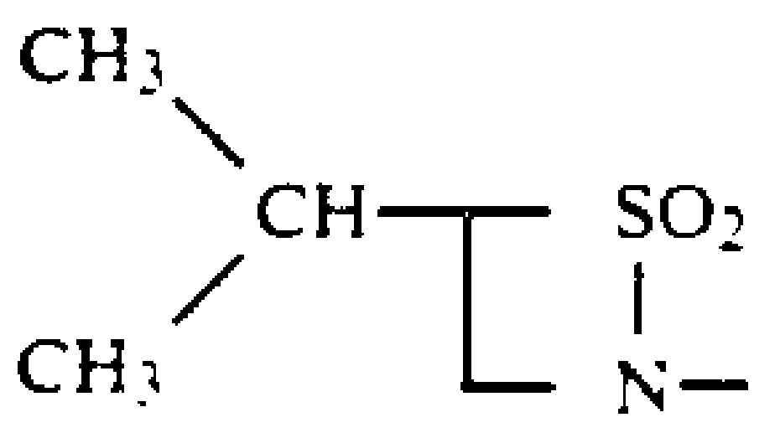
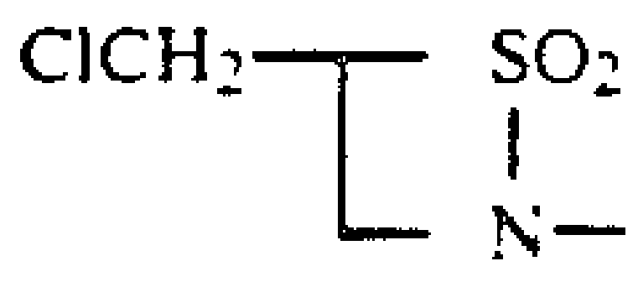
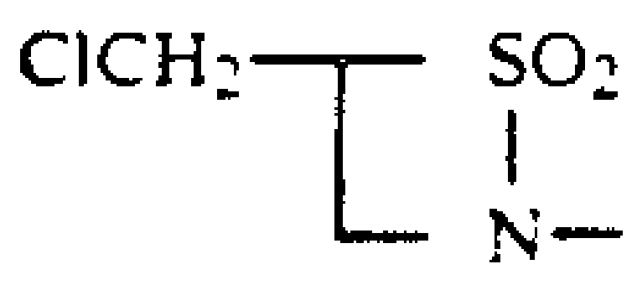
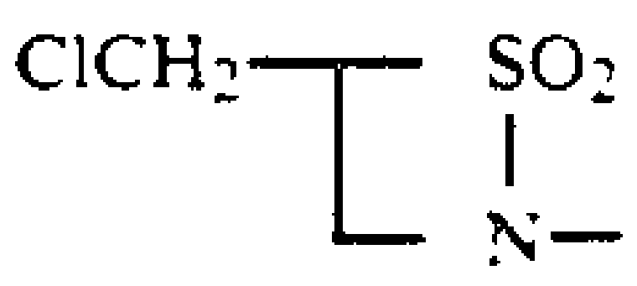
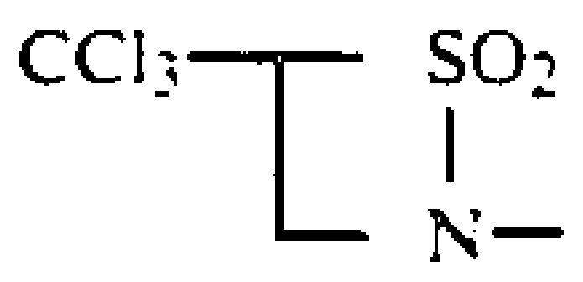
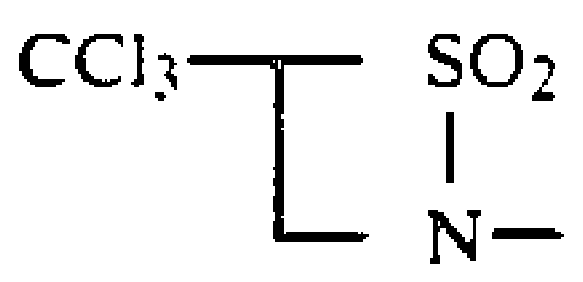
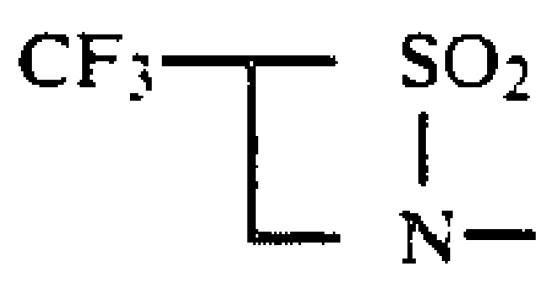
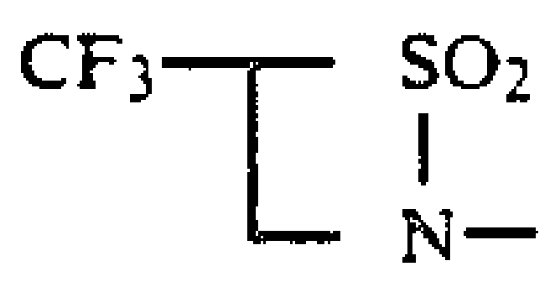
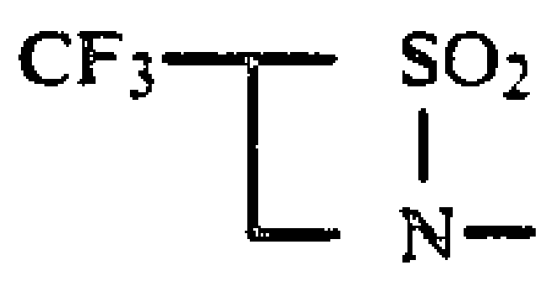
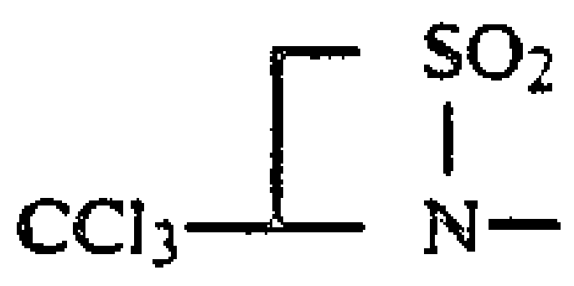
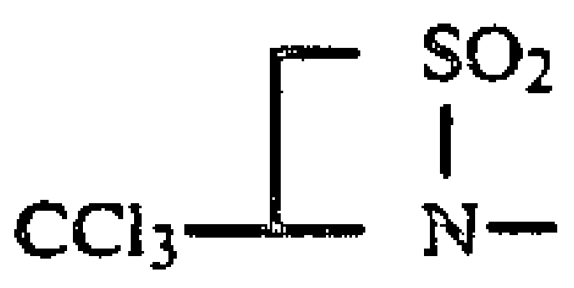
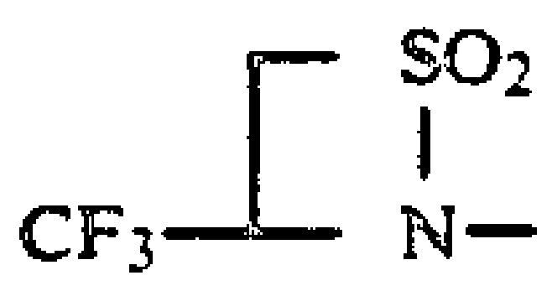
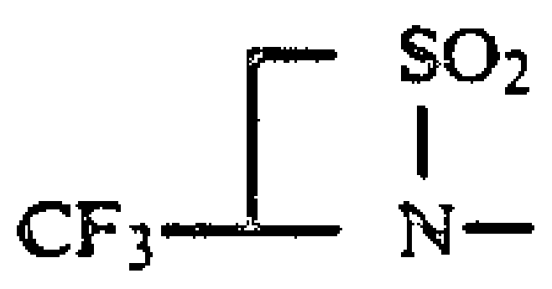
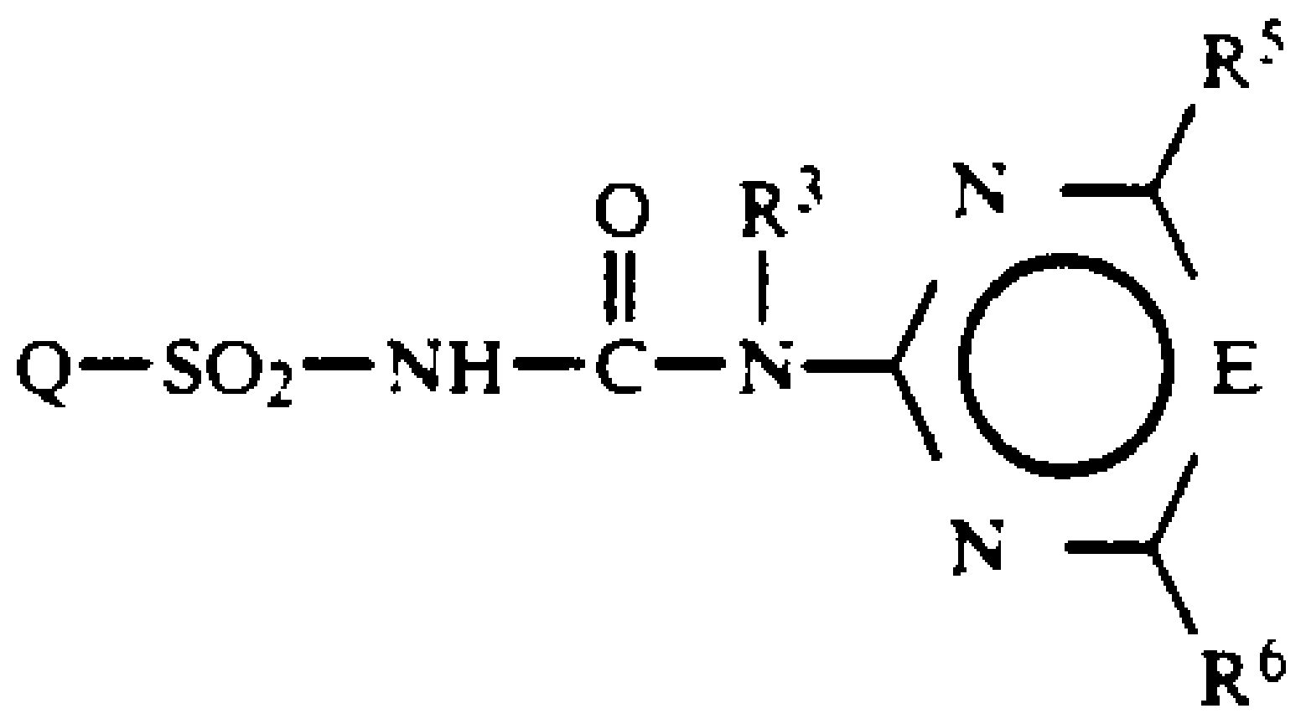
Example	Q	R^3	R^5	R^6	E	m.p.
85		H	OCH_3	Cl	CH	
86		H	OCH_3	OCH_3	CH	
87		H	OCH_3	CH_3	N	
88		H	OCH_3	OCH_3	CH	
89		H	OCH_3	CH_3	CH	
90		H	OCH_3	CH_3	N	
91		H	OCH_3	OCH_3	CH	
92		H	OCH_3	Cl	CH	
93		H	OCH_3	OCH_3	CH	
94		H	CH_3	CH_3	CH	
95		H	OCH_3	CH_3	N	
96		H	OCH_3	OCH_3	CH	
97		H	CH_3	CH_3	CH	
98		H	OCH_3	OCH_3	CH	
99		H	OCH_3	CH_3	CH	

TABLE 1-continued



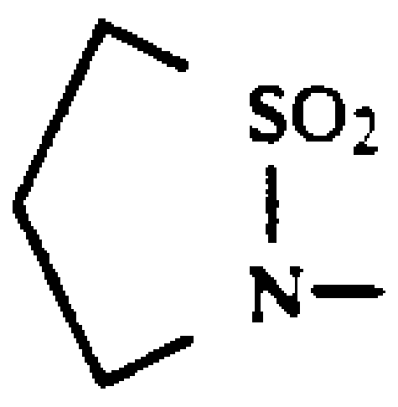
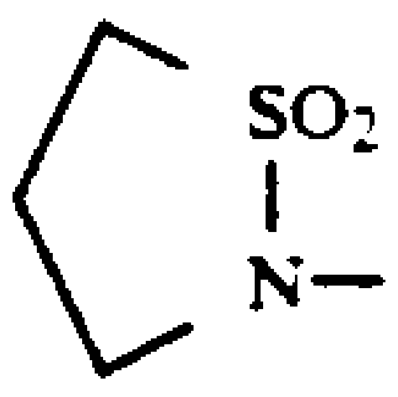
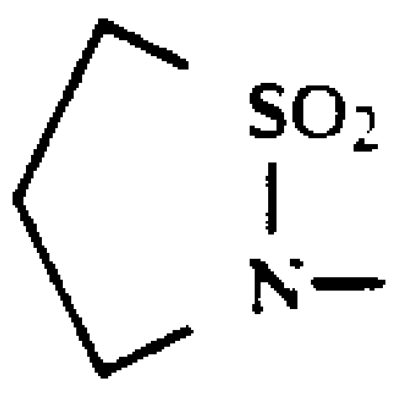
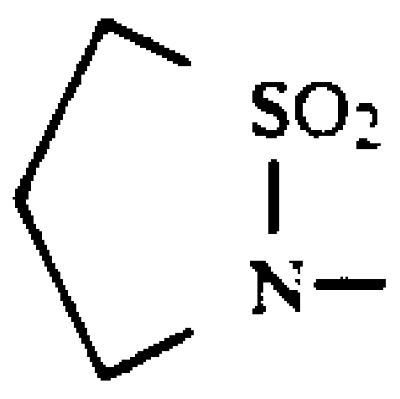
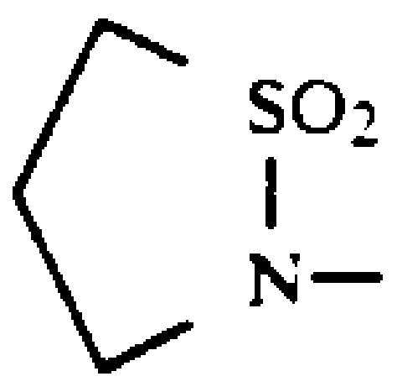
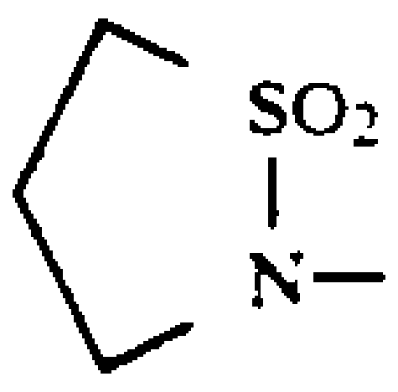
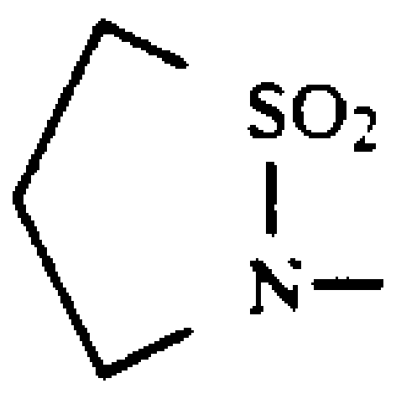
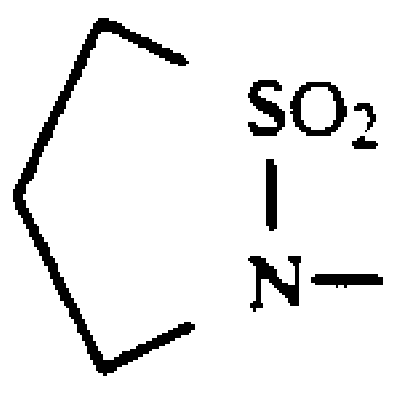
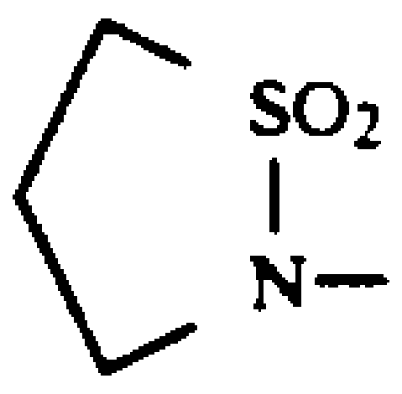
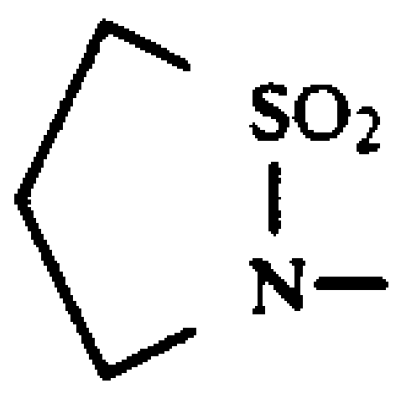
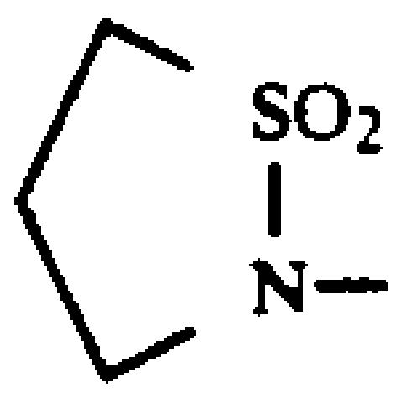
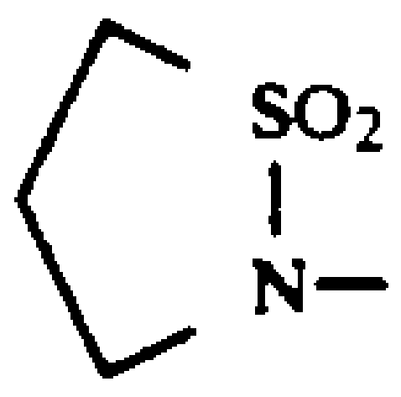
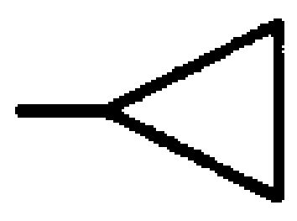
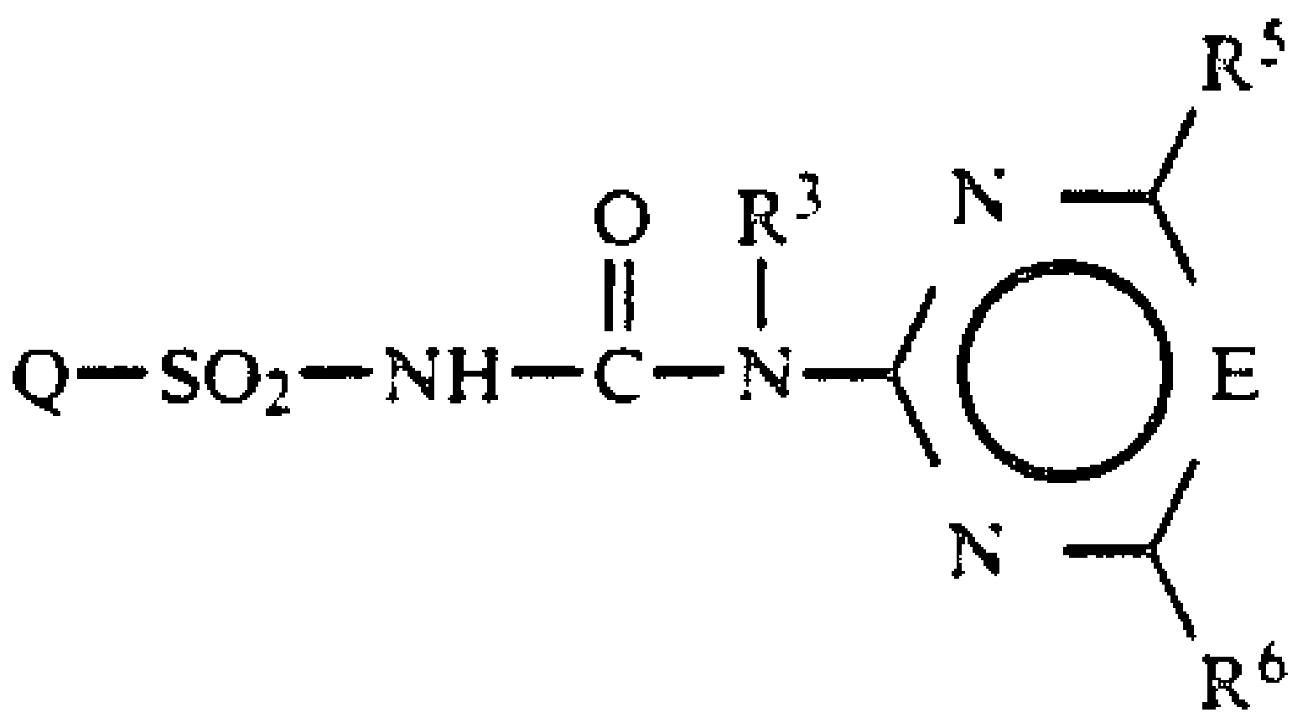
Example	Q	R^3	R^5	R^6	E	m.p.
100		H	OCH_3	CH_3	CH	
101		H	CH_3	CH_3	CH	161-163
102		H	OCH_3	Cl	CH	148-149
103		H	CH_3	Cl	CH	
104		H	$OCHF_2$	Cl	CH	
105		H	$OCHF_2$	OCH_3	CH	
106		H	$OCHF_2$	$OCHF_2$	CH	
107		H	OC_2H_5	Cl	CH	
108		H	OC_2H_5	CH_3	CH	
109		H	OC_2H_5	OCH_3	CH	
110		H	OCH_3	SCH_3	CH	
111		H	OCH_3		CH	

TABLE 1-continued



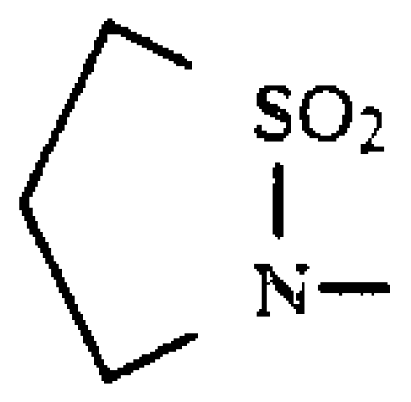
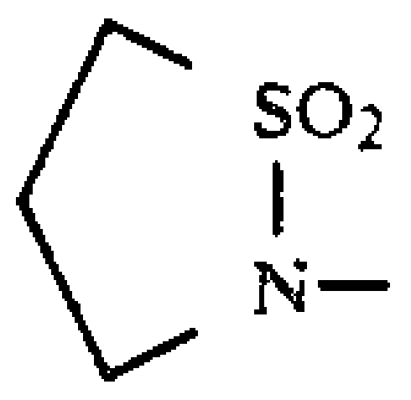
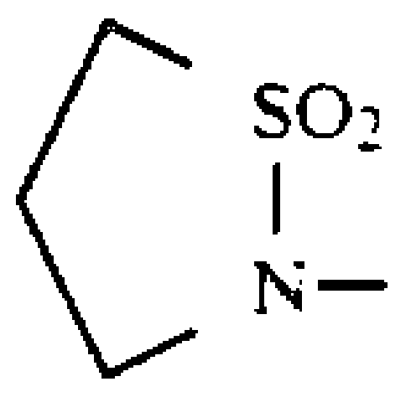
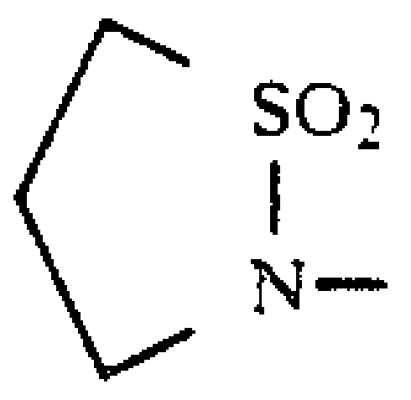
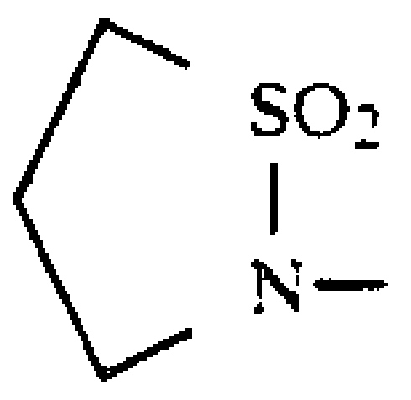
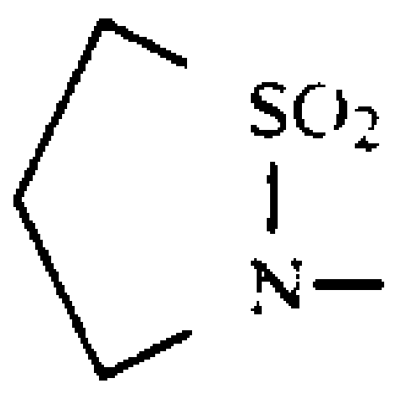
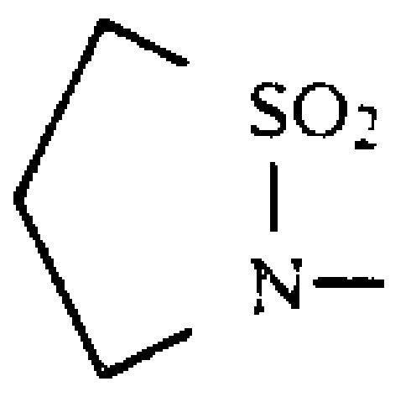
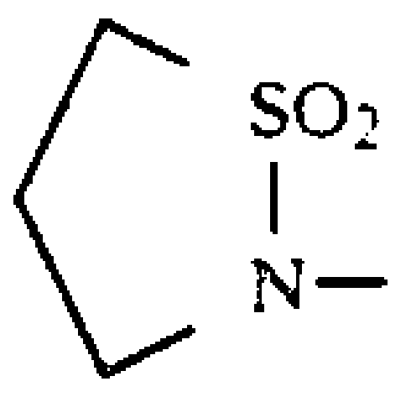
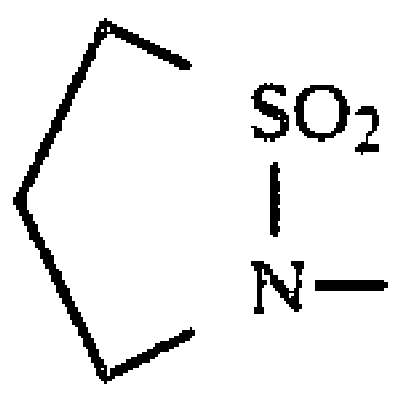
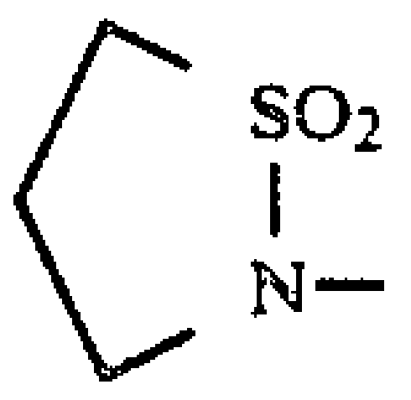
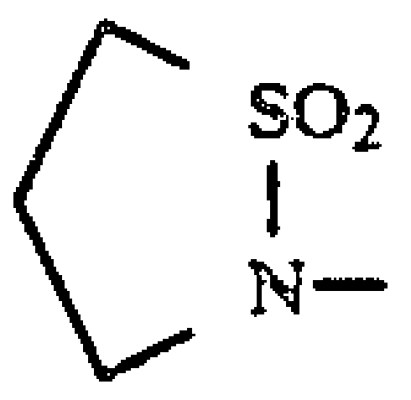
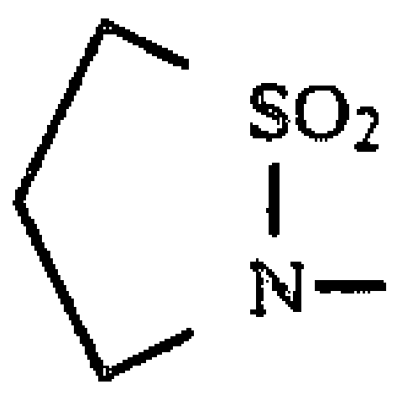
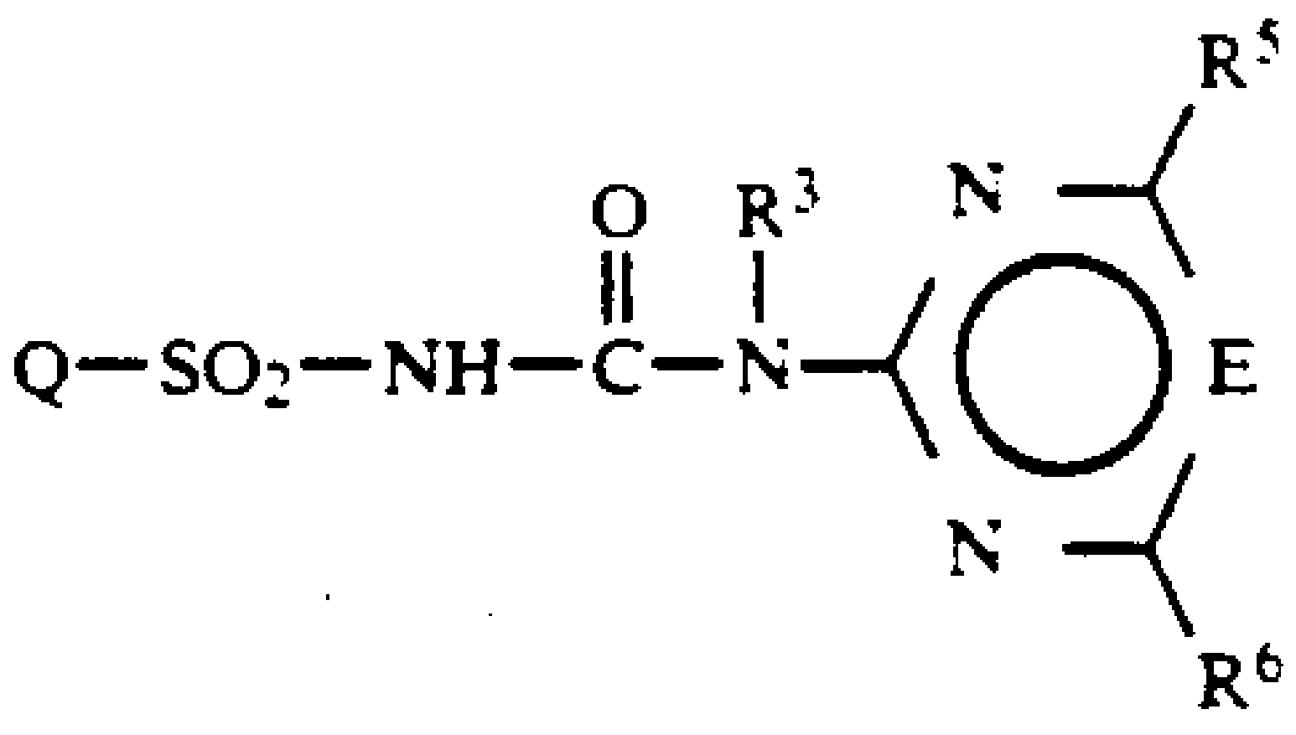
Example	Q	R^3	R^5	R^6	E	m.p.
112		H	OCH_3	$NHCH_3$	CH	
113		H	OCH_3	$H(CH_3)_2$	CH	
114		H	OCH_3	NH_2	CH	
115		CH_3	OCH_3	OCH_3	CH	
116		CH_3	OCH_3	CH_3	CH	
117		CH_2 $CH{=}CH_2$	OCH_3	OCH_3	CH	
118		OCH_3	OCH_3	OCH_3	CH	
119		H	OCH_3	OCH_3	N	
120		H	OCH_3	CH_3	N	
121		H	CH_3	CH_3	N	
122		H	OCH_3	$NHCH_3$	N	
123		H	OCH_3	$N(CH_3)_2$	N	

TABLE 1-continued



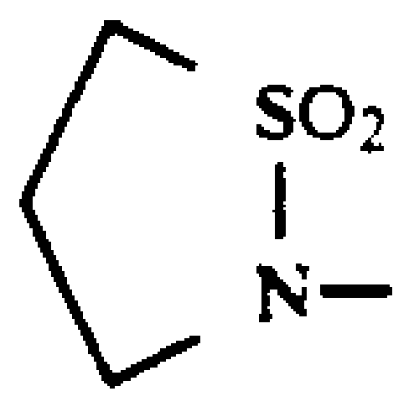
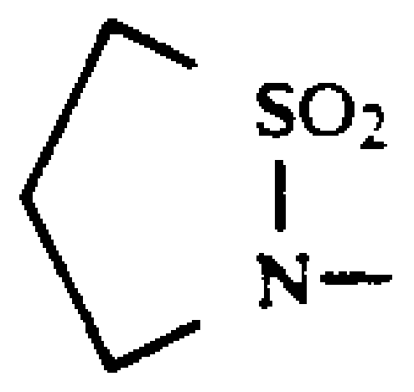
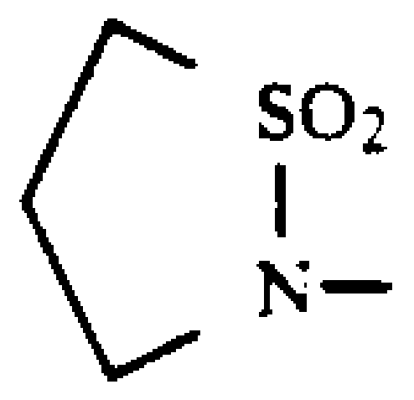
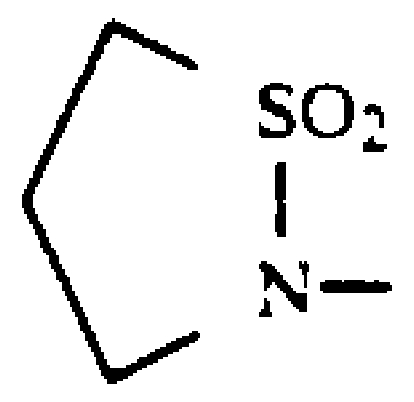
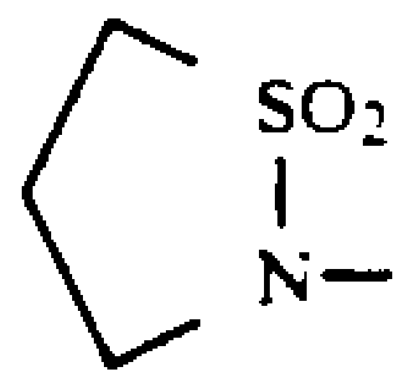
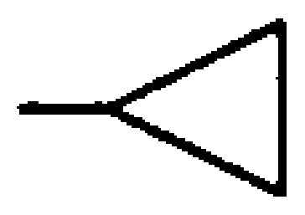
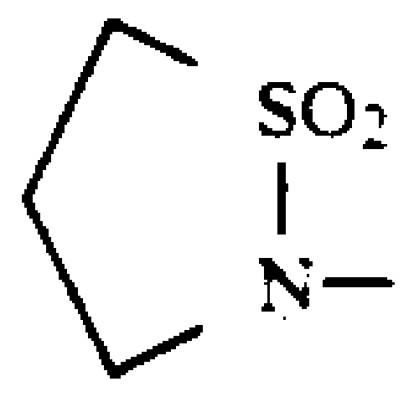
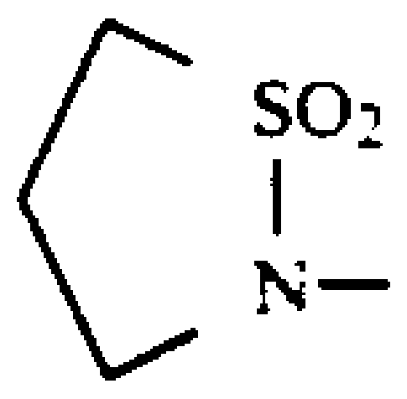
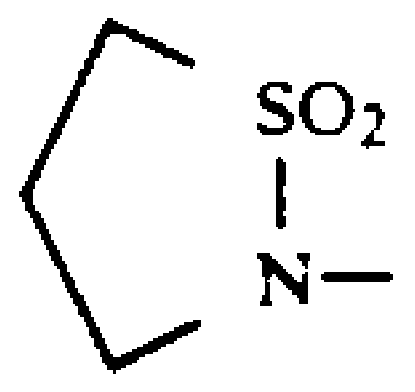
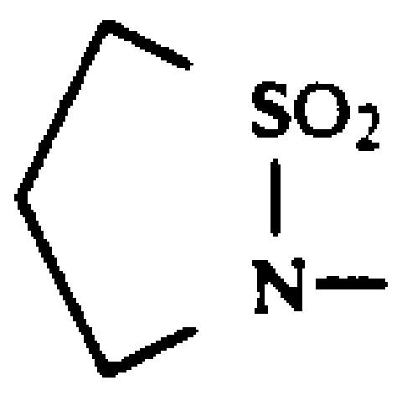
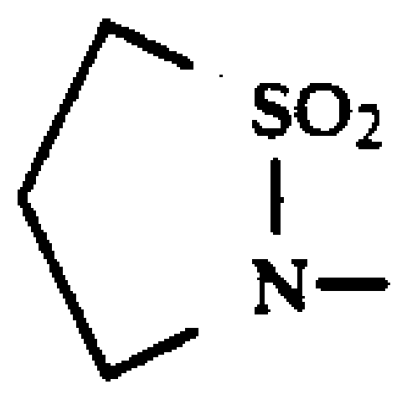
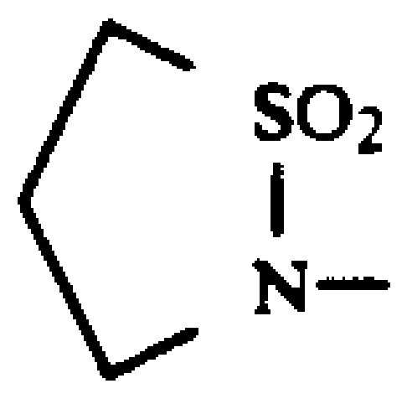
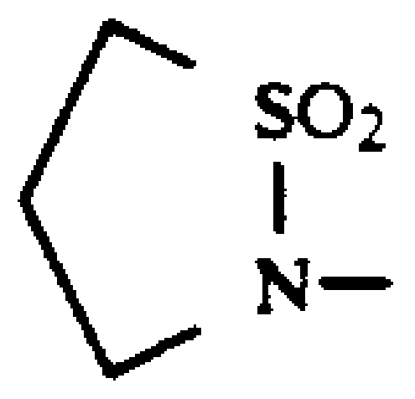
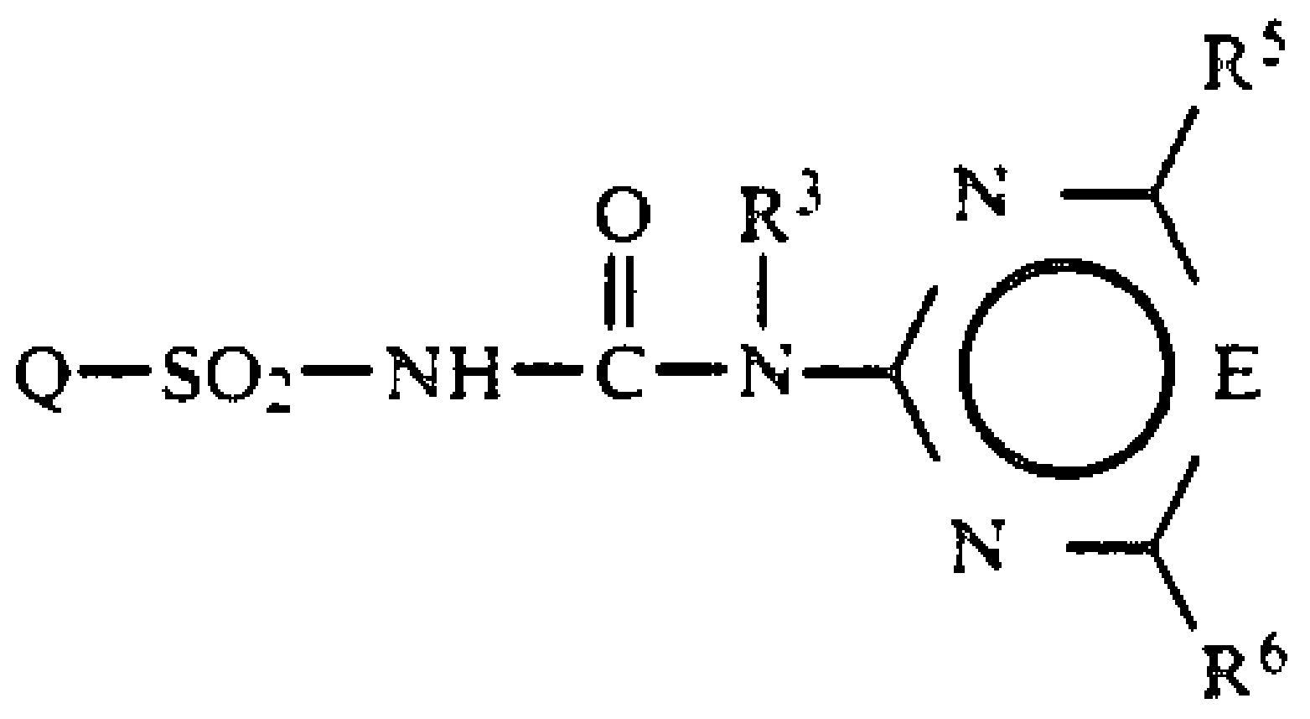
Example	Q	R³	R⁵	R⁶	E	m.p.
124		H	OC_2H_5	$NHCH_3$	N	
125		H	OCH_3	OCH_2CF_3	N	
126		H	OCH_3	$OCHF_2$	N	
127		H	OCH_3	CH_2Cl	N	
128		H	OCH_3		N	
129		H	OCH_3	$CH(OCH_3)_2$	N	
130		H	SCH_3	CH_3	N	
131		H	SCH_3	C_2H_5	N	
132		H	SCH_3	OCH_3	N	
133		H	SCH_3	OC_2H_5	N	
134		H	CH_2COOCH_3	OCH_3	N	
135		H	CH_2COOCH_3	OC_2H_5	N	

TABLE 1-continued



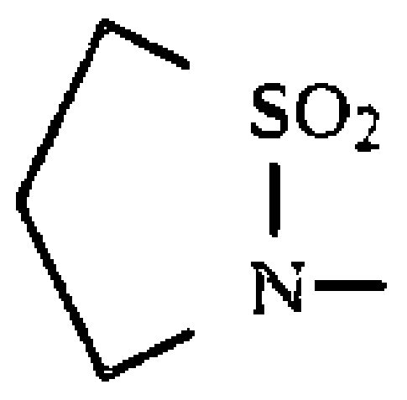
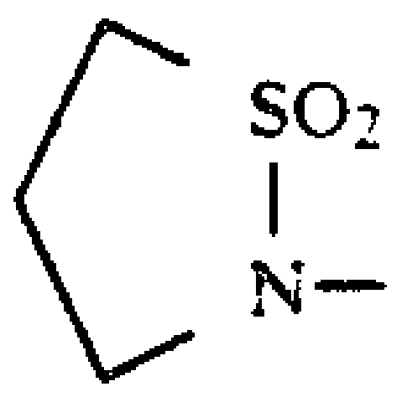
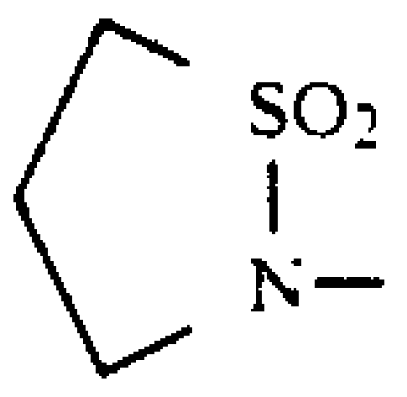
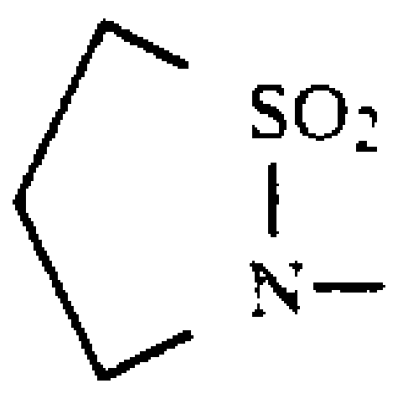
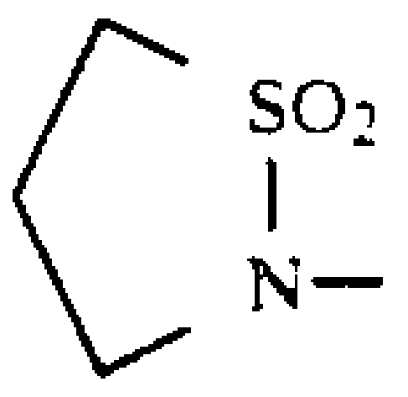
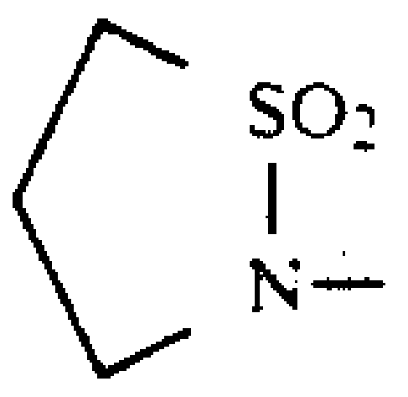
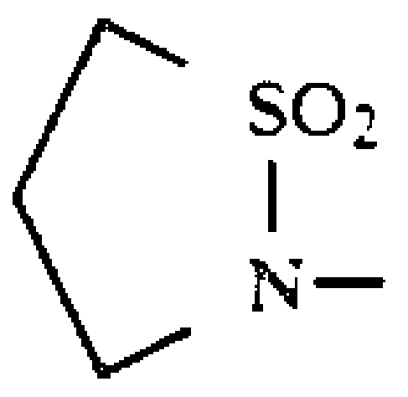
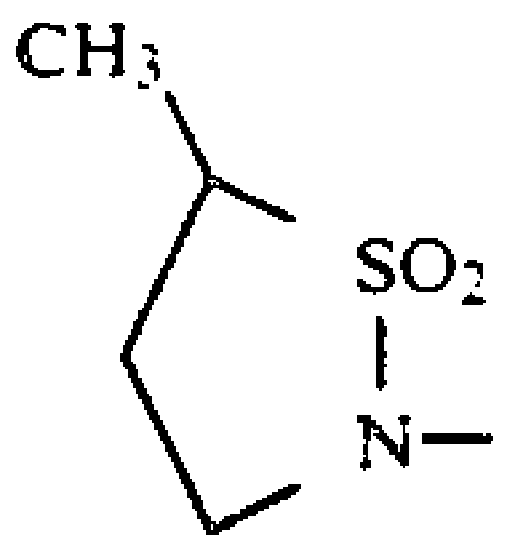
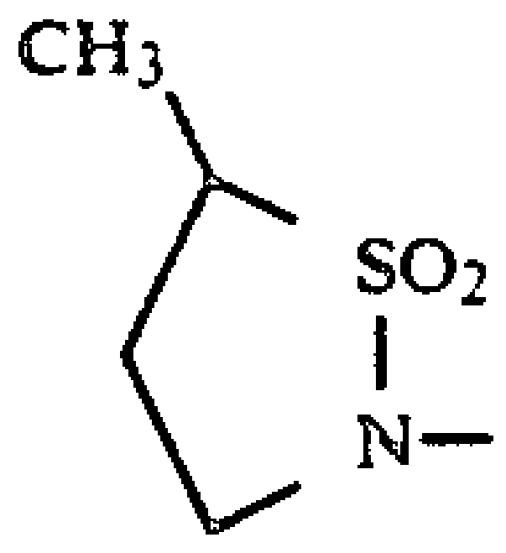
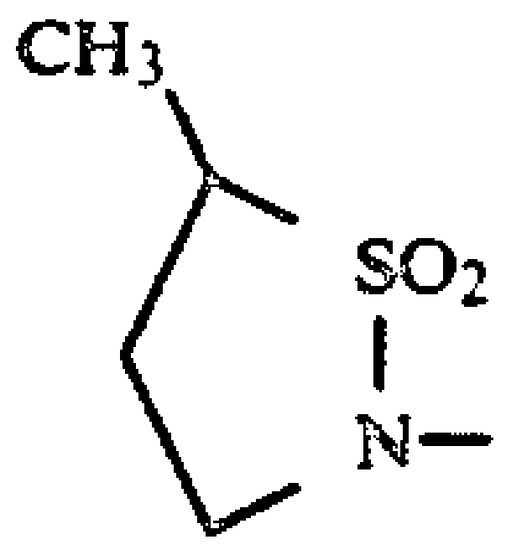
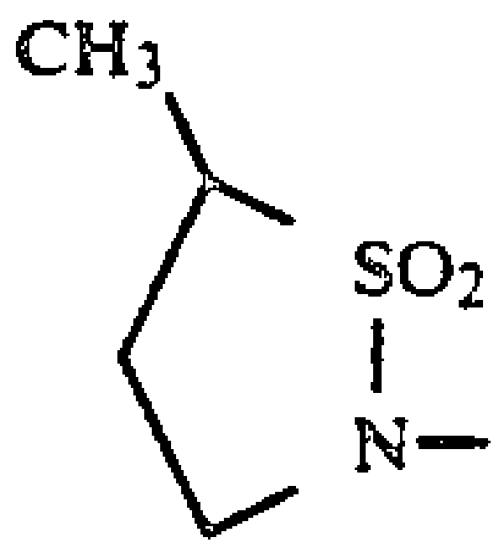
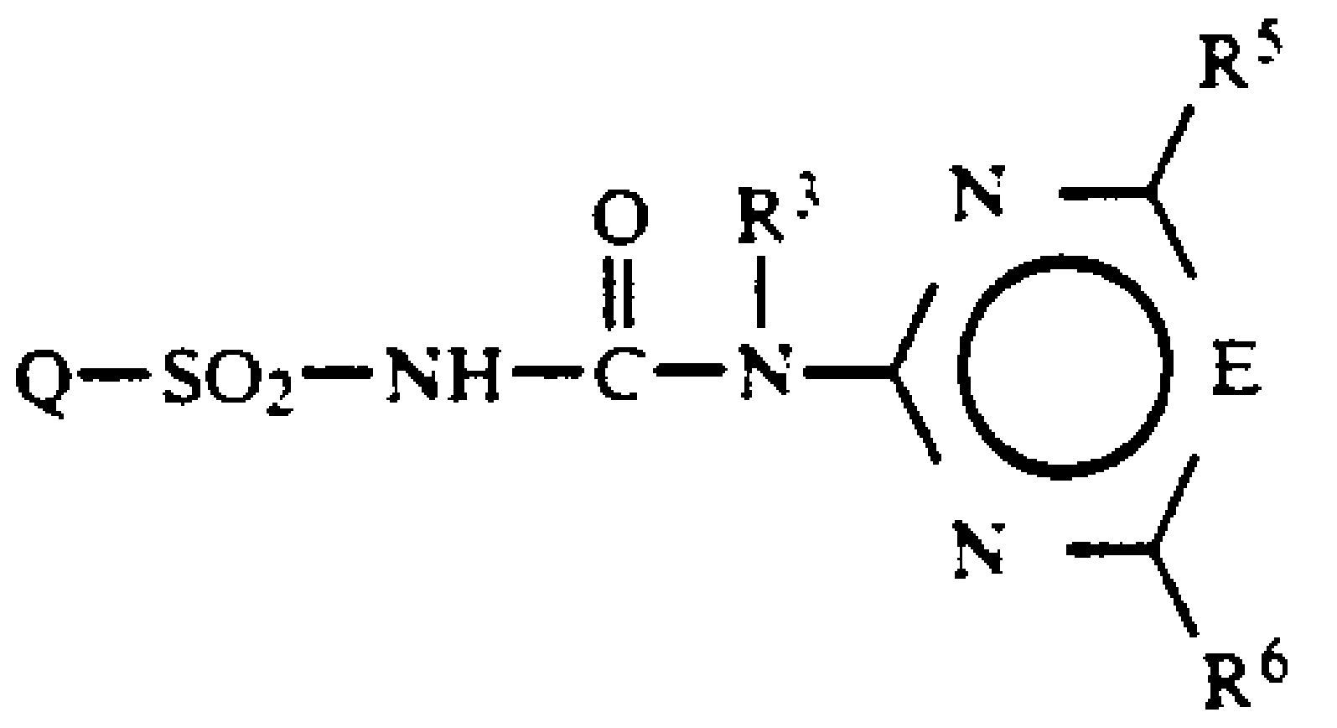
Example	Q	R^3	R^5	R^6	E	m.p.
136		CH_3	OCH_3	OCH_3	N	
137		CH_3	OCH_3	CH_3	N	
138		C_2H_5	OCH_3	OCH_3	N	
139		C_2H_5	OCH_3	CH_3	N	
140		H	CF_3	CH_3	CH	
141		H	CF_3	OCH_3	CH	
142		H	CF_3	OCH_3	N	
143		H	OCH_3	OCH_3	CH	120-124
144		H	OCH_3	CH_3	CH	
145		H	CH_3	CH_3	CH	
146		H	OCH_3	Cl	CH	

TABLE 1-continued



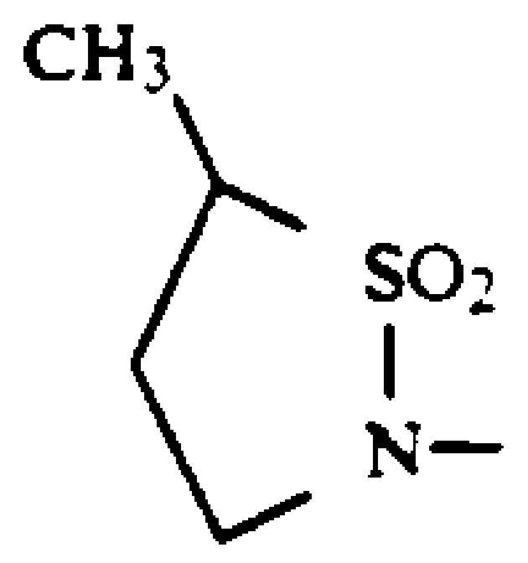
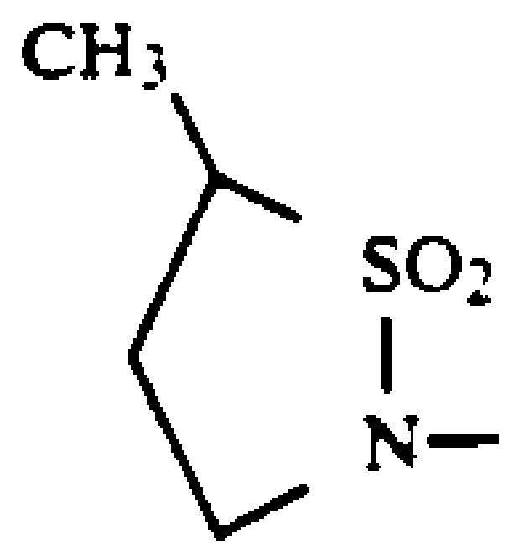
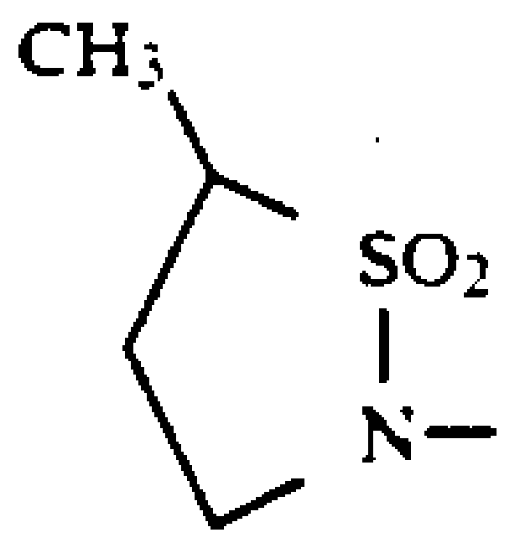
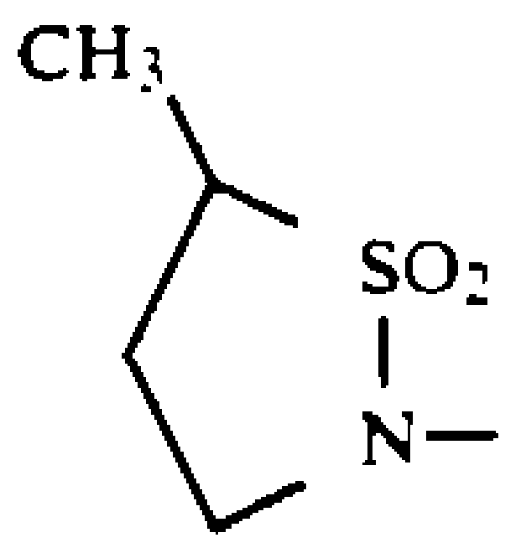
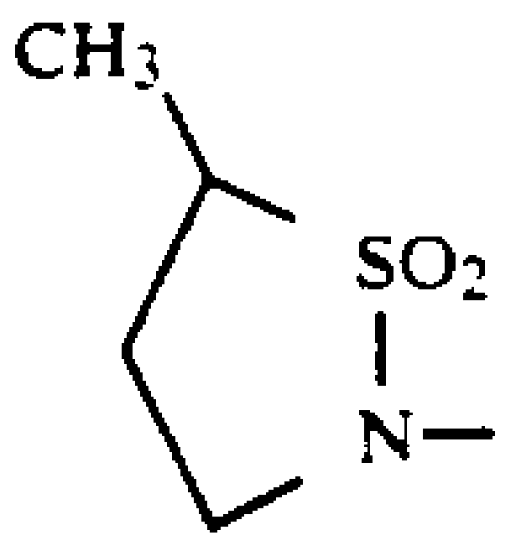
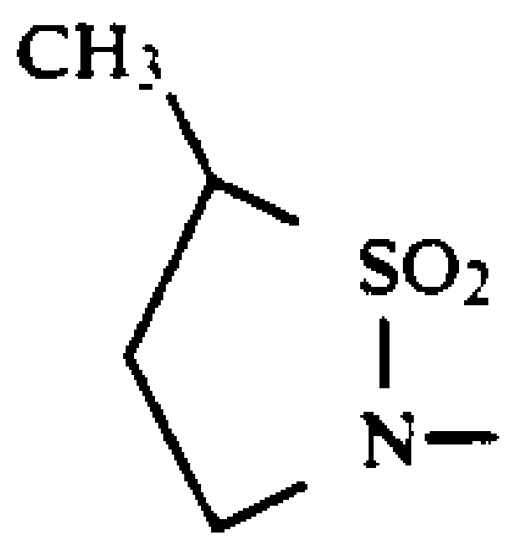
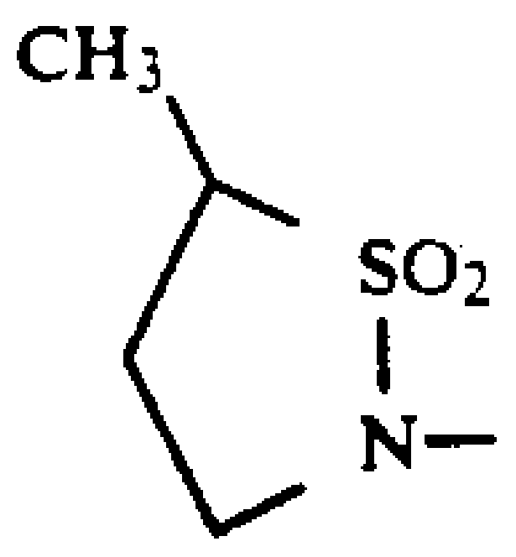
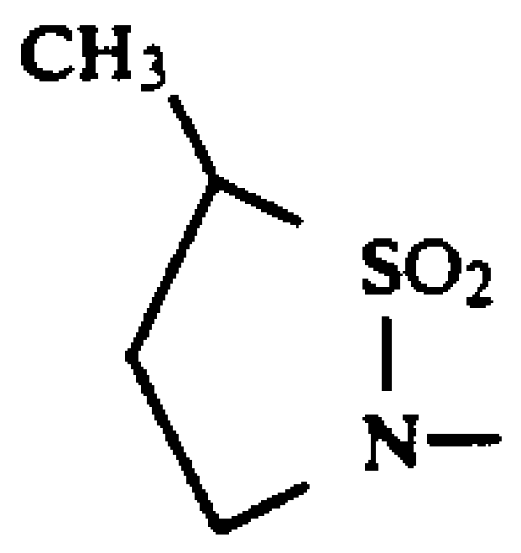
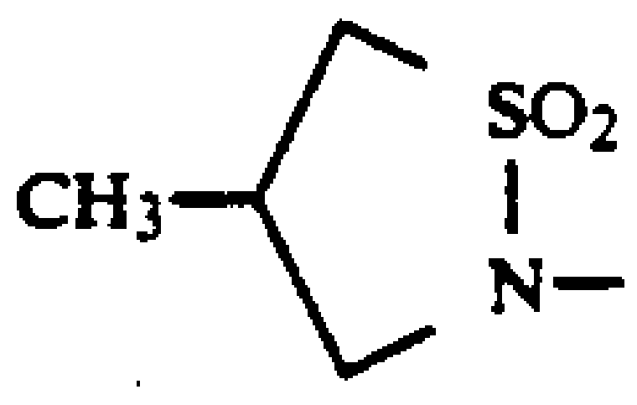
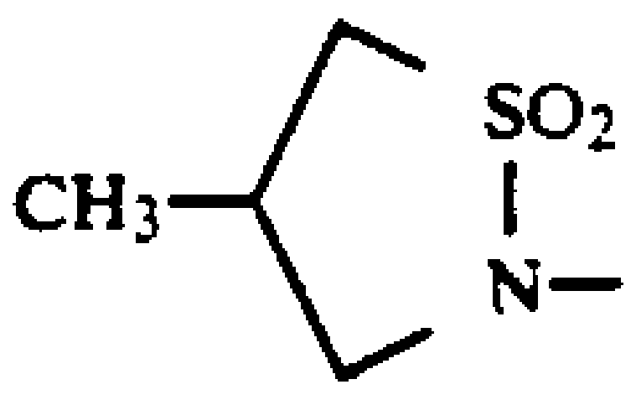
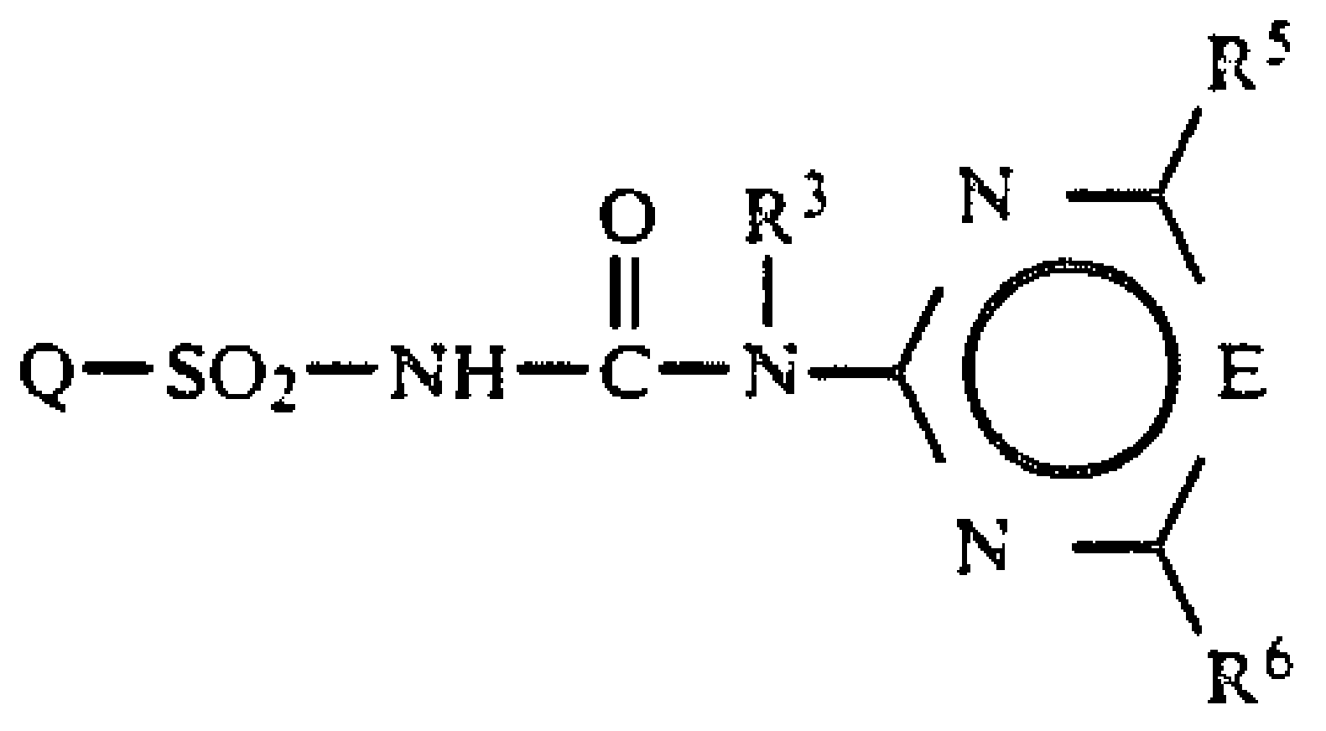
Example	Q	R³	R⁵	R⁶	E	m.p.
147		H	OCH_3	$NHCH_3$	CH	
148		H	$OCHF_2$	OCH_3	CH	
149		H	$OCHF_2$	$OCHF_2$	CH	
150		H	OCH_3	OCH_3	N	
151		H	OCH_3	CH_3	N	
152		CH_3	OCH_3	CH_3	N	
153		H	OCH_3	$NHCH_3$	N	
154		H	OCH_3	NHC_2H_5	N	
155		H	OCH_3	OCH_3	CH	
156		H	OCH_3	CH_3	CH	

TABLE 1-continued



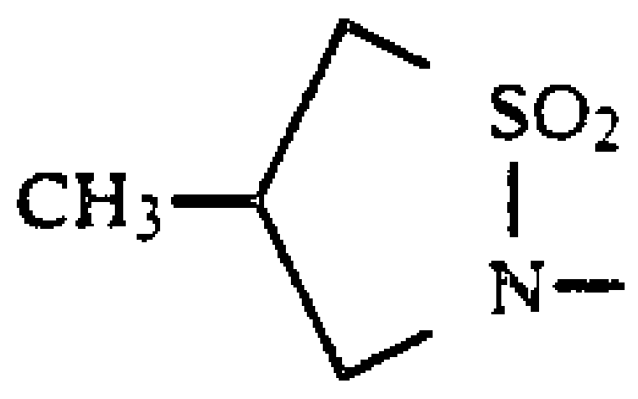
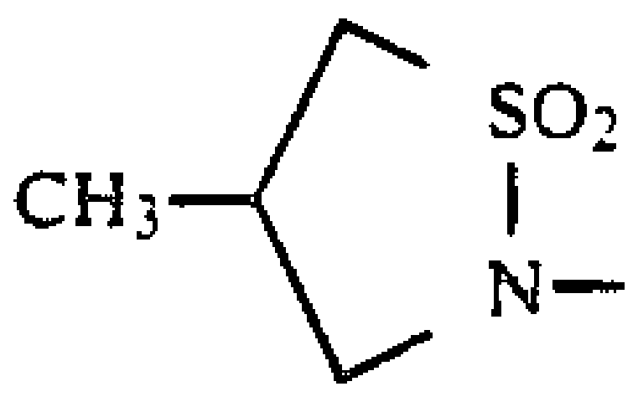
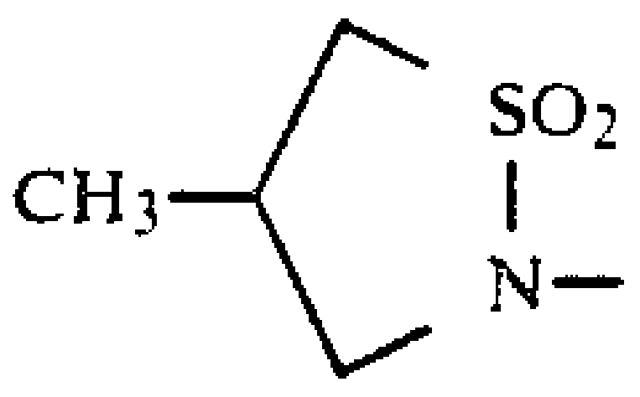
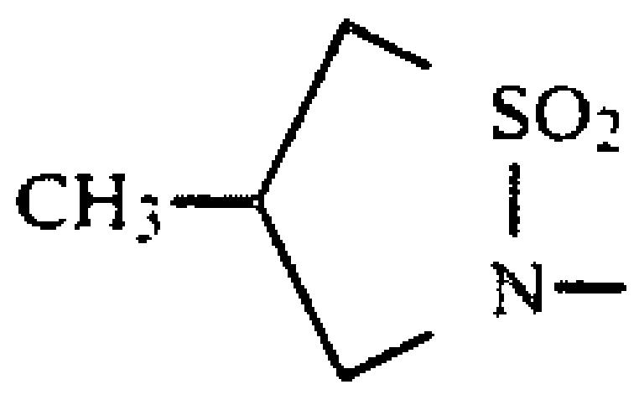
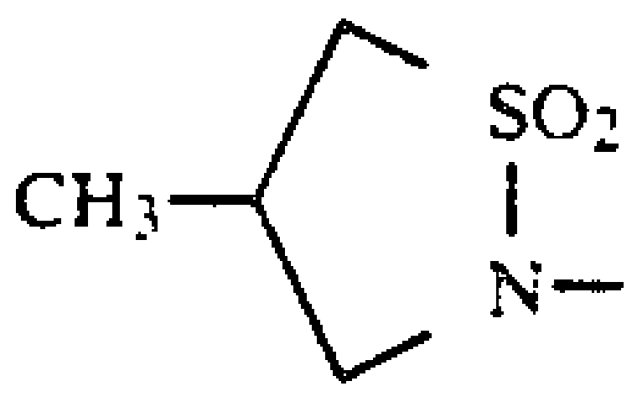
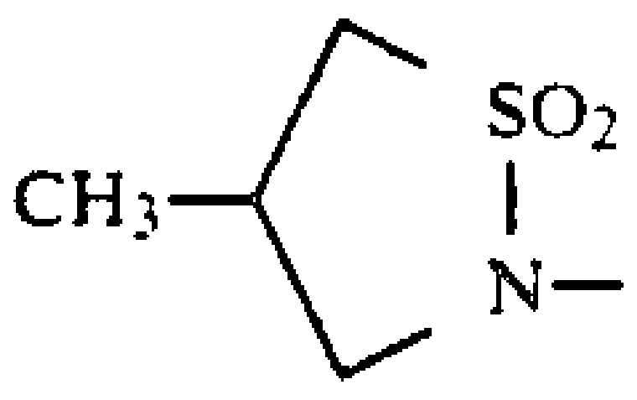
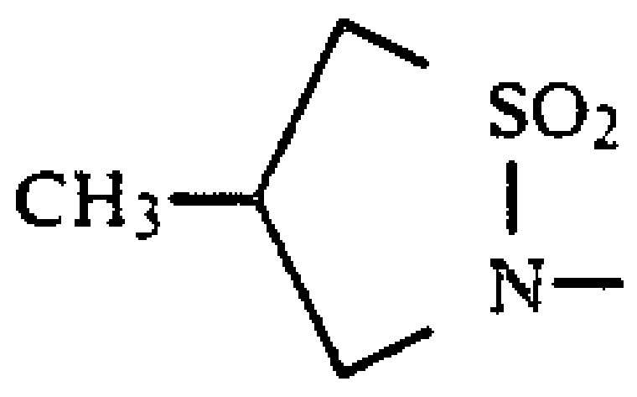
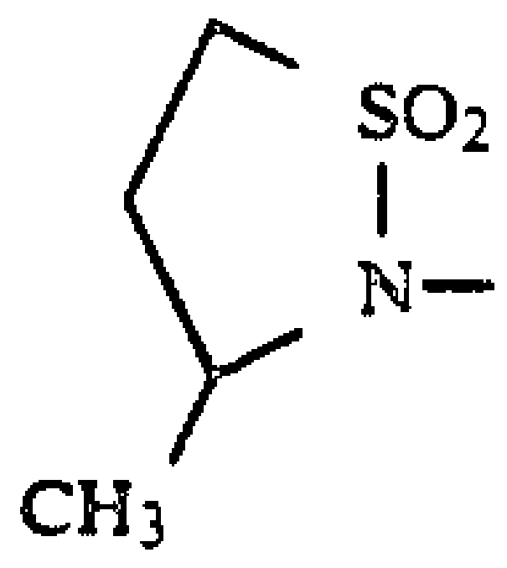
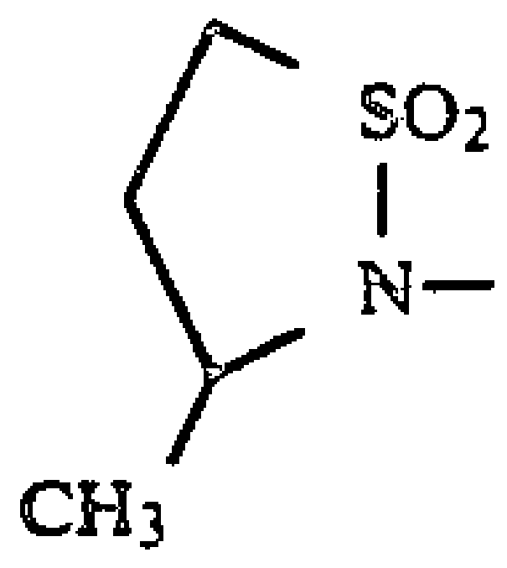
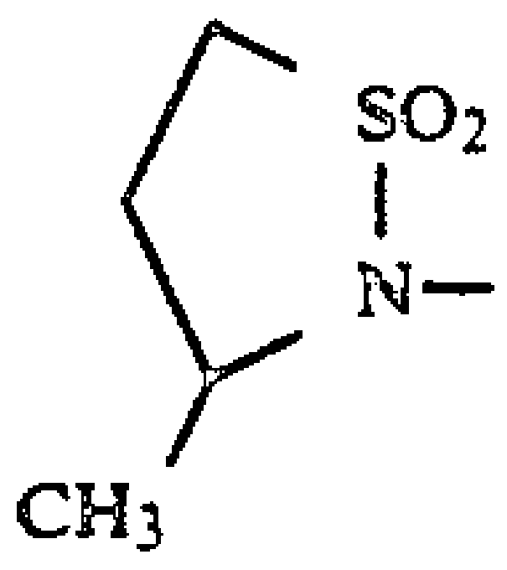
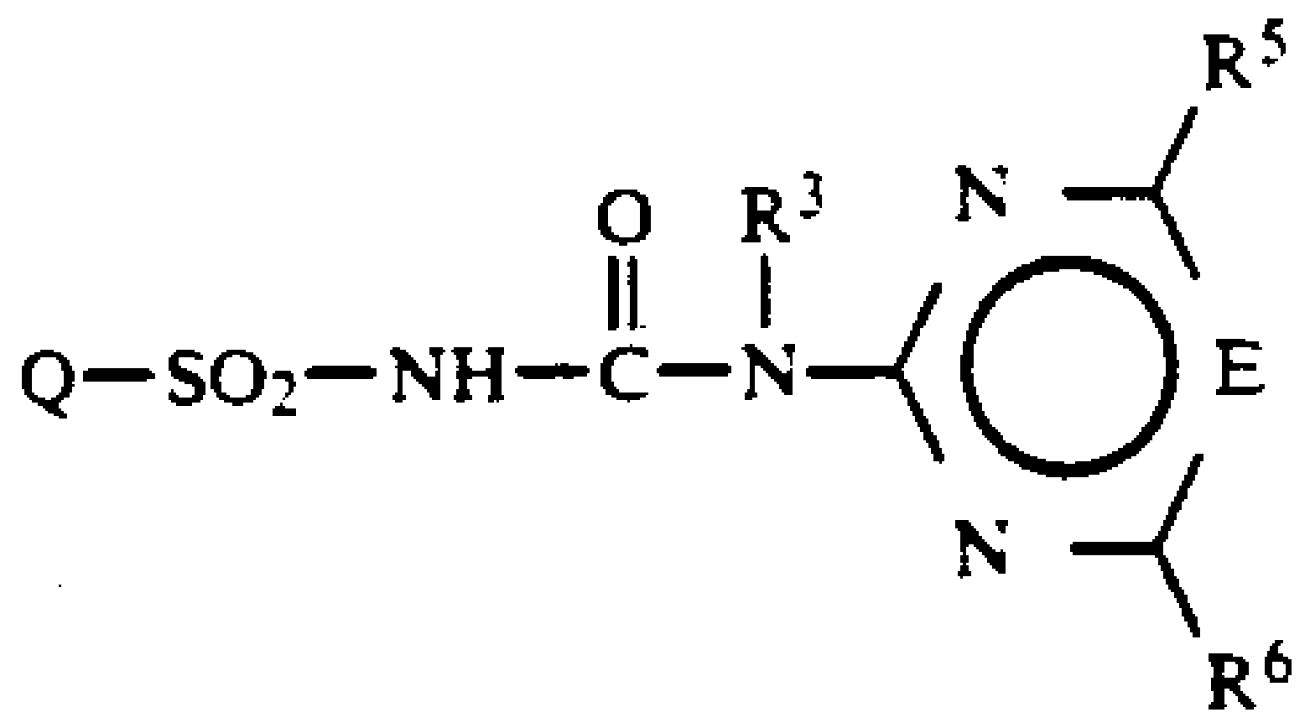
Example	Q	R^3	R^5	R^6	E	m.p.
157		H	CH_3	CH_3	CH	
158		H	OCH_3	Cl	CH	
159		H	CH_3	Cl	CH	
160		H	$OCHF_2$	$OCHF_2$	CH	
161		H	OCH_3	CH_3	N	
162		H	OCH_3	OCH_3	N	
163		CH_3	OCH_3	CH_3	N	
164		H	OCH_3	OCH_3	CH	
165		H	OCH_3	CH_3	CH	
166		H	CH_3	CH_3	CH	

TABLE 1-continued



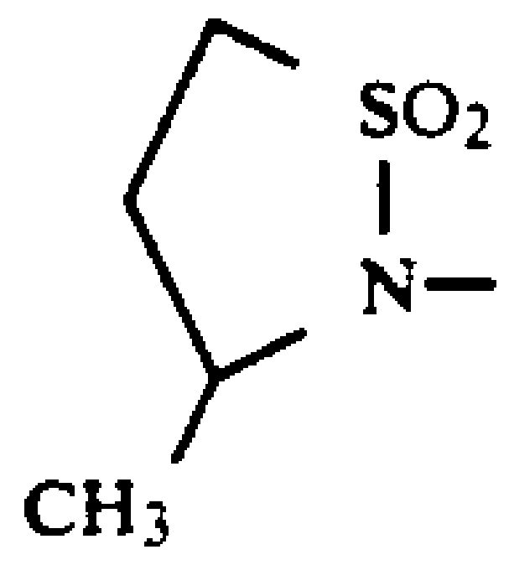
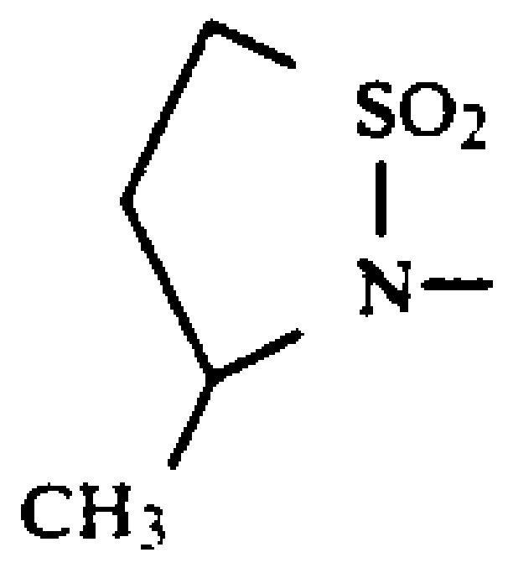
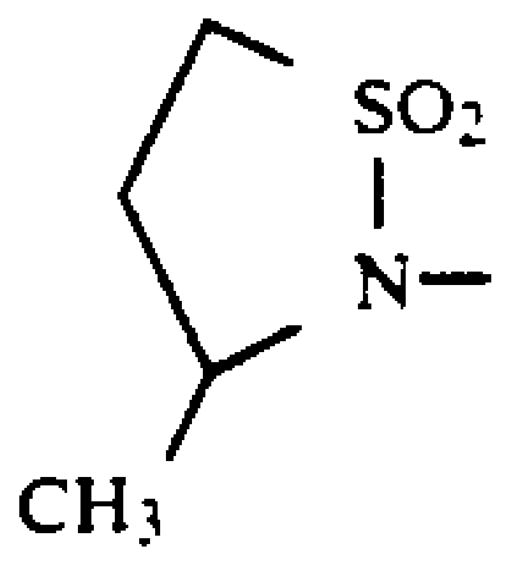
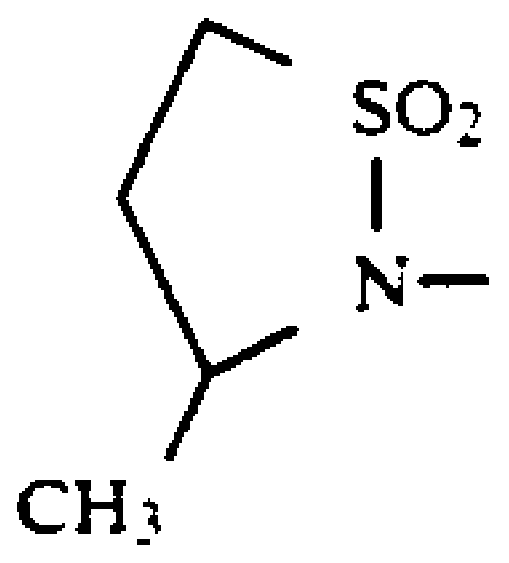
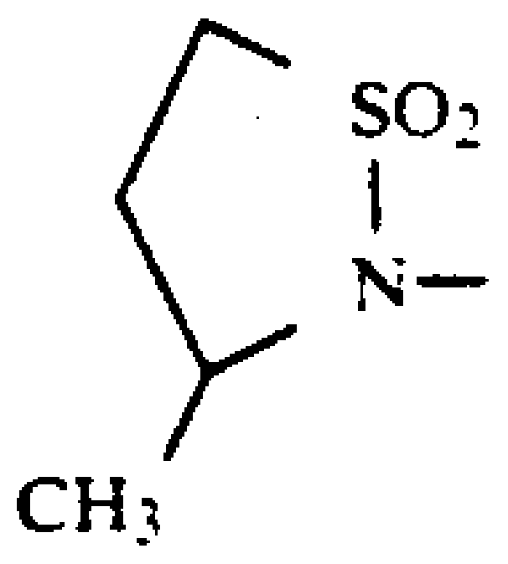
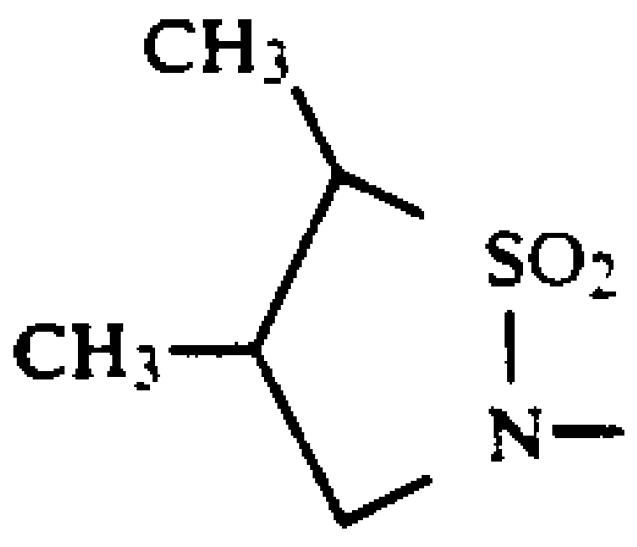
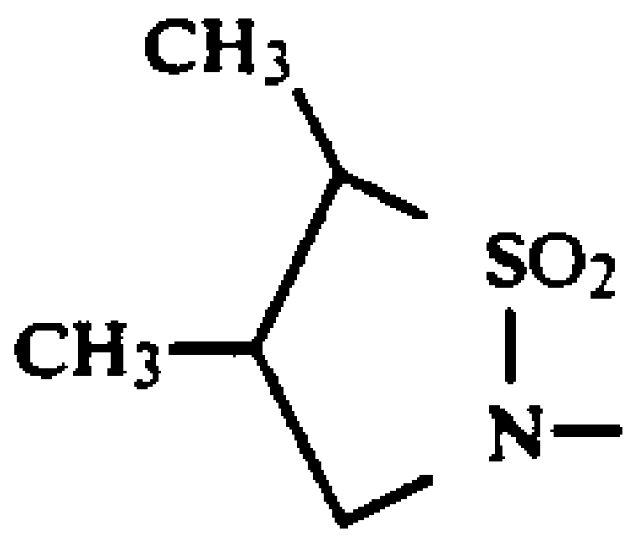
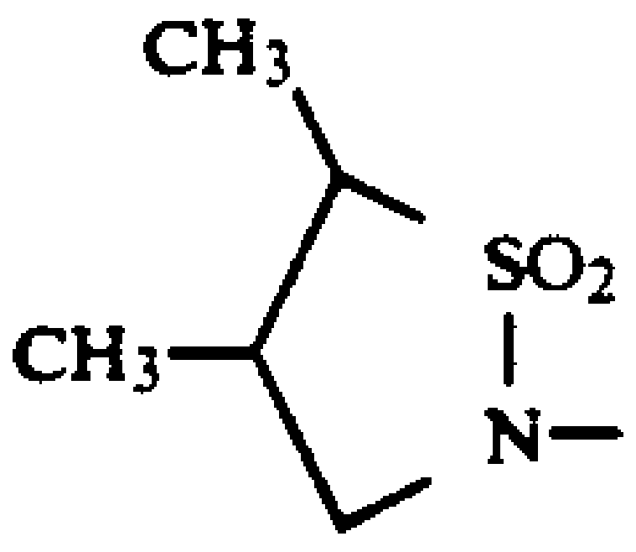
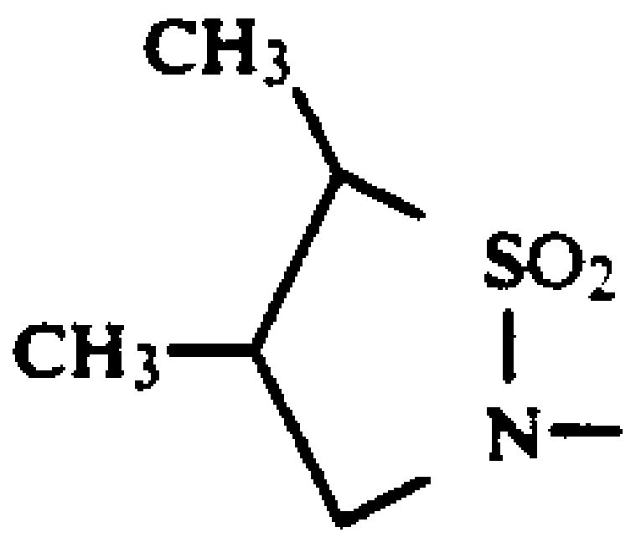
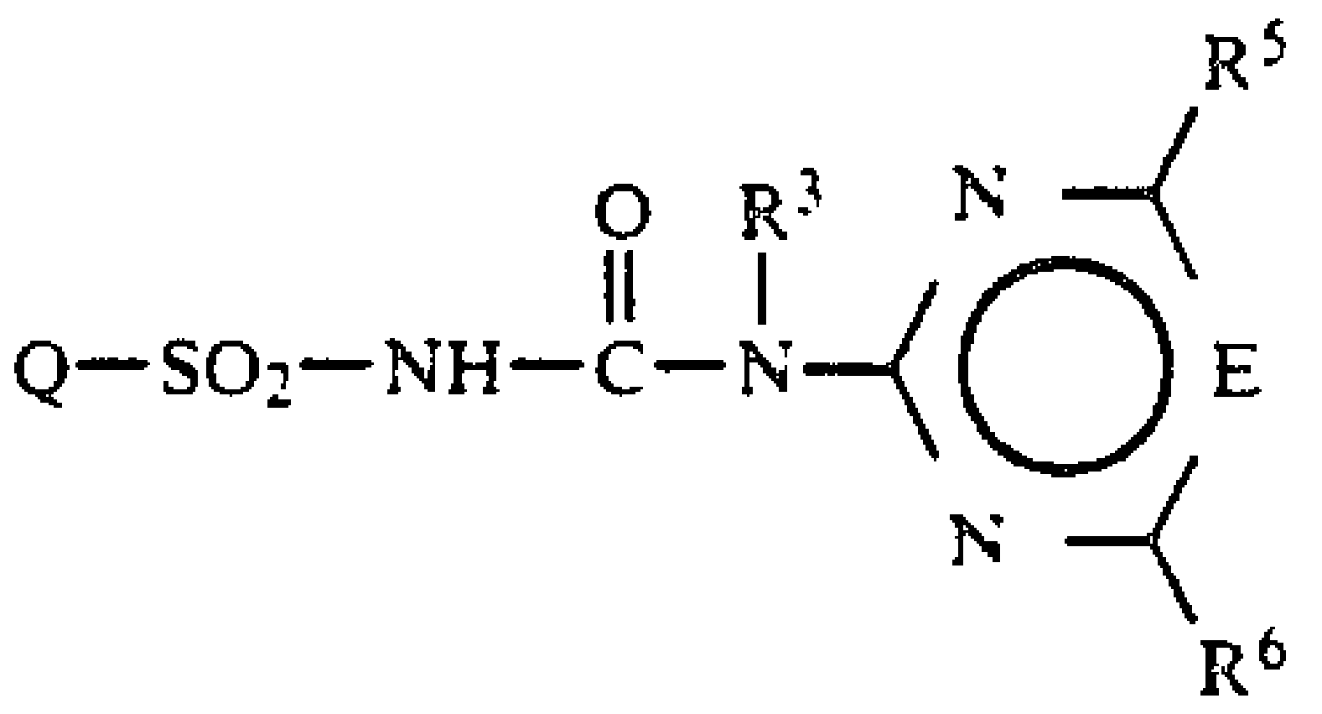
Example	Q	R^3	R^5	R^6	E	m.p.
167		H	OCH_3	CH_3	N	
168		H	OCH_3	OCH_3	N	
169		H	OCH_3	Cl	CH	
170		H	OCH_3	OCH_2F_5	CH	
171		H	OCH_3	OCH_2F_3	N	
172		H	OCH_3	OCH_3	CH	
173		H	OCH_3	CH_3	CH	
174		H	OCH_3	CH_3	N	
175		CH_3	OCH_3	CH_3	CH	

TABLE 1-continued



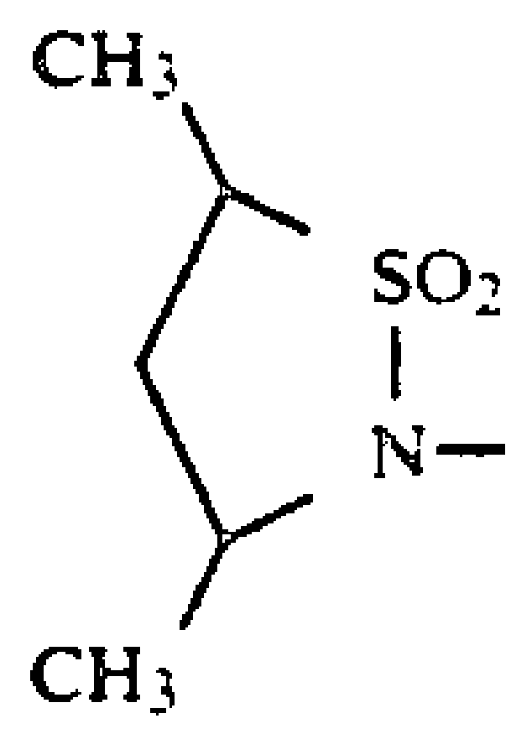
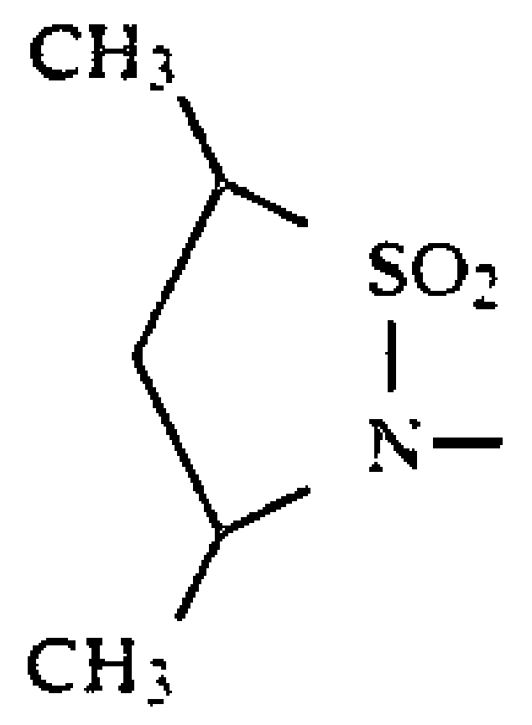
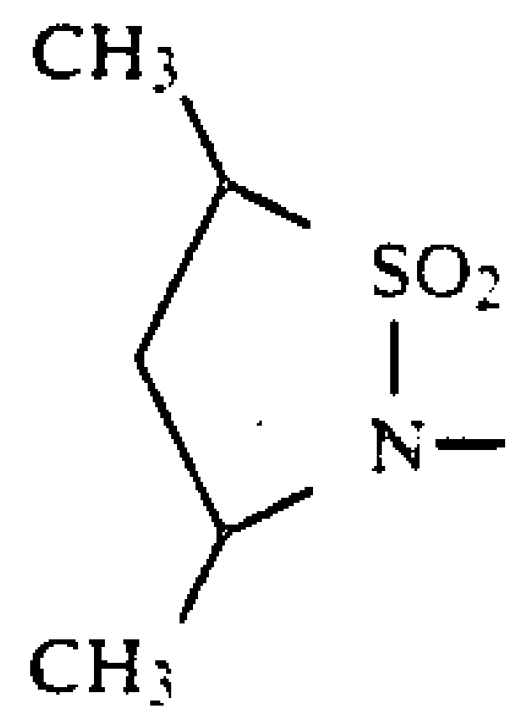
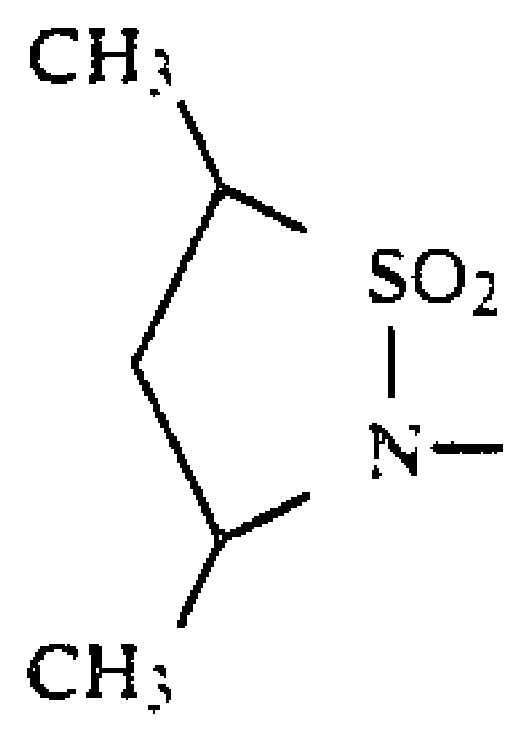
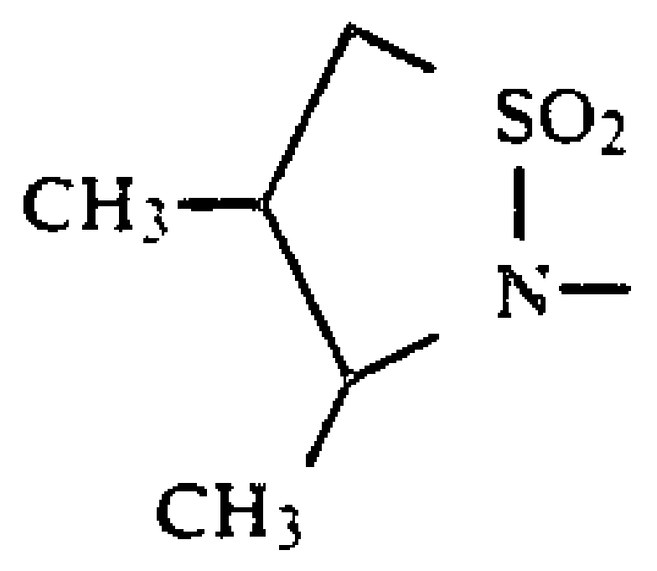
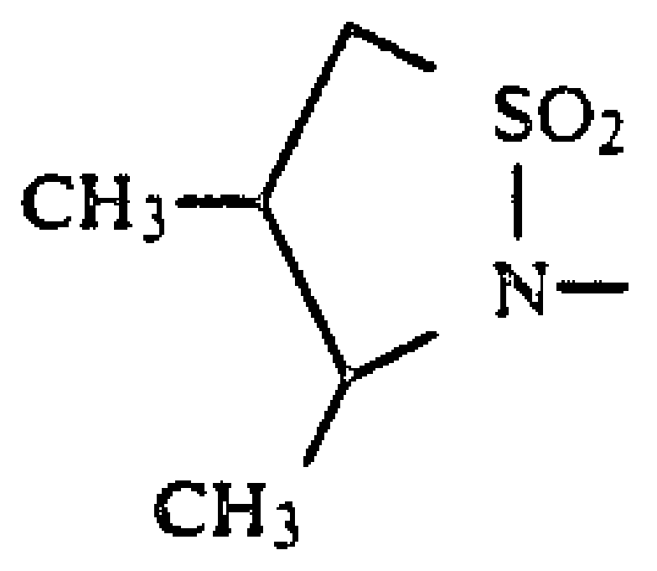
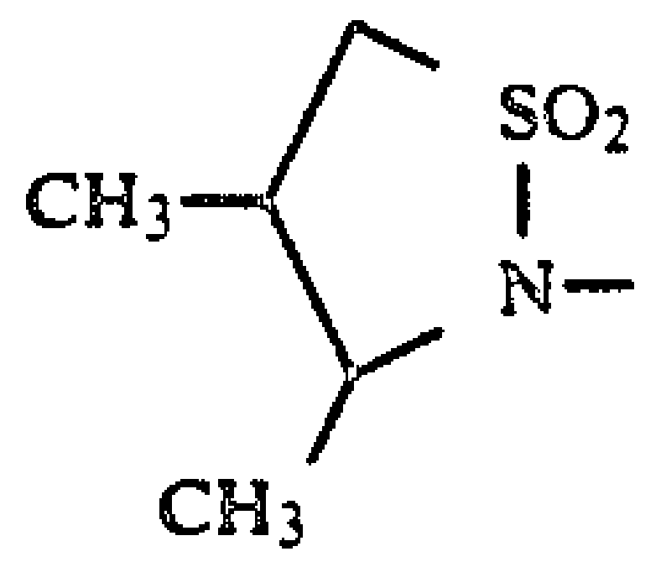
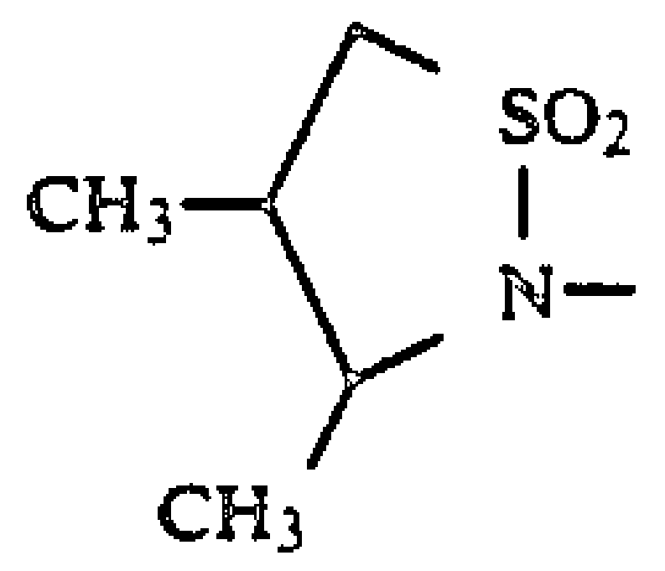
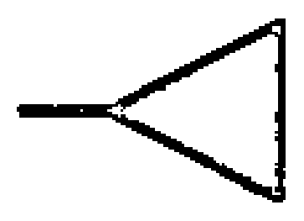
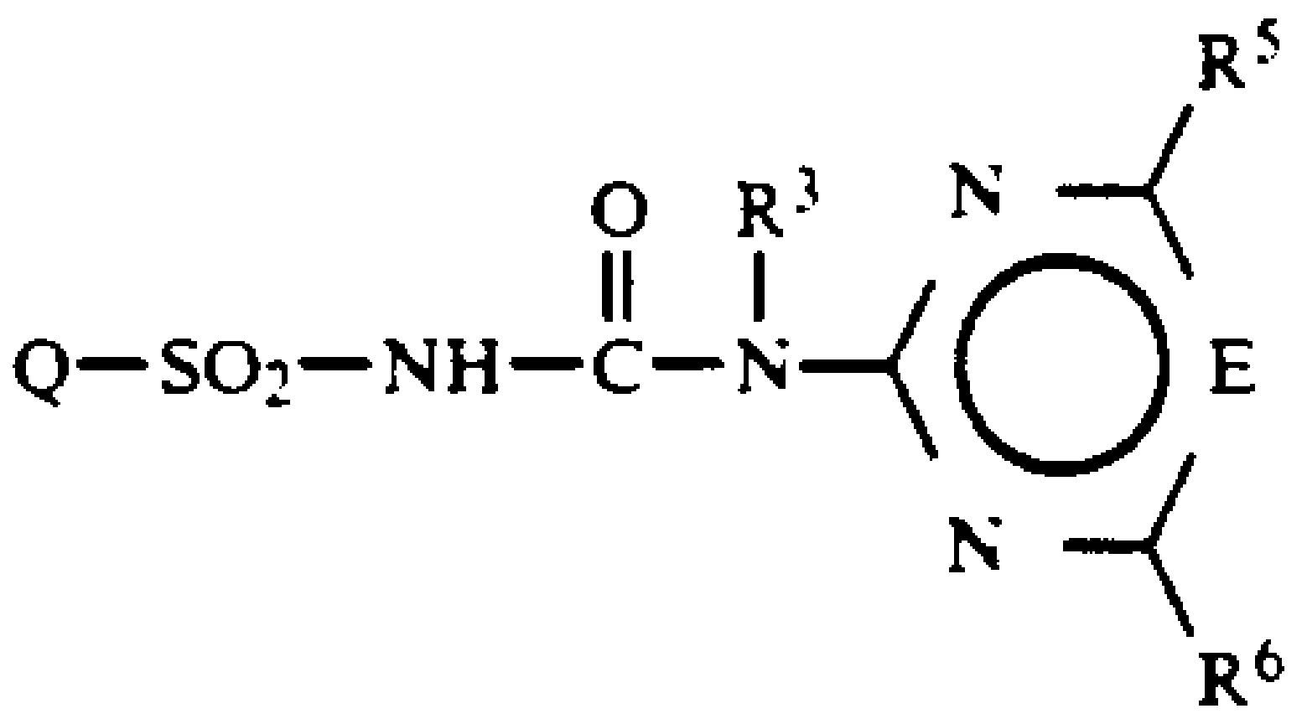
Example	Q	R^3	R^5	R^6	E	m.p.
176		H	OCH_3	OCH_3	CH	
177		H	CH_3	CH_3	CH	
178		H	OCH_3	OCH_3	N	
179		H	OCH_3	CH_3	N	
180		H	OCH_3	OCH_3	CH	
181		H	OCH_3	CH_3	CH	
182		H	OCH_3	Cl	CH	
183		H	OCH_3		N	

TABLE 1-continued



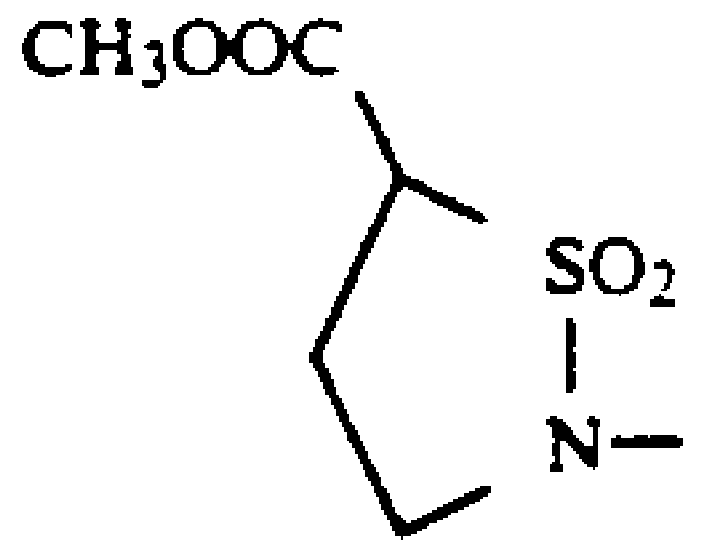
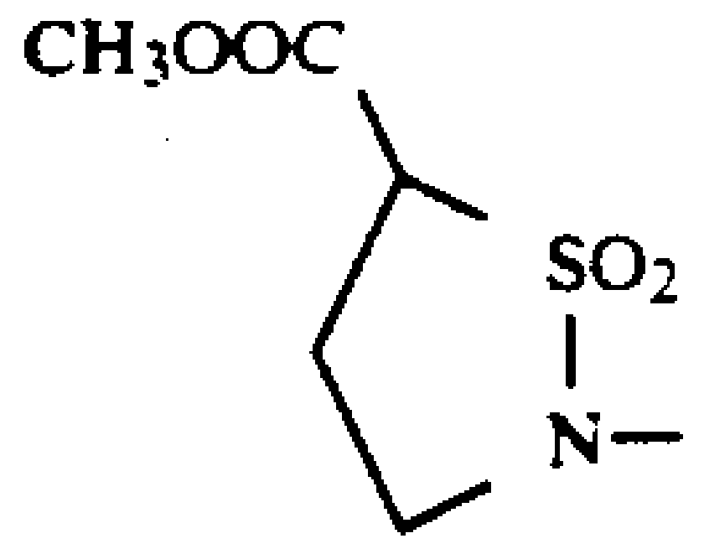
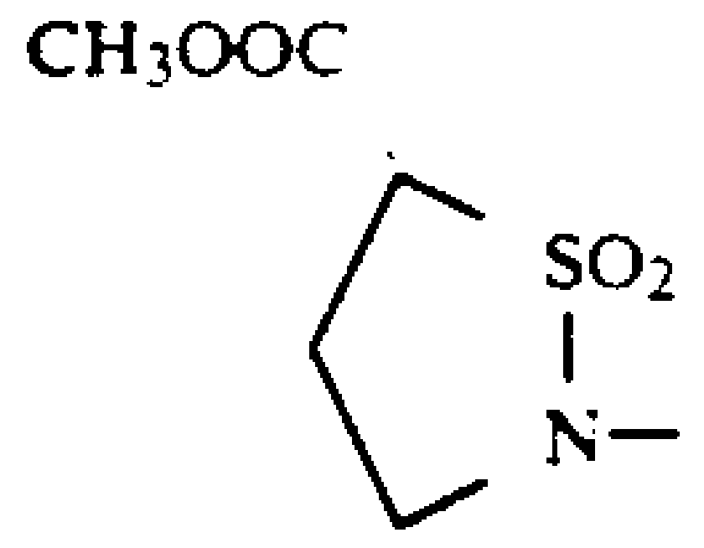
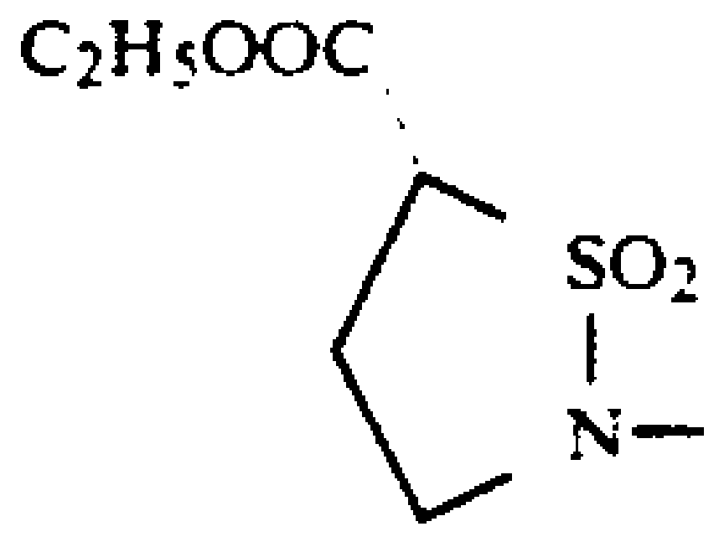
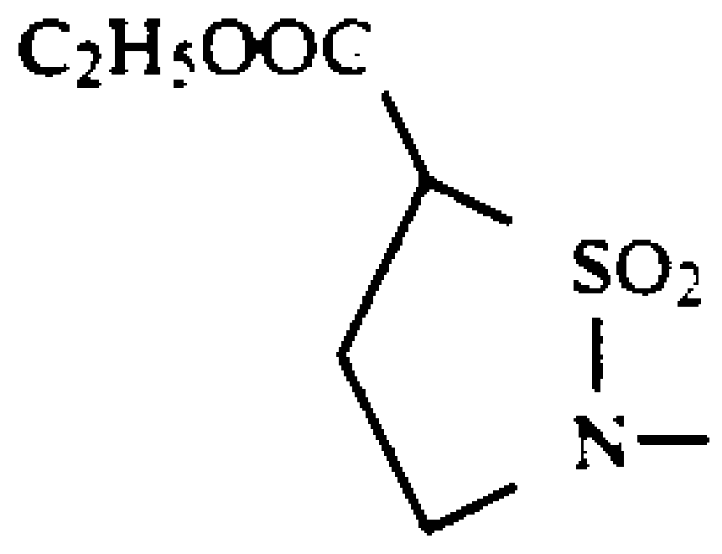
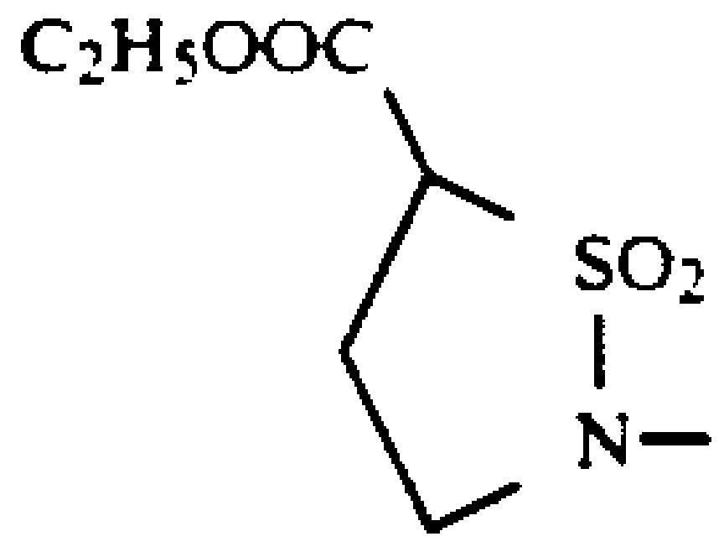
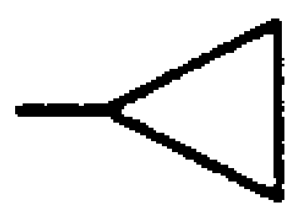
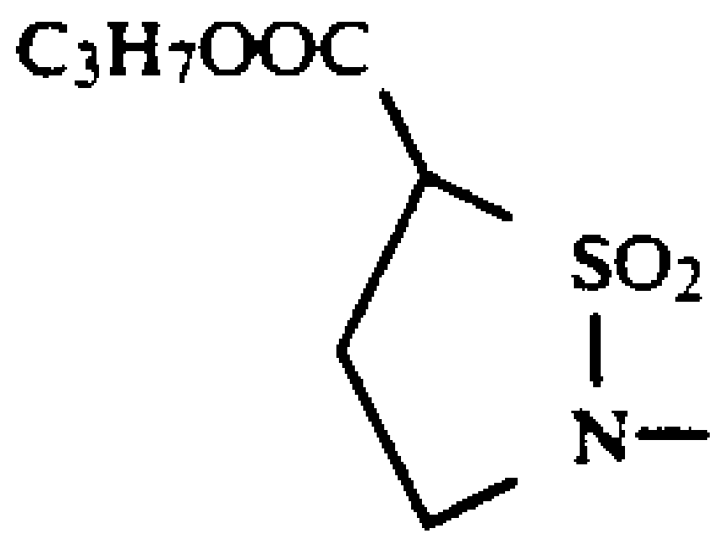
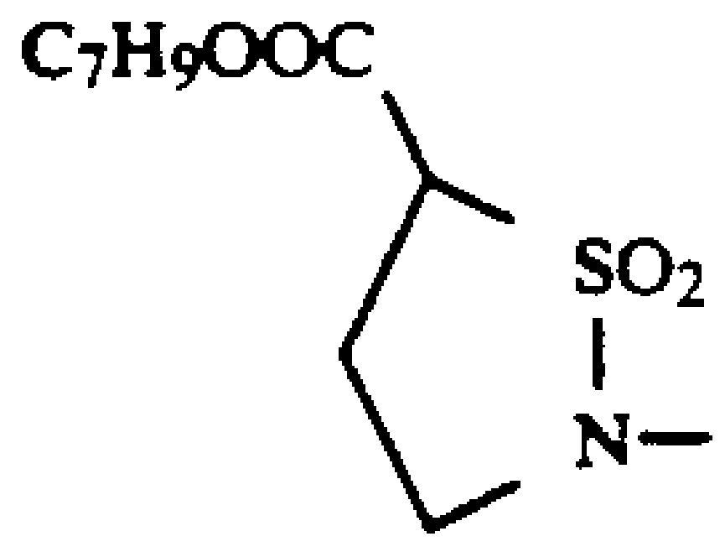
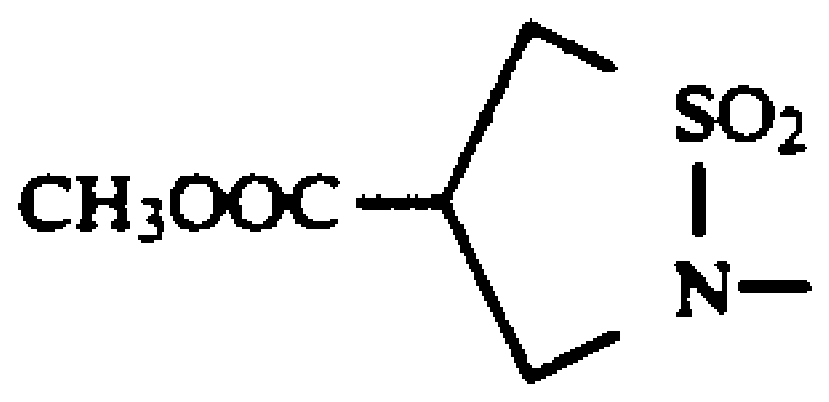
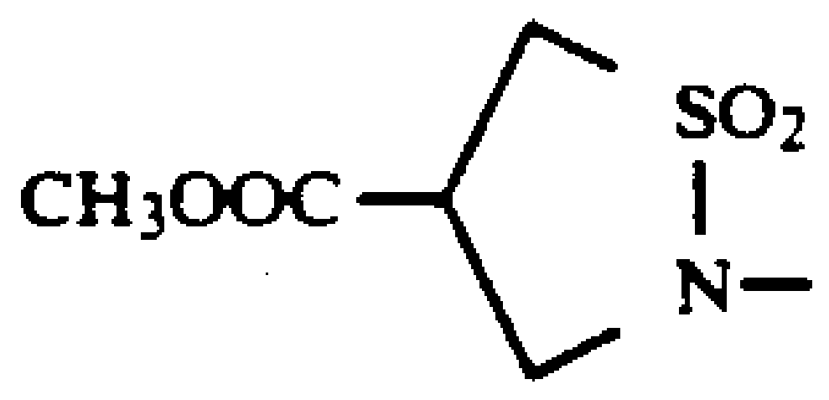
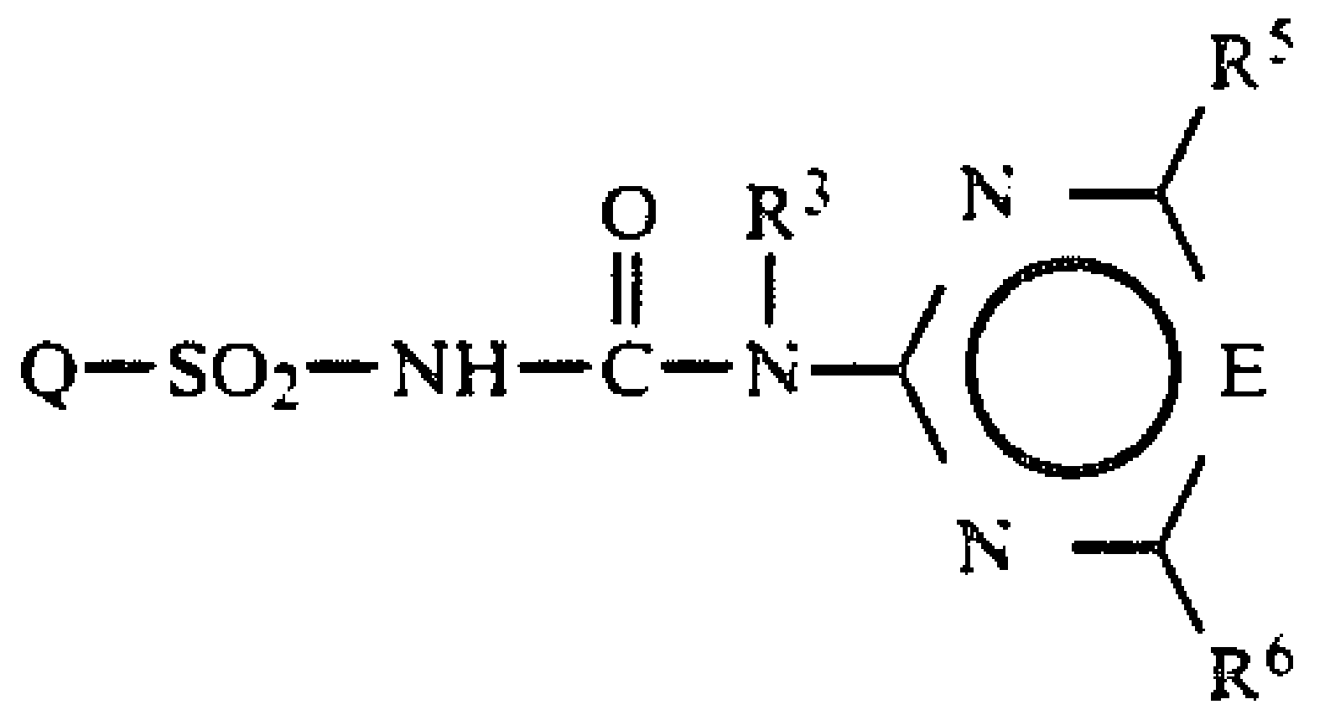
Example	Q	R³	R⁵	R⁶	E	m.p.
184		H	OCH_3	OCH_3	CH	
185		H	OCH_3	OCH_3	N	
186		H	OCH_3	Cl	CH	
187		H	OCH_3	OCH_3	CH	
188		H	CH_3	CH_3	CH	
189		H	CH_3		CH	
190		H	OCH_3	OCH_3	CH	
191		H	OCH_3	OCH_3	CH	
192		H	OCH_3	OCH_3	CH	
193		H	CH_3	CH_3	CH	

TABLE 1-continued



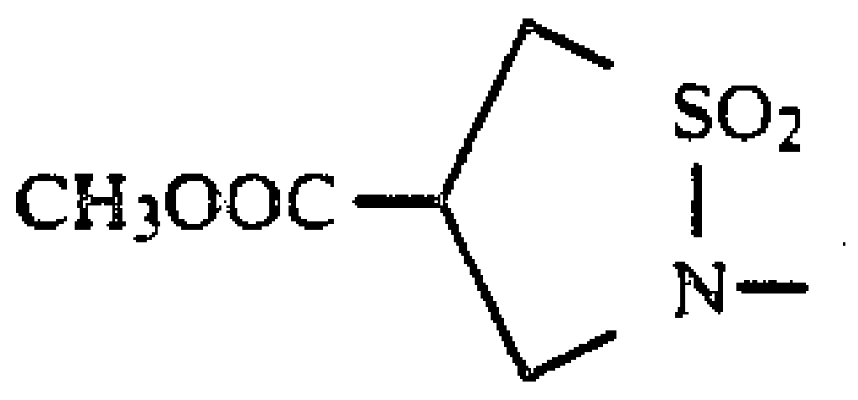
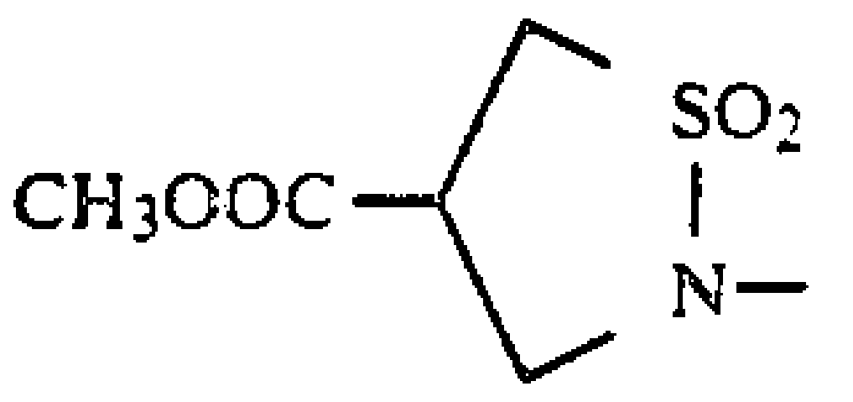
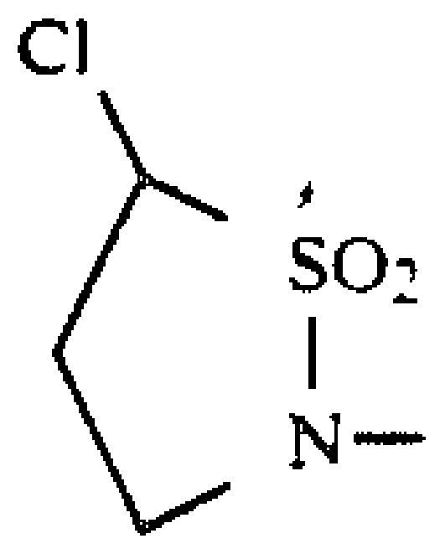
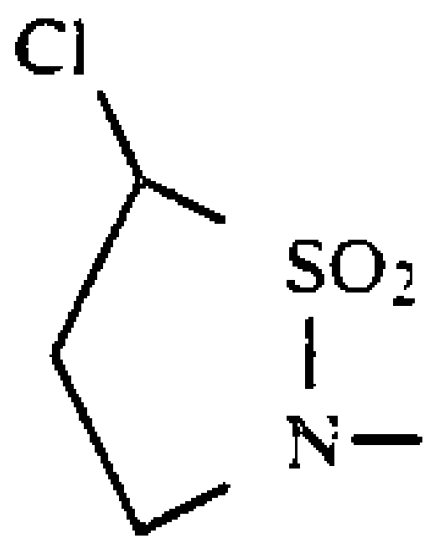
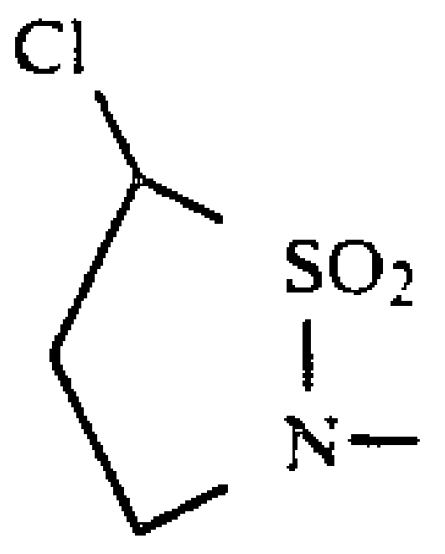
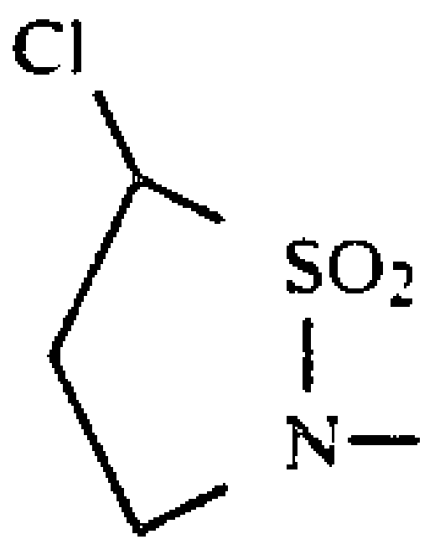
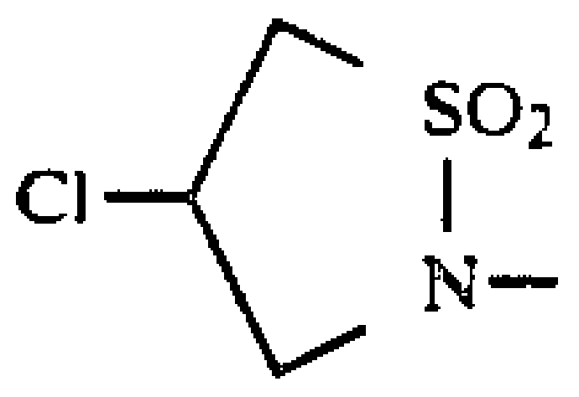
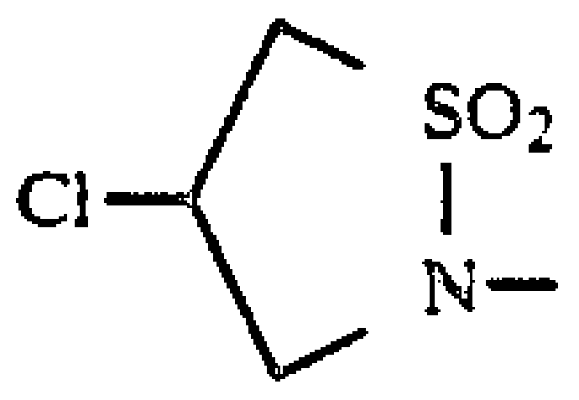
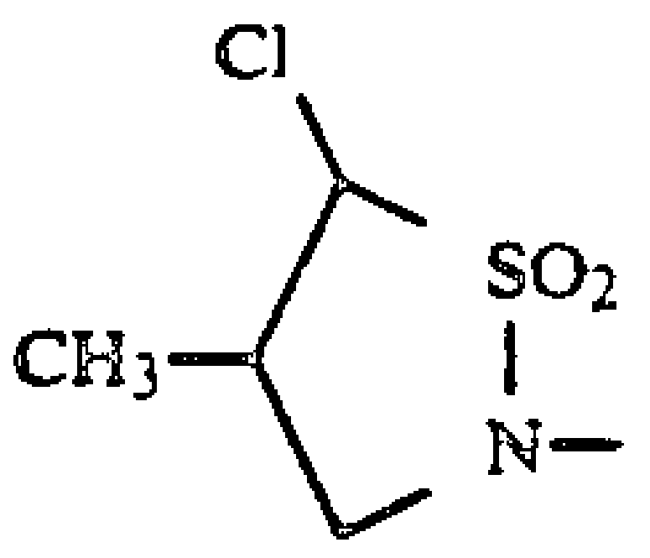
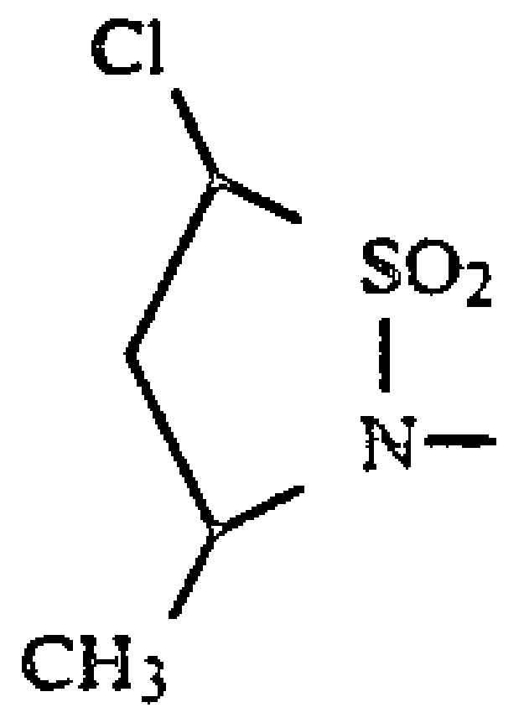
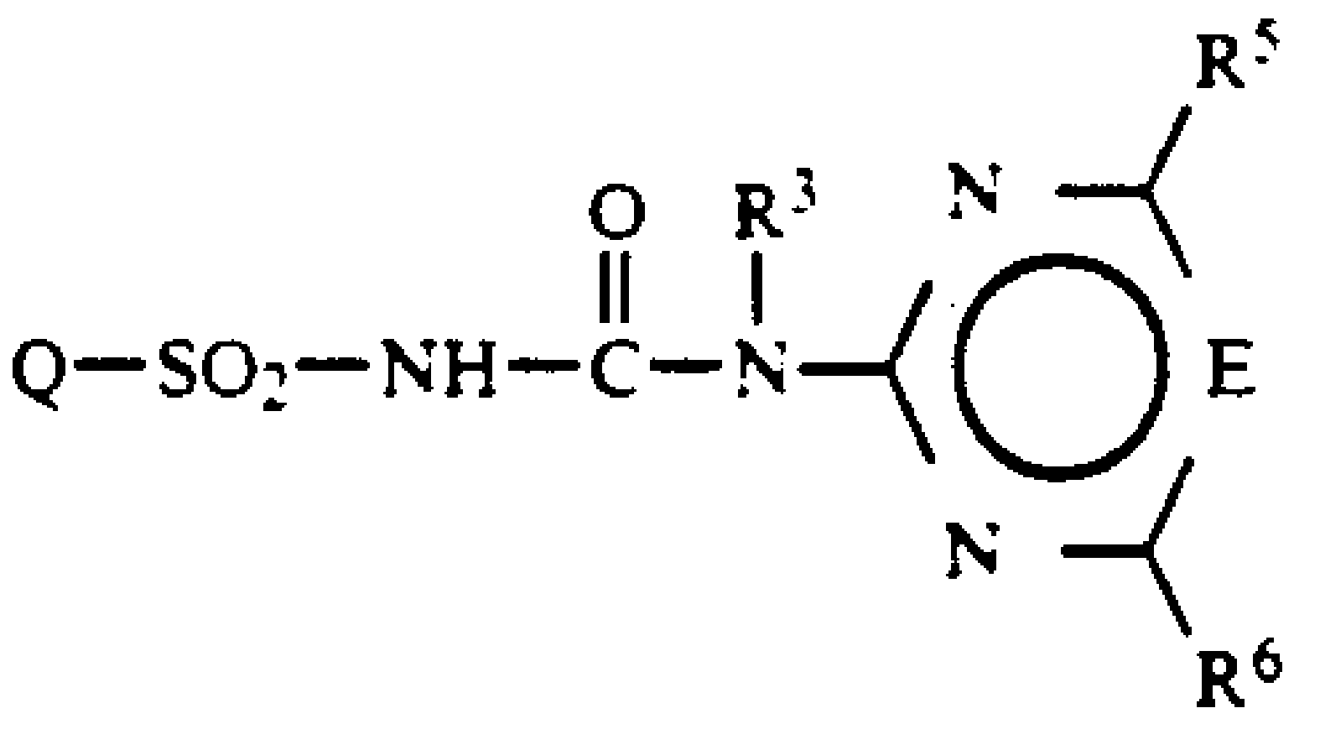
Example	Q	R³	R⁵	R⁶	E	m.p.
194		H	OCH_3	Cl	CH	
195		H	OCH_3	CH_3	N	
196		H	OCH_3	OCH_3	CH	
197		H	OCH_3	CH_3	CH	
198		H	OCH_3	Cl	CH	
199		H	OCH_3	CH_3	N	
200		H	OCH_3	OCH_3	CH	
201		H	OCH_3	CH_3	N	
202		H	OCH_3	OCH_3	CH	
203		H	OCH_3	OCH_3	CH	

TABLE 1-continued



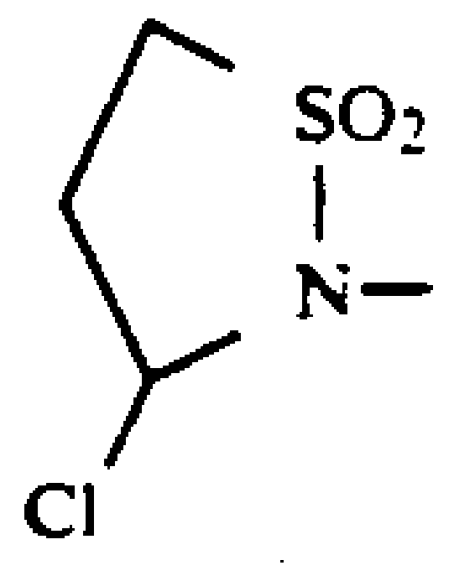
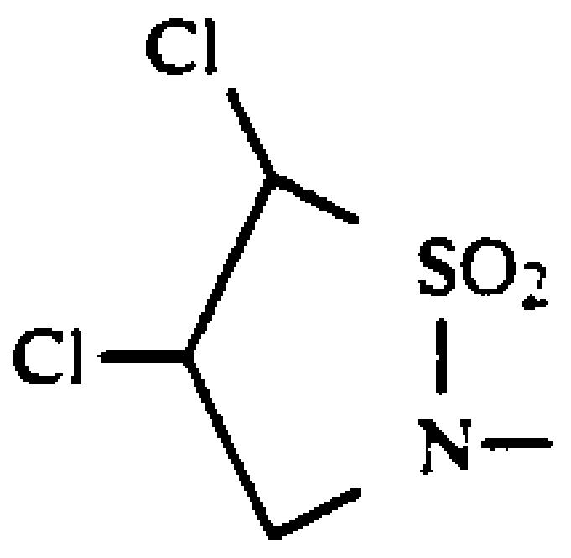
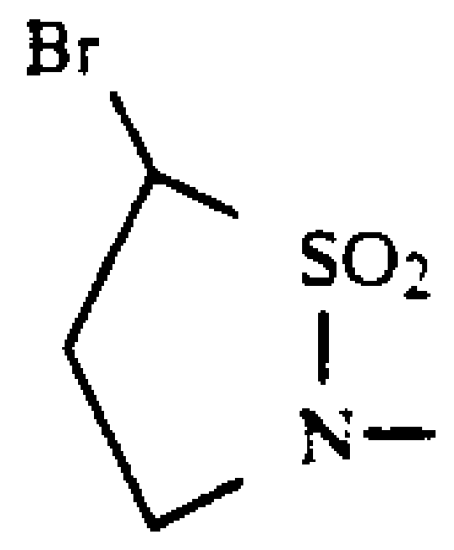
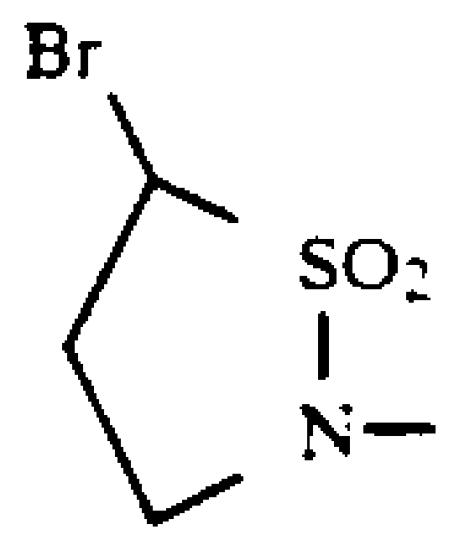
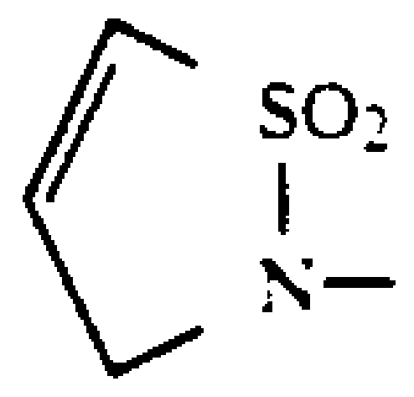
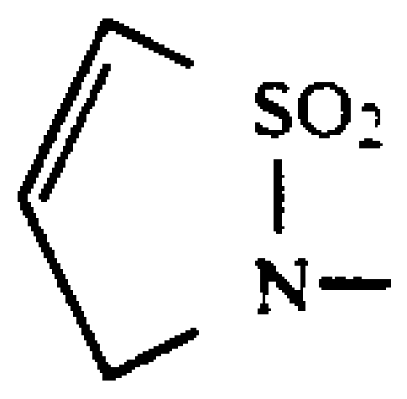
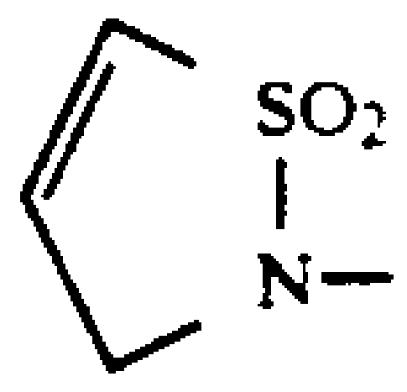
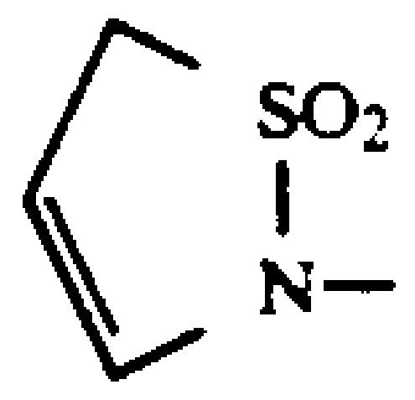
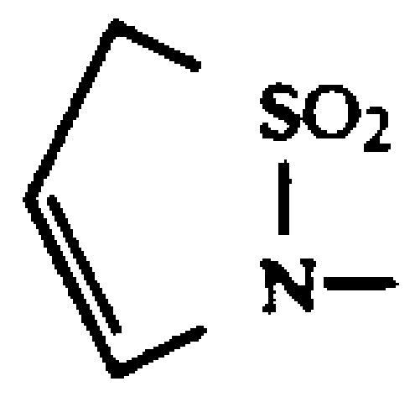
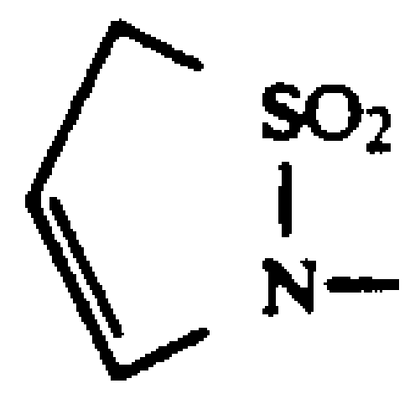
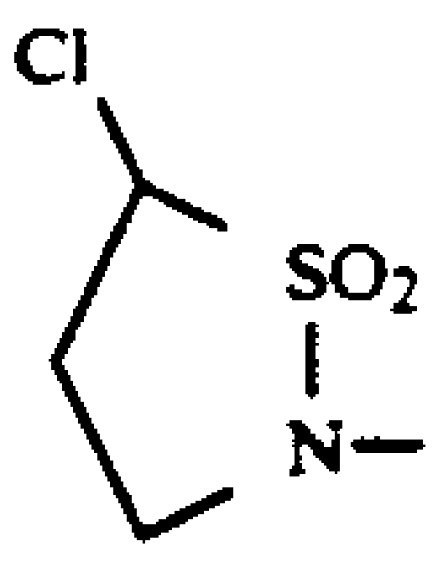
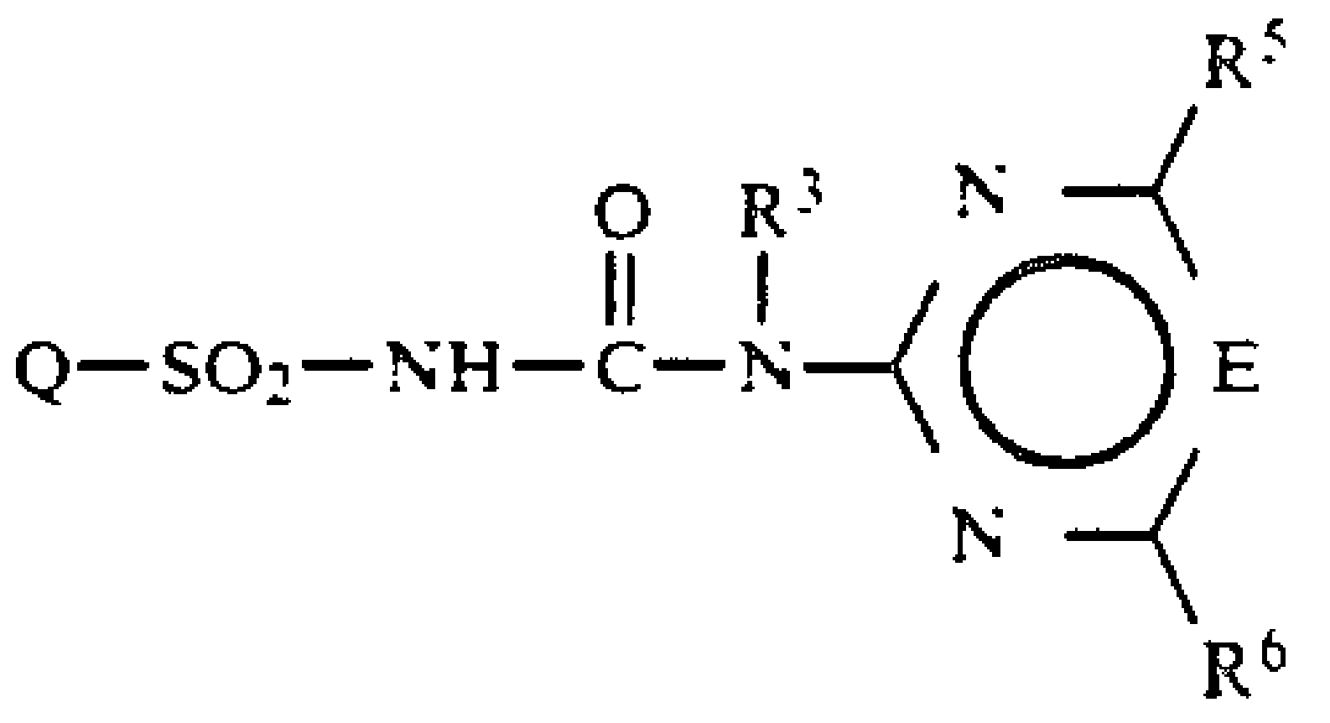
Example	Q	R³	R⁵	R⁶	E	m.p.
204		H	OCH_3	OCH_3	CH	
205		H	OCH_3	OCH_3	CH	
206		H	OCH_3	OCH_3	CH	
207		H	OCH_3	CH_3	N	
208		H	OCH_3	OCH_3	CH	
209		H	OCH_3	Cl	CH	
210		H	OCH_3	CH_3	N	
211		H	OCH_3	OCH_3	CH	
212		H	OCH_3	Cl	CH	
213		H	OCH_3	$NHCH_3$	N	
214		H	OCH_3	OCH_3	CH	

TABLE 1-continued



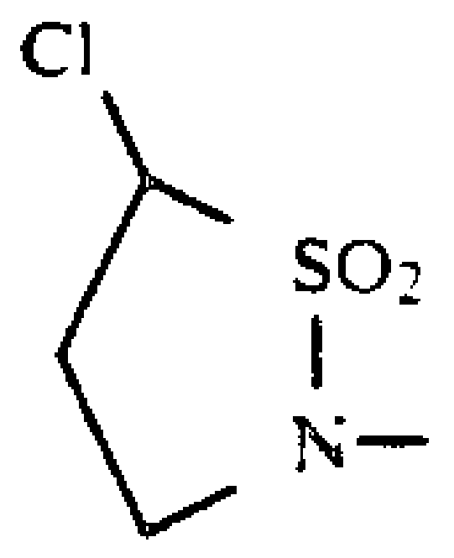
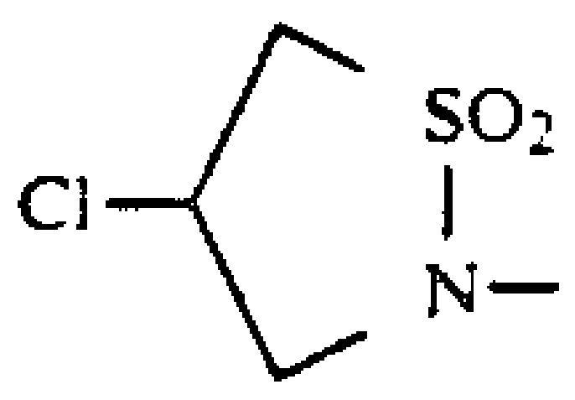
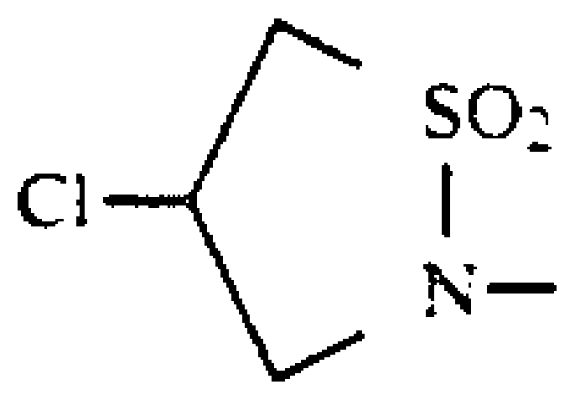
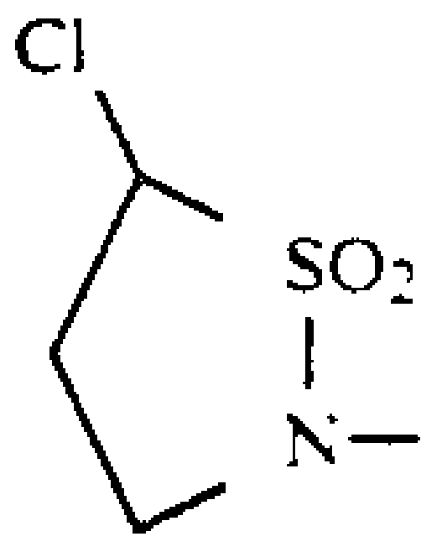
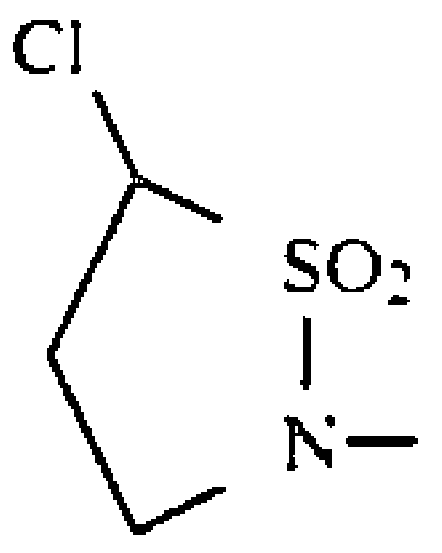
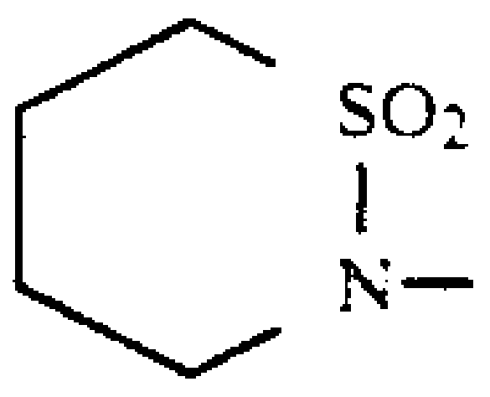
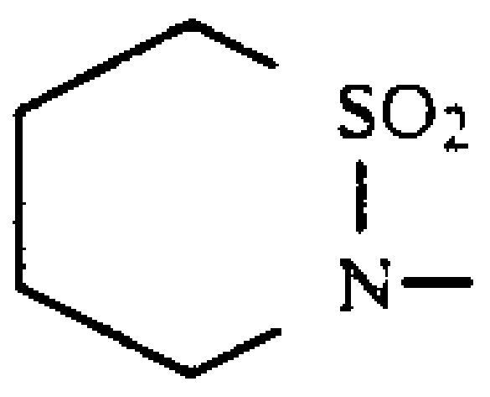
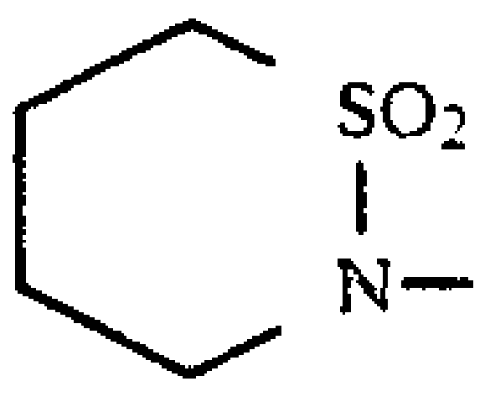
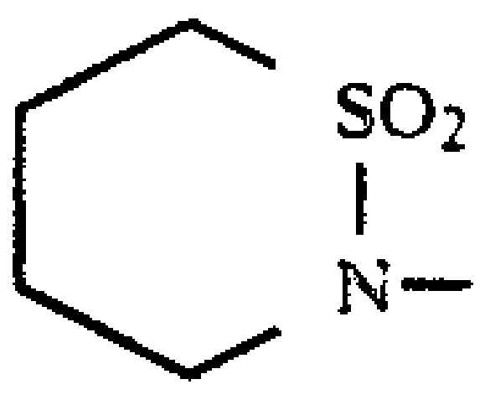
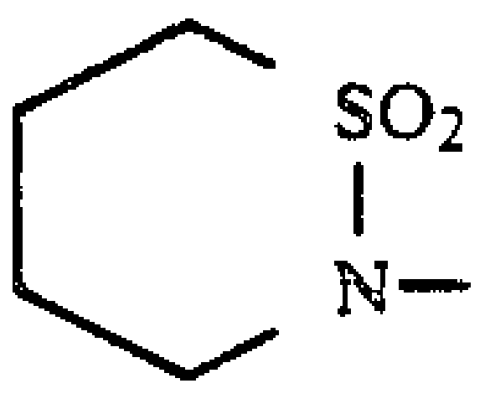
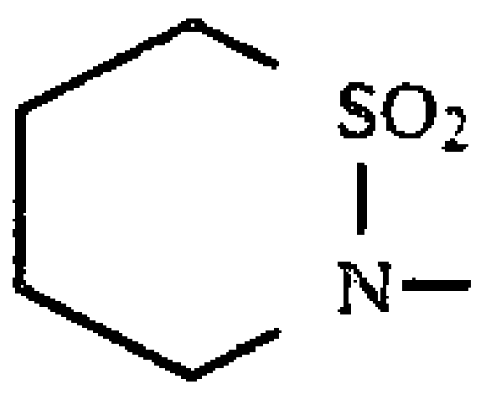
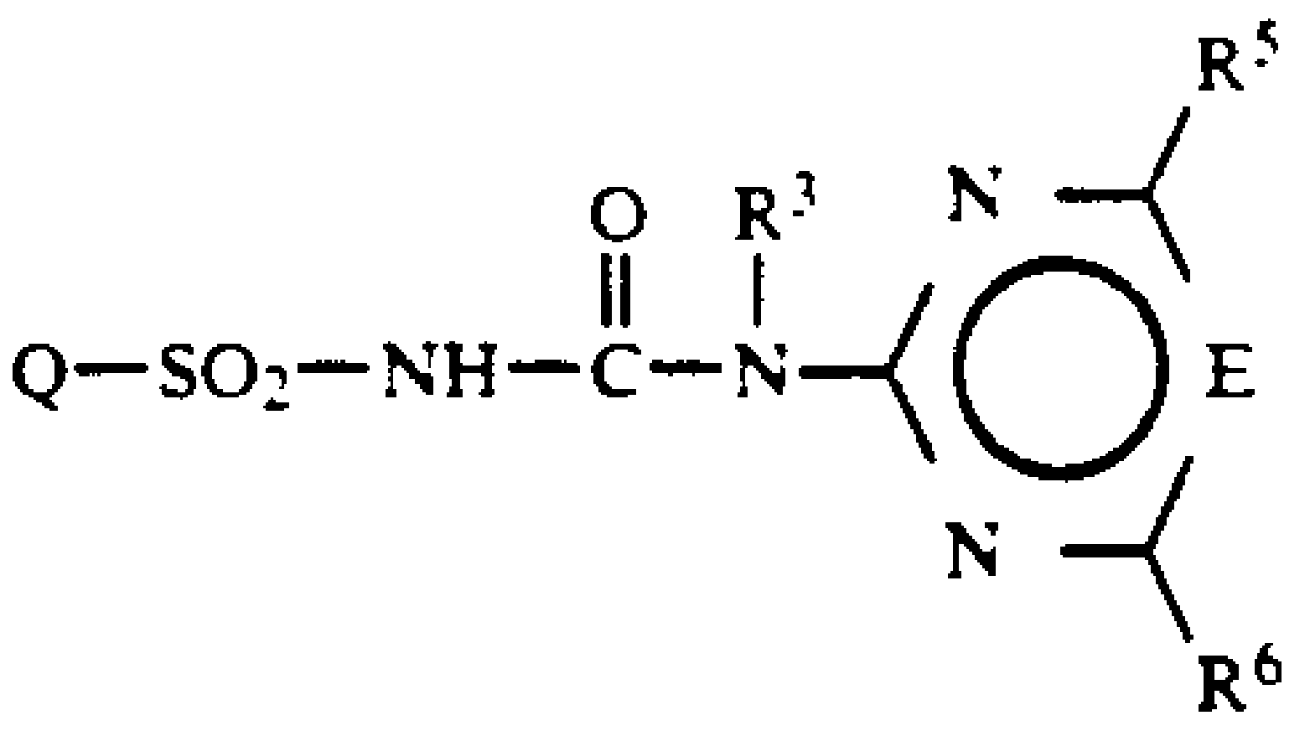
Example	Q	R^3	R^5	R^6	E	m.p.
215		H	OCH$_3$	CH$_3$	N	
216		H	OCH$_3$	OCH$_3$	CH	
217		H	OCH$_3$	CH$_3$	N	
218		H	OCH$_3$	OCH$_3$	CH	
219		H	OCH$_3$	CH$_3$	N	
220		H	OCH$_3$	CH$_3$	CH	172-173
221		H	OCH$_3$	CH$_3$	CH	162-164
222		H	OCH$_3$	Cl	CH	148-149
223		H	CH$_3$	Cl	CH	
224		H	CH$_3$	Br	CH	
225		H	OCH$_3$	Br	CH	

TABLE 1-continued



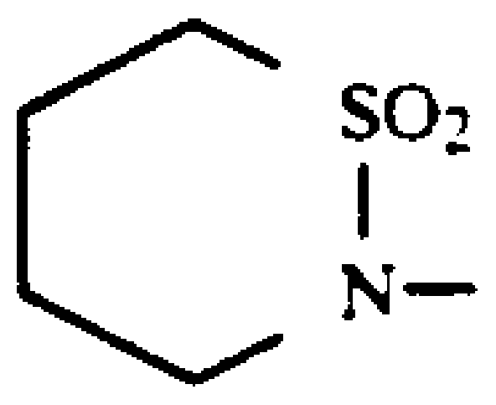
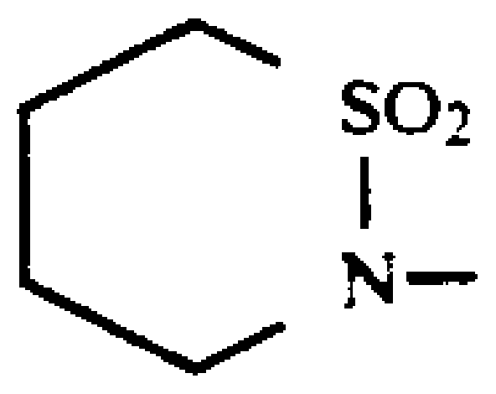
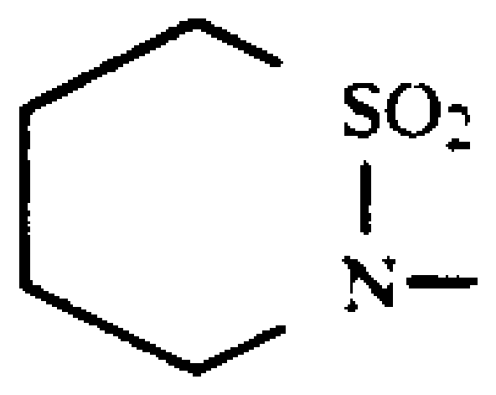
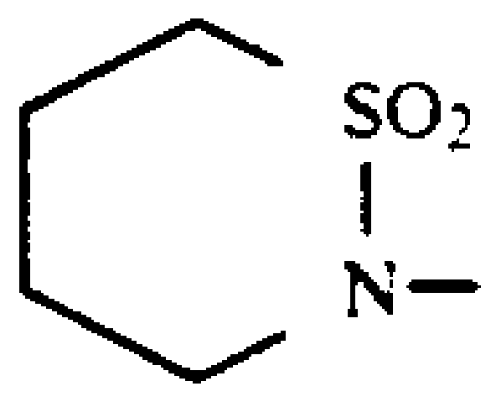
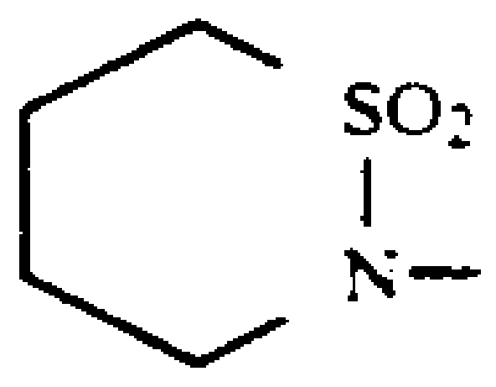
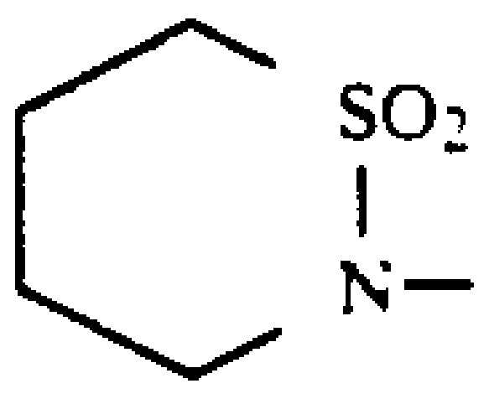
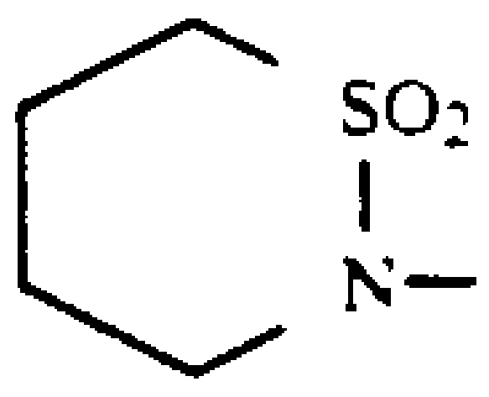
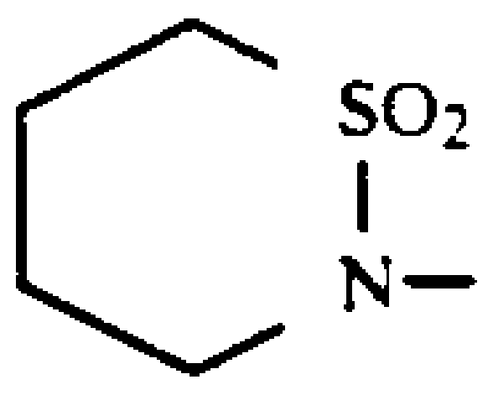
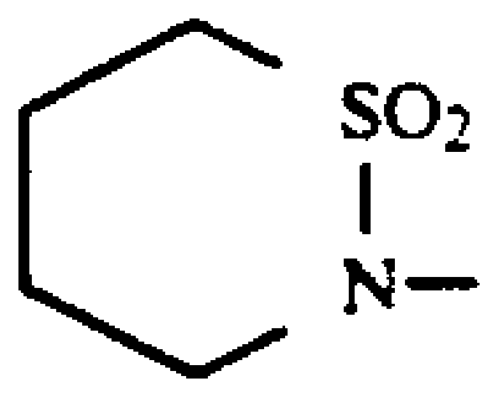
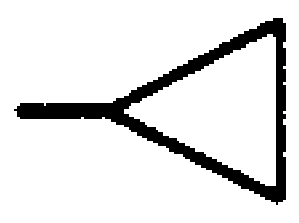
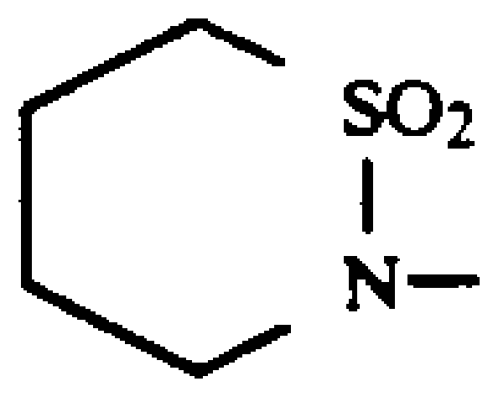
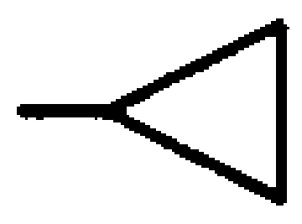
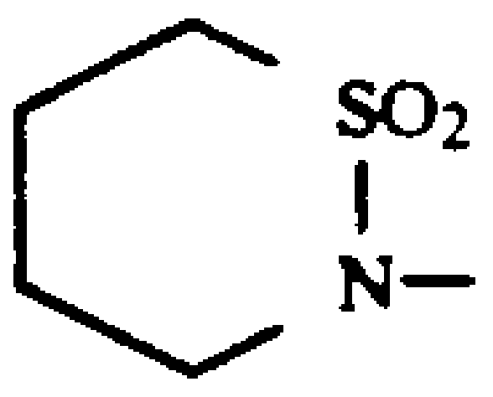
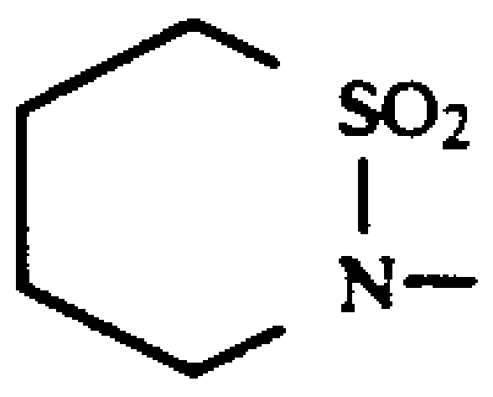
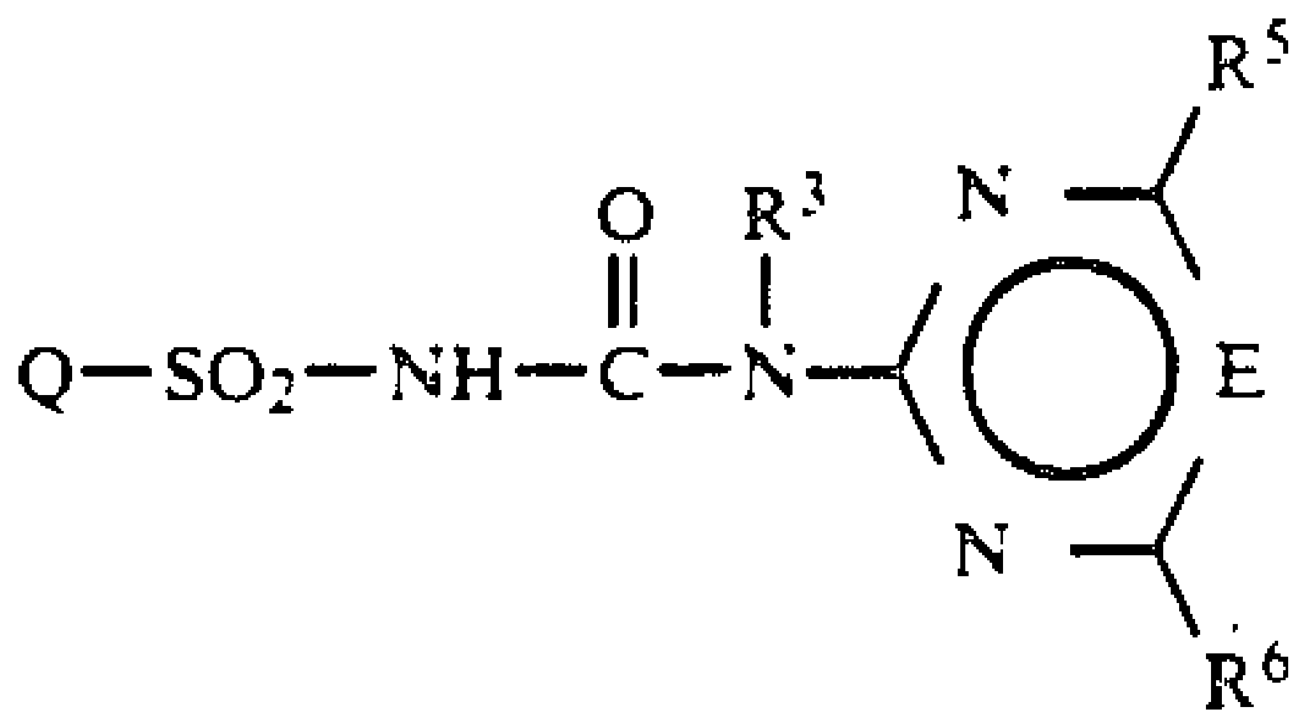
Example	Q	R^3	R^5	R^6	E	m.p.
226		H	$OCHF_2$	$OCHF_2$	CH	
227		H	$OCHF_2$	OCH_3	CH	
228		H	OCH_3	OCH_2CF_3	CH	
229		H	OC_2H_5	$OCHCF_3$	CH	
230		H	OC_2H_5	OC_2H_5	CH	
231		H	SCH_3	CH_3	CH	
232		H	OCH_3	$NHCH_3$	CH	
233		H	CH_3	$N(CH_3)_2$	CH	
234		H	OCH_3		CH	
235		H	CH_3		CH	
236		H	$OCHF_2$	$OCHF_2$	CH	
237		CH_3	OCH_3	OCH_3	CH	

TABLE 1-continued



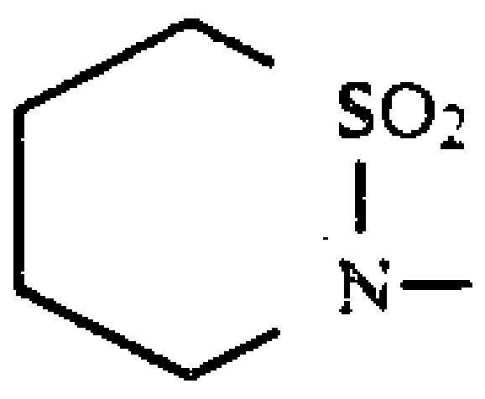
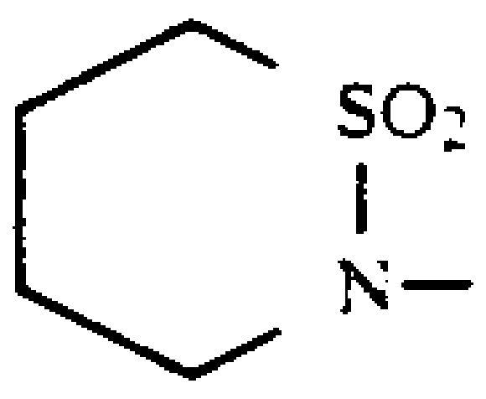
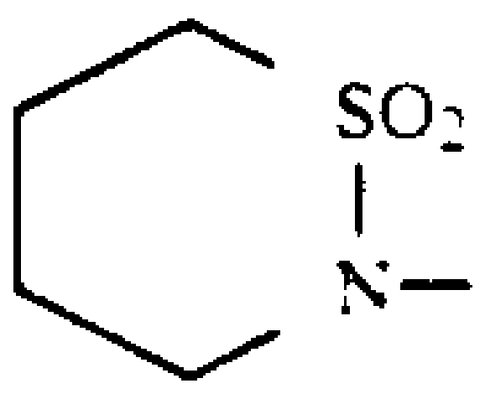
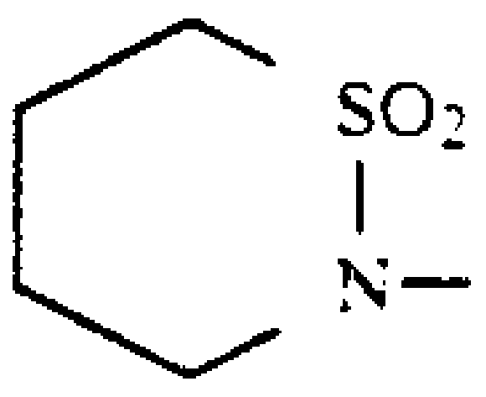
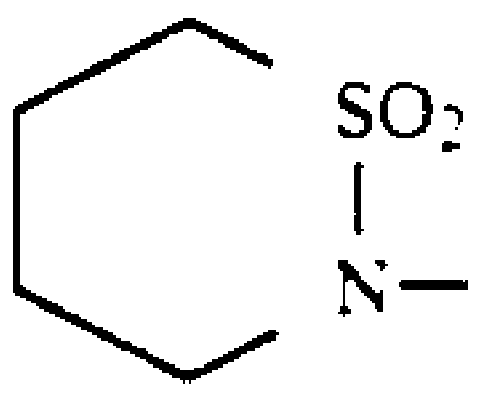
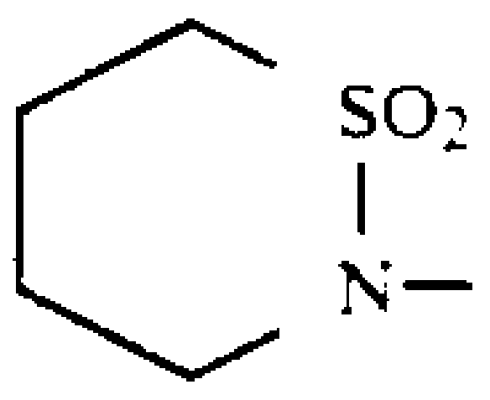
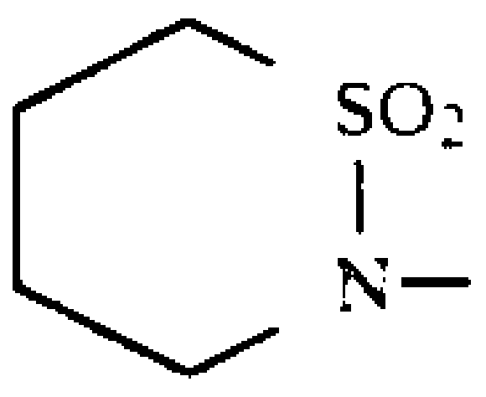
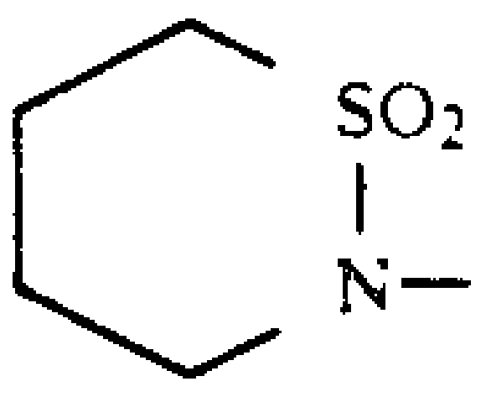
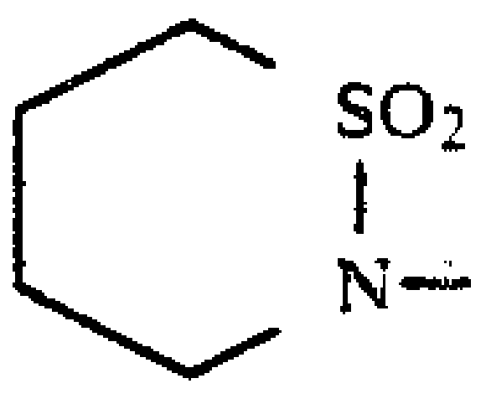
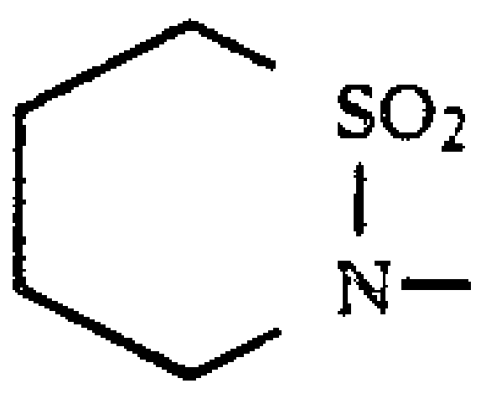
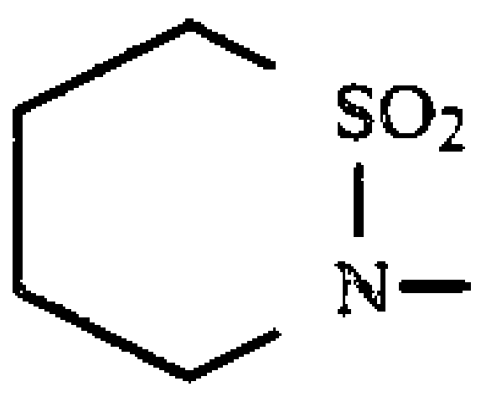
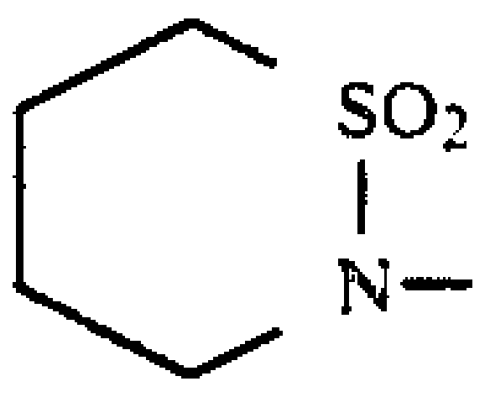
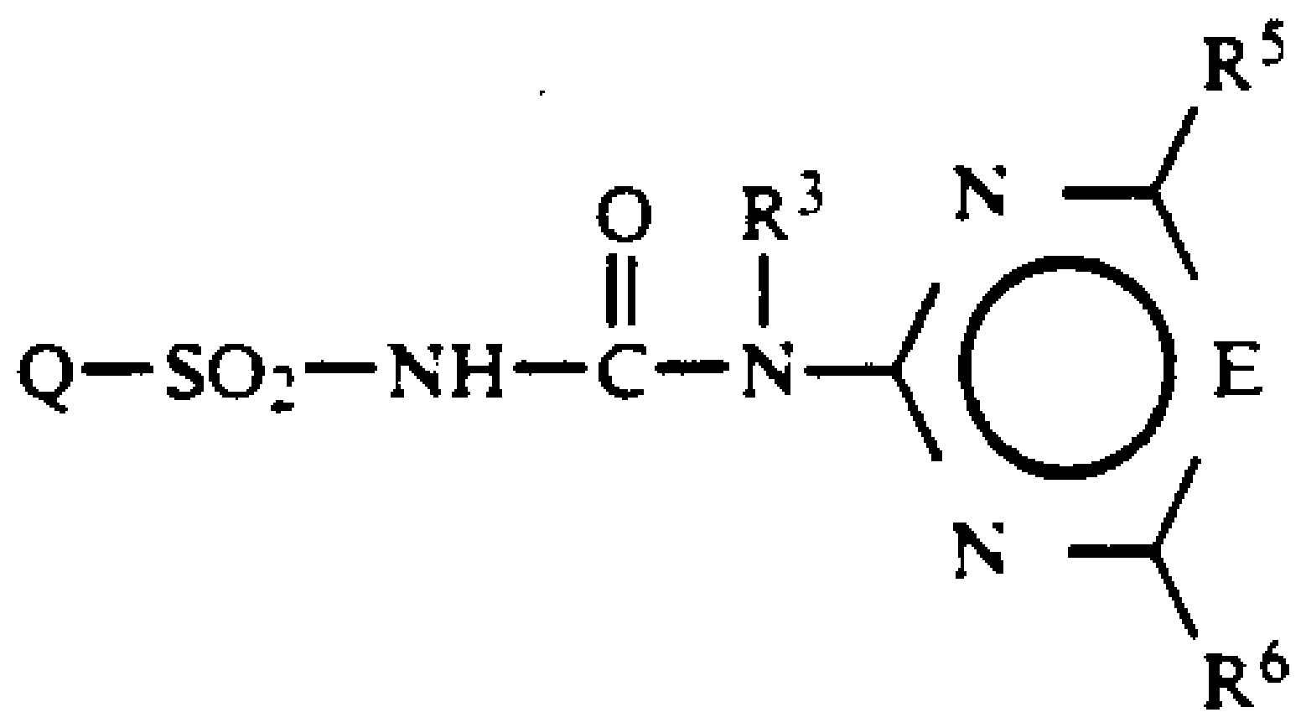
Example	Q	R^3	R^5	R^6	E	m.p.
238		CH_3	CH_3	CH_3	CH	
239		H	OCH_3	OCH_3	N	
240		H	OCH_3	CH_3	N	
241		H	OCH_3	SCH_3	N	
242		H	CH_3	CH_3	N	
243		H	OC_2H_5	OCH_3	N	
244		H	OCH_3	$NHCH_3$	N	
245		H	OC_2H_5	$NHCH_3$	N	
246		H	OCH_3	NHC_2H_5	N	
247		H	OC_2H_5	NHC_2H_5	N	
248		H	OCH_3	$N(CH_3)_2$	N	
249		H	OC_2H_5	$N(CH_3)_2$	N	

TABLE 1-continued



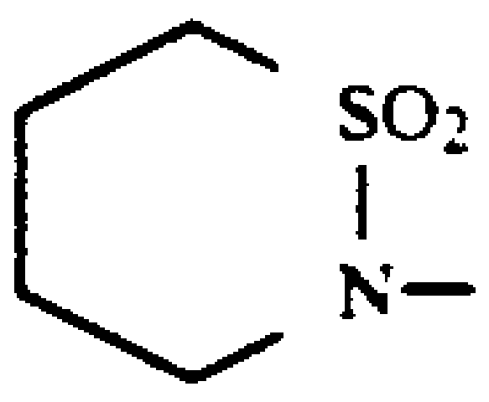
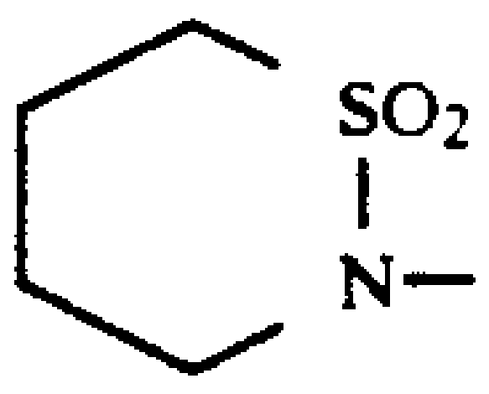
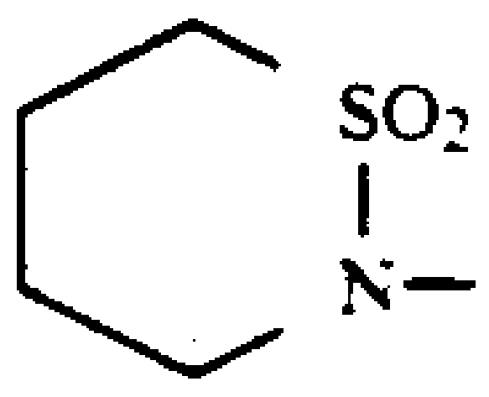
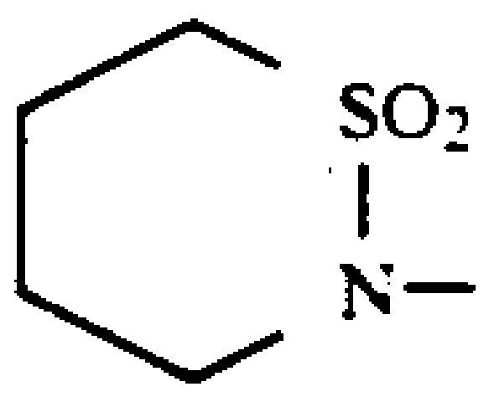
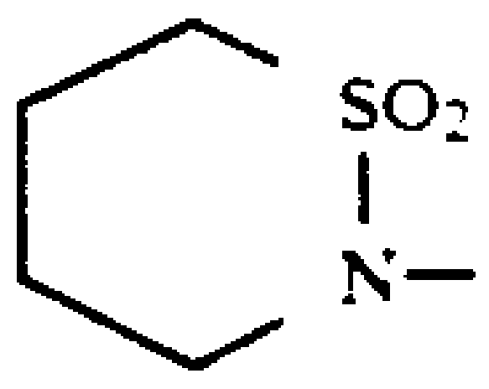
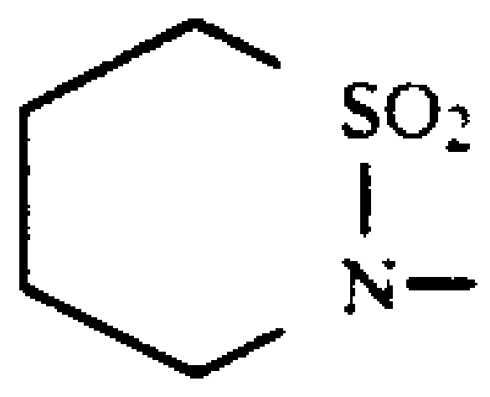
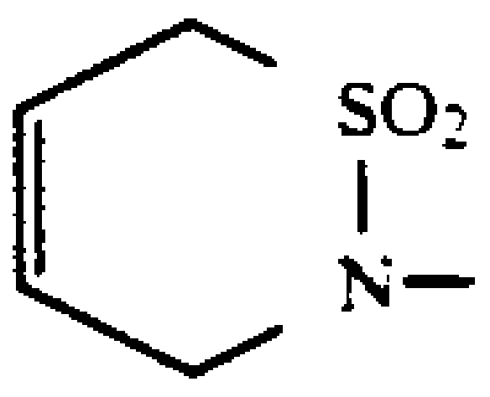
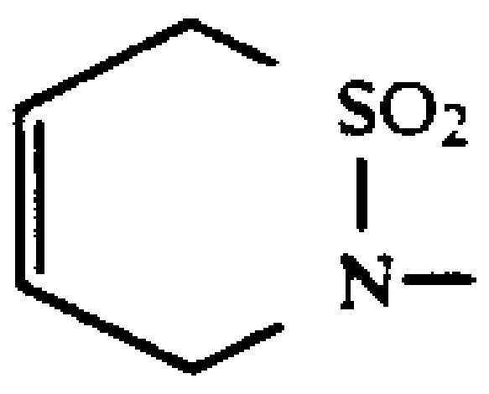
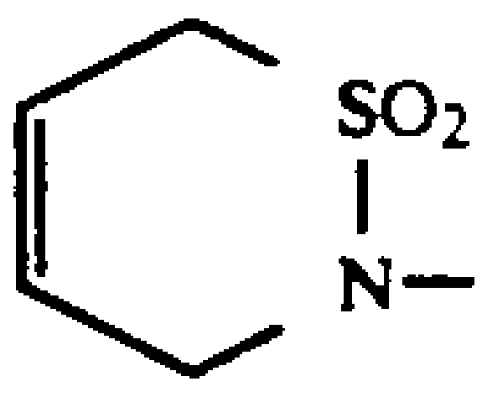
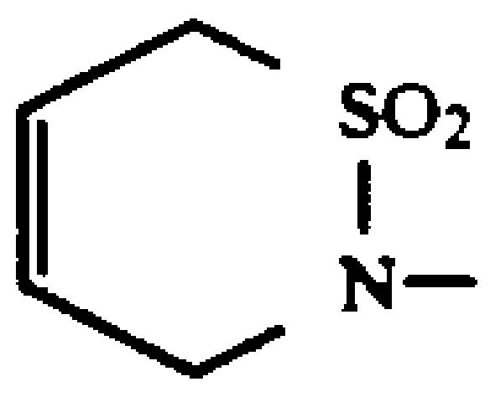
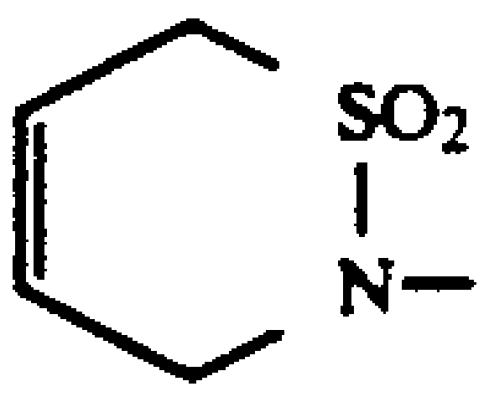
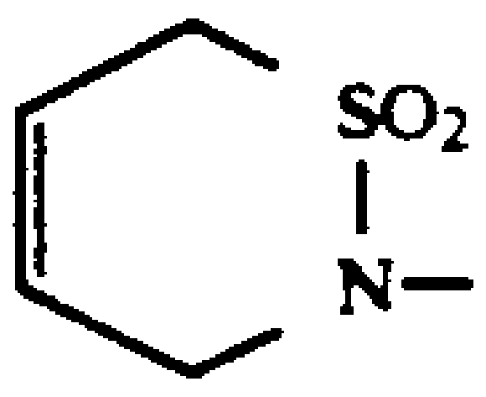
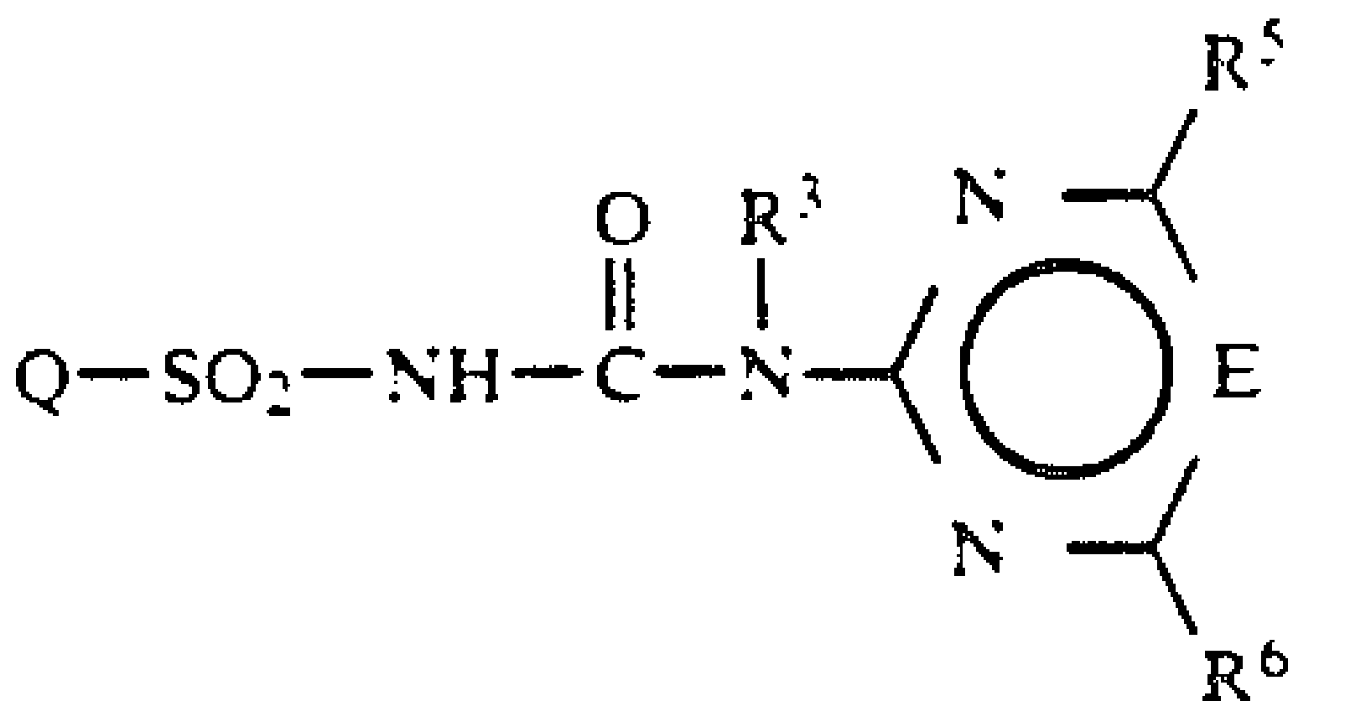
Example	Q	R^3	R^5	R^6	E	m.p.
250		H	OCH_3	—	N	
251		H	OCH_3	OCH_2CF_3	N	
252		H	CH_3	OCH_2CF_3	N	
253		CH_3	OCH_3	CH_3	N	
254		CH_3	OCH_3	OCH_3	N	
255		CH_3	OCH_3	$NHCH_3$	N	
256		H	OCH_3	OCH_3	CH	48-50
257		H	OCH_3	CH_3	CH	
258		H	CH_3	CH_3	CH	
259		H	OCH_3	Cl	CH	
260		H	OCH_3	Br	CH	
261		H	OC_2H_5	OCH_3	CH	

TABLE 1-continued



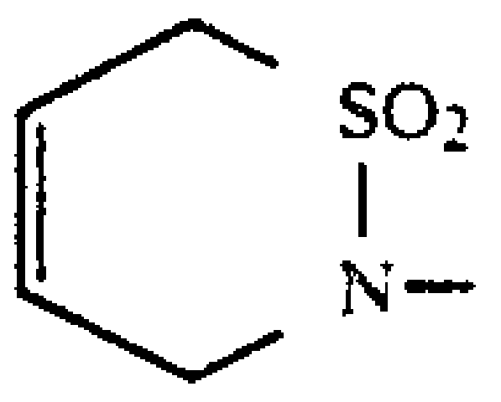
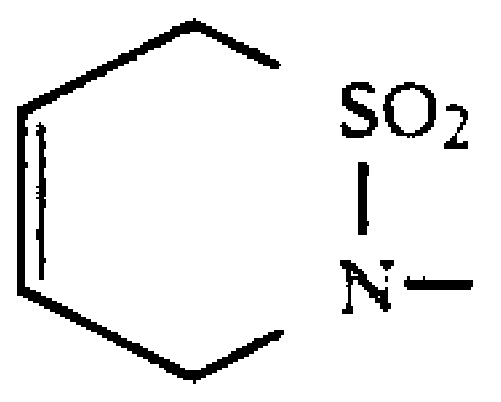
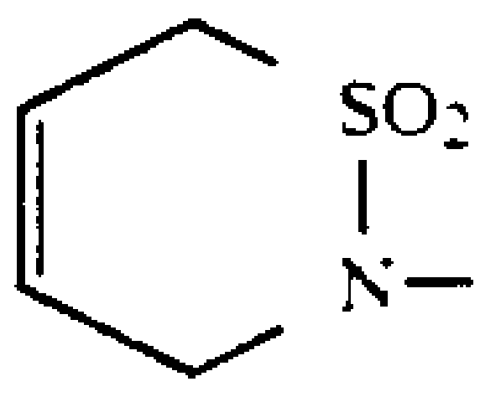
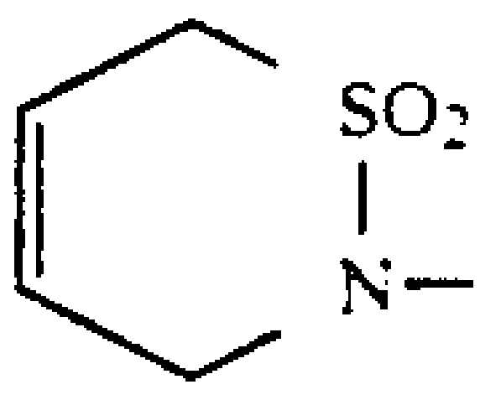
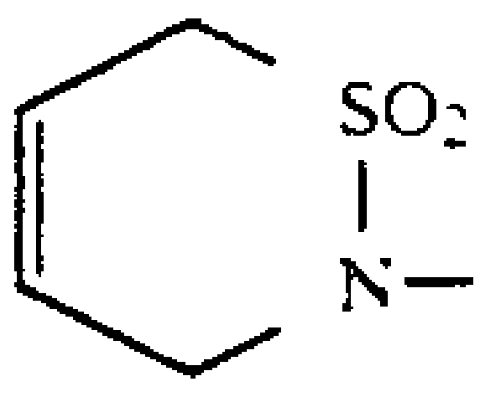
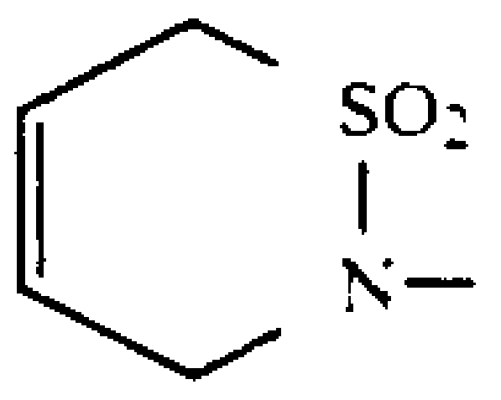
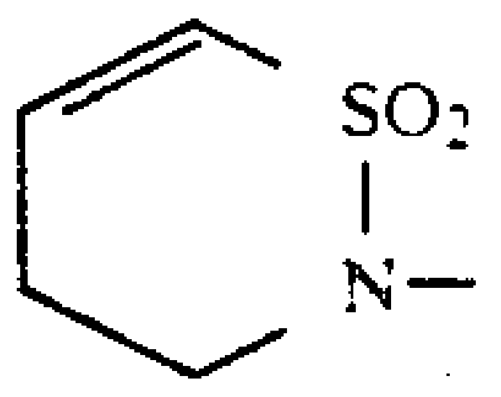
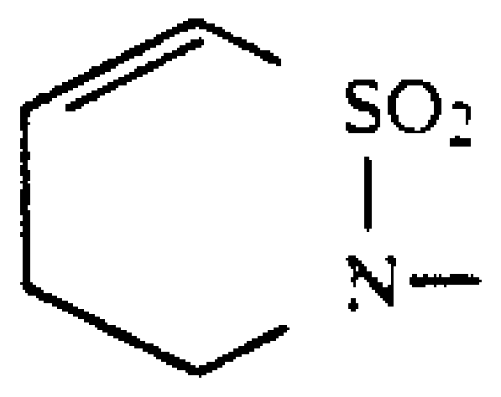
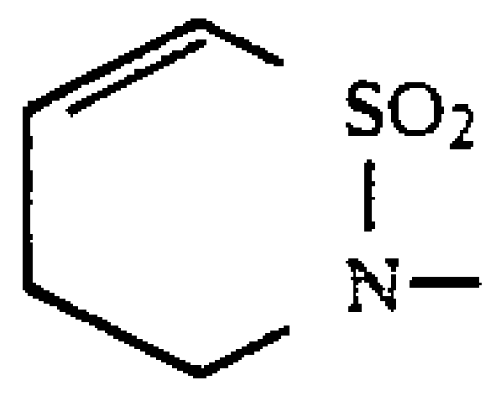
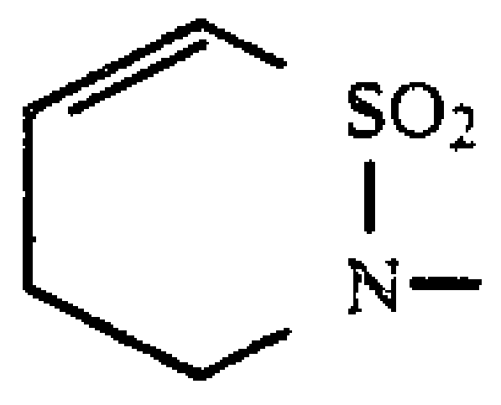
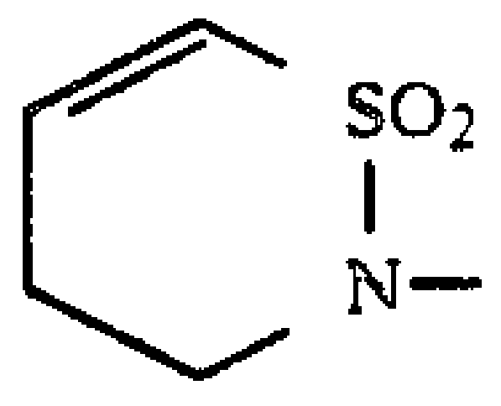
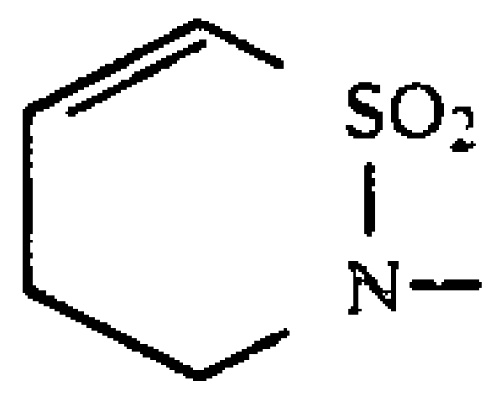
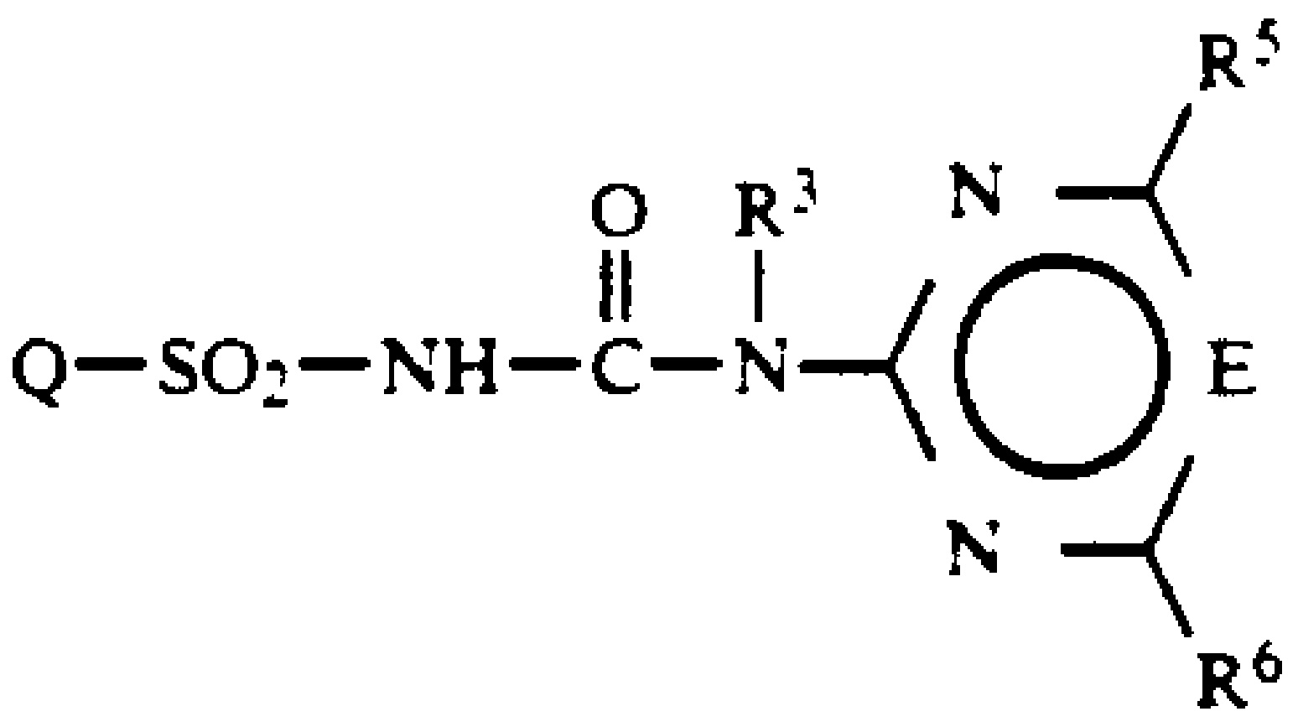
Example	Q	R³	R⁵	R⁶	E	m.p.
262		H	OC_2H_5	OC_2H_5	CH	
263		H	OCH_3	$NHCH_3$	CH	
264		H	OCH_3	CH_3	N	
265		H	OCH_3	$NHCH_3$	N	
266		CH_3	OCH_3	CH_3	N	
267		H	OCH_3	OCH_2CF_3	CH	
268		H	OCH_3	OCH_3	CH	
269		H	OCH_3	CH_3	CH	
270		H	CH_3	CH_3	CH	
271		H	OCH_3	Cl	CH	
272		H	CH_3	Cl	CH	
273		H	OCH_3	OCH_2CF_3	CH	

TABLE 1-continued



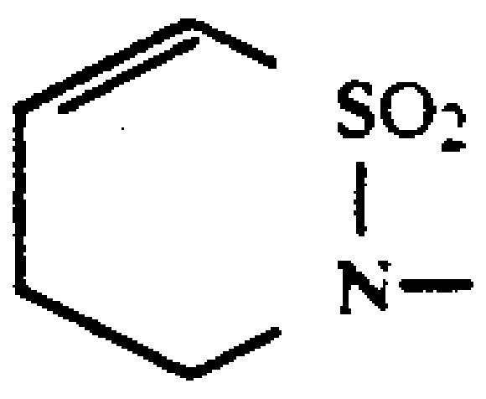
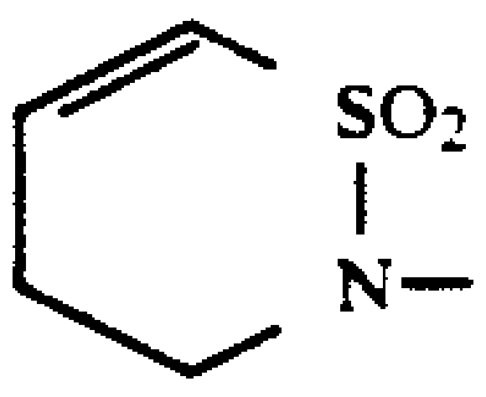
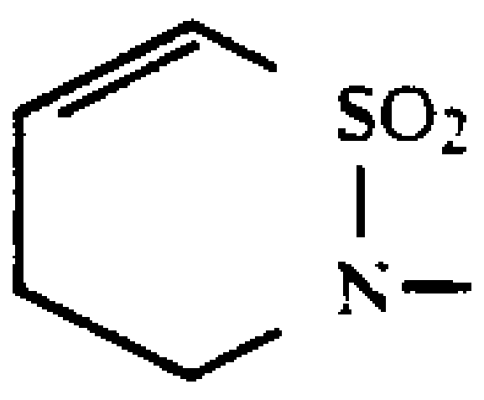
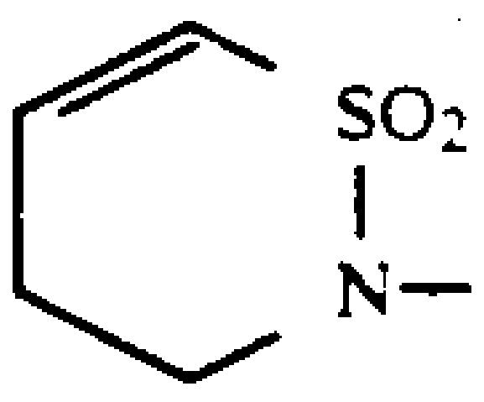
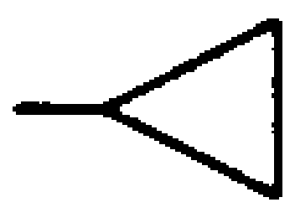
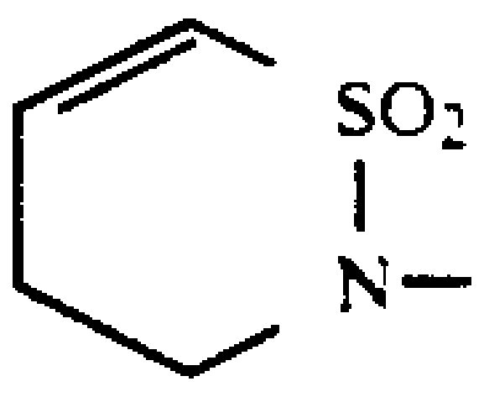
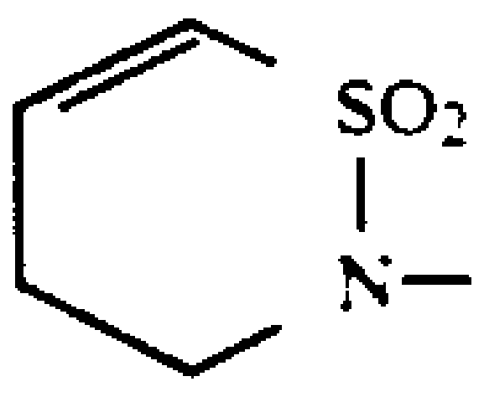
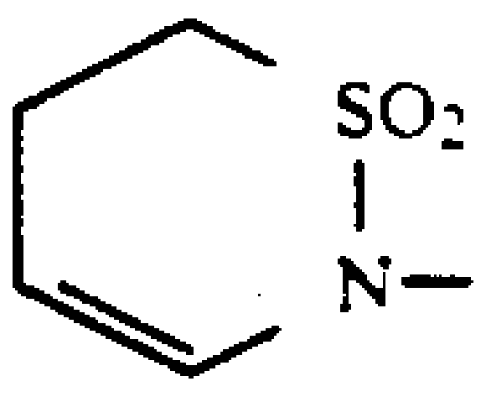
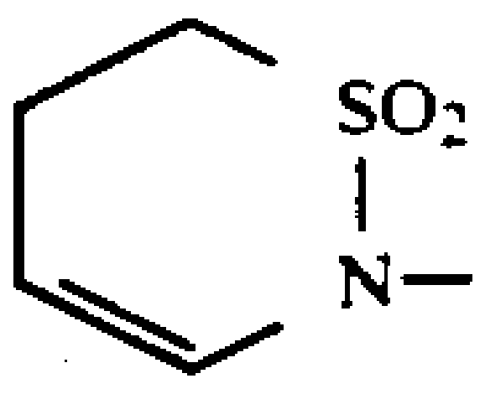
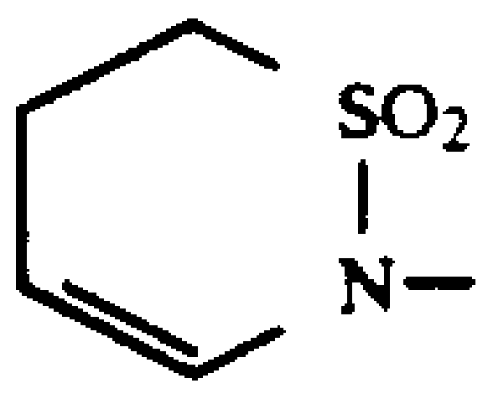
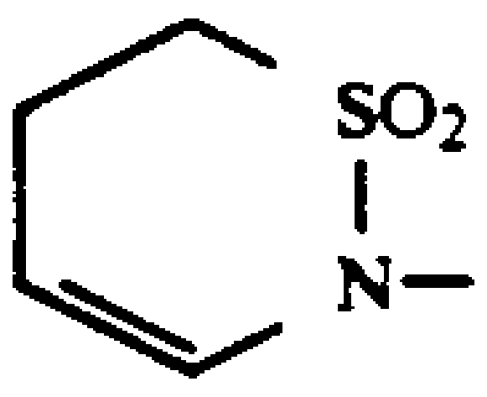
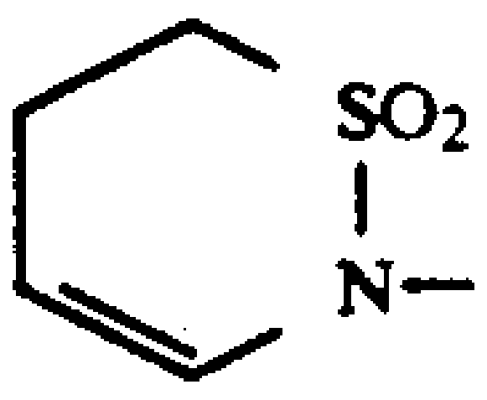
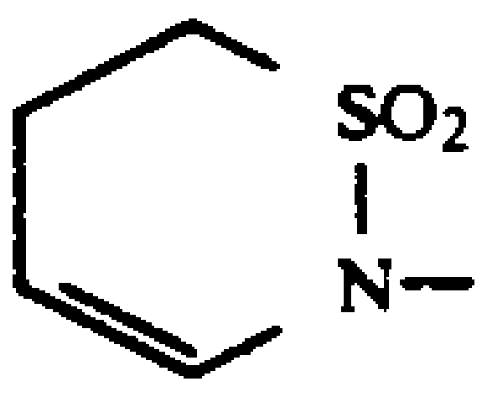
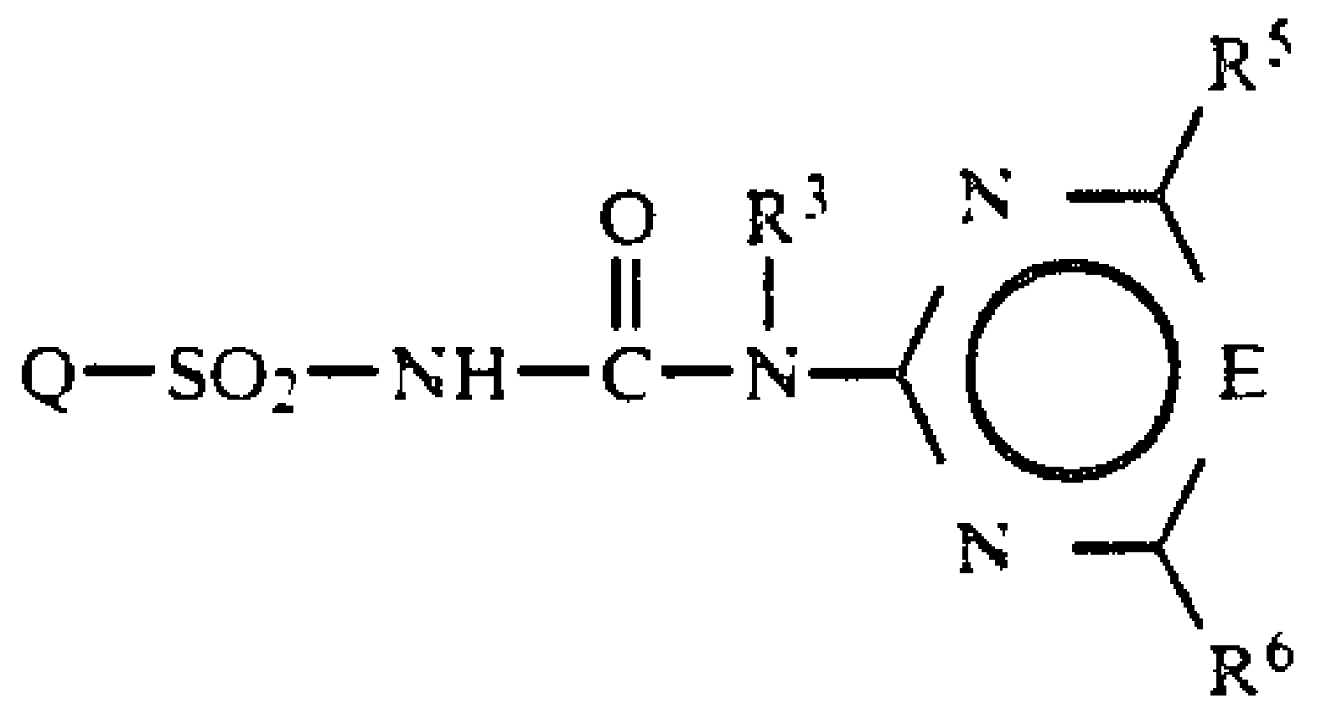
Example	Q	R³	R⁵	R⁶	E	m.p.
274		H	$OCHF_2$	$OCHF_2$	CH	
275		H	OCH_3	CH_3	N	
276		CH_3	OCH_3	CH_3	N	
277		H	OH_3		N	
278		H	OC_2H_5	$NHCH_3$	N	
279		H	SCH_3	CH_3	N	
280		H	OCH_3	OCH_3	CH	
281		H	OCH_3	CH_3	CH	
282		H	CH_3	CH_3	CH	
283		H	OCH_3	Cl	CH	
284		H	$OCHF_2$	$OCHF_2$	CH	
285		H	SCH_3	CH_3	CH	

TABLE 1-continued



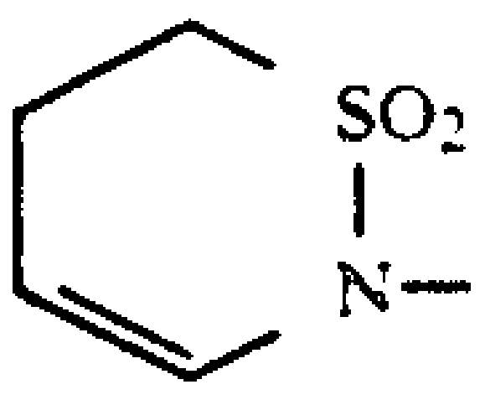
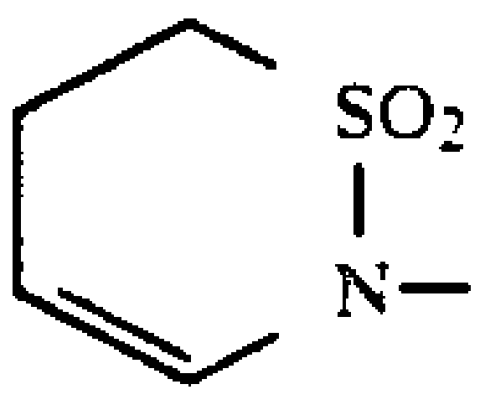
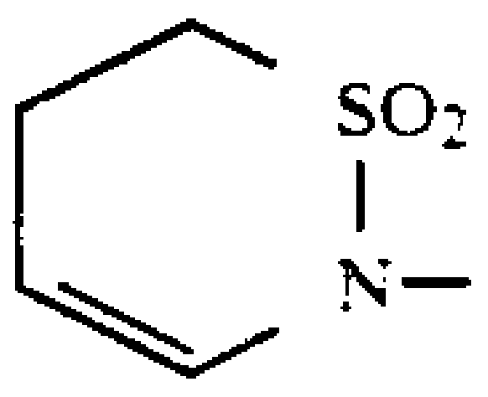
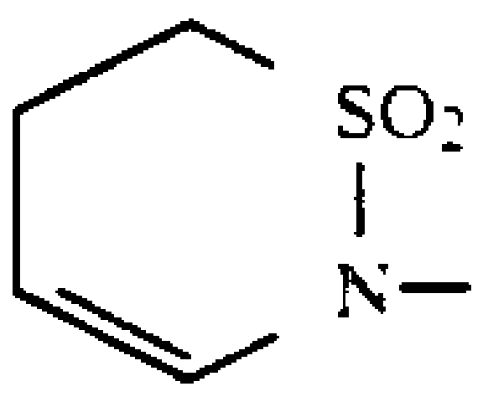
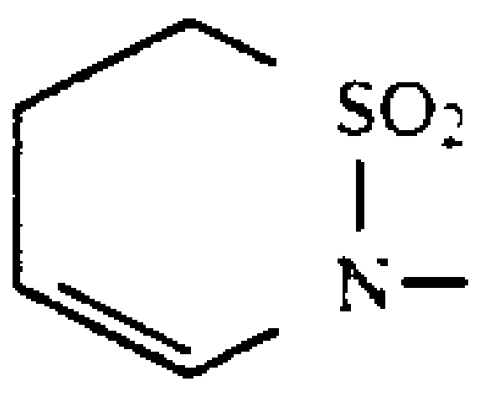
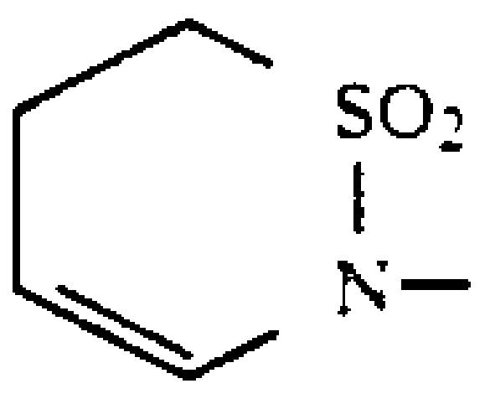
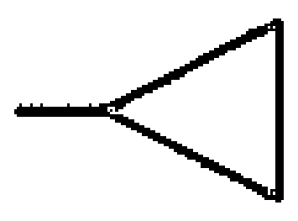
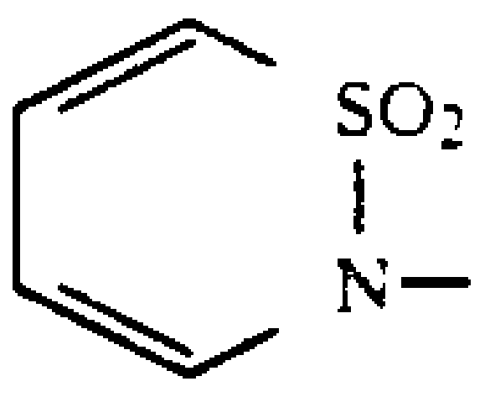
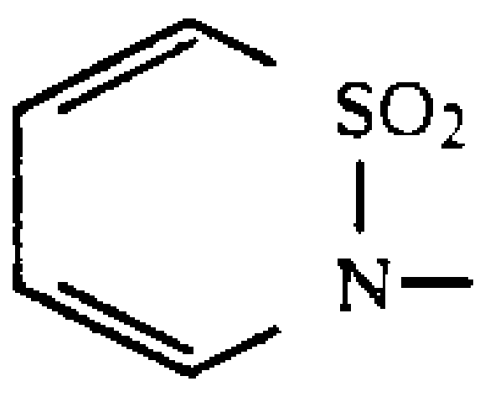
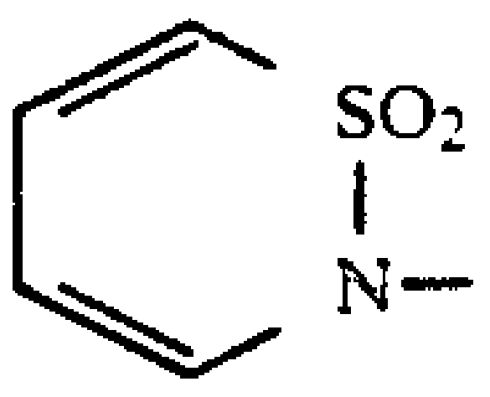
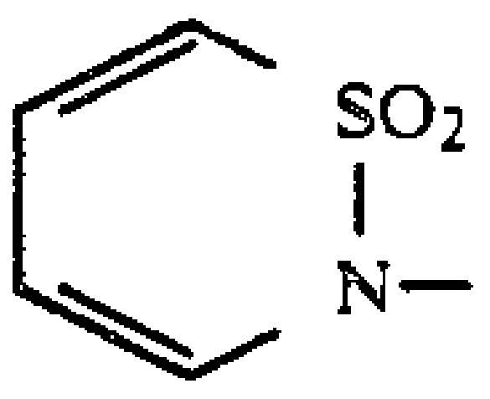
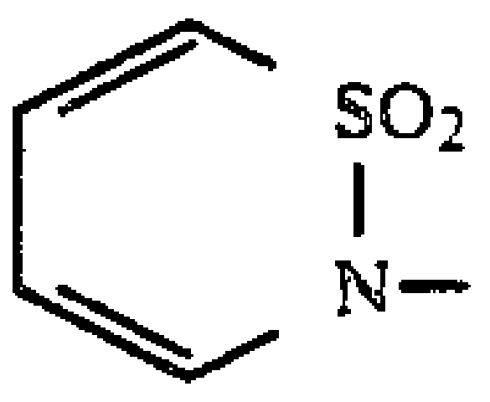
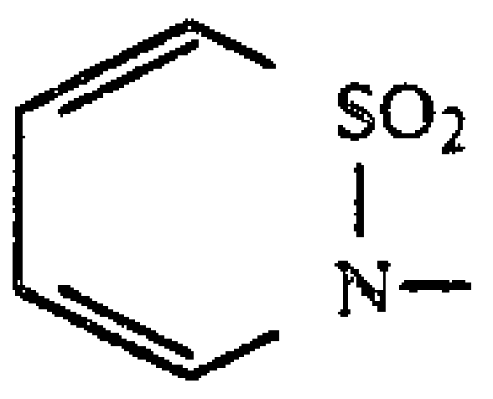
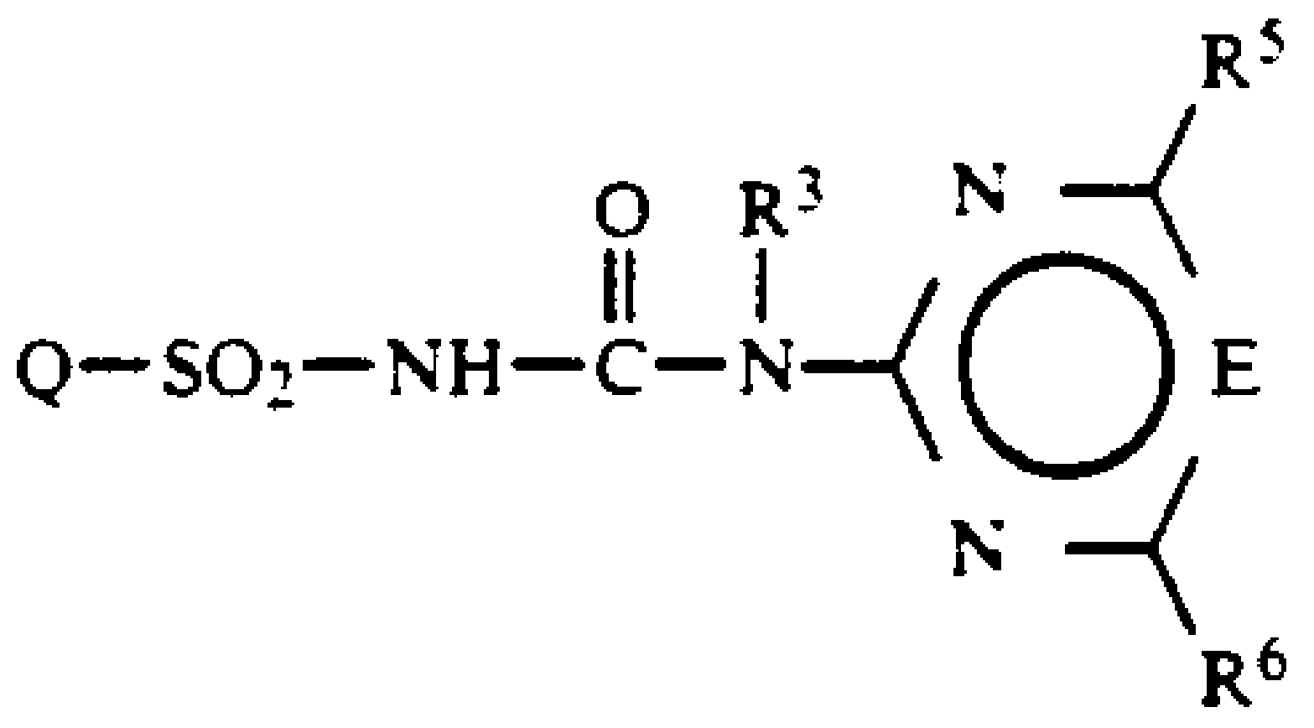
Example	Q	R^3	R^5	R^6	E	m.p.
286		H	OC_2H_5	$NHCH_3$	CH	
287		H	OCH_3	CH_3	N	
288		CH_3	OCH_3	CH_3	N	
289		H	OCH_3	OCH_3	N	
290		H	OCH_3	OCH_2CF_3	N	
291		H	OCH_3		N	
292		H	OCH_3	OCH_3	CH	
293		H	OCH_3	CH_3	CH	
294		H	CH_3	CH_3	CH	
295		H	OCH_3	Cl	CH	
296		H	$OCHF_2$	$OCHF_2$	CH	
297		H	$OCHF_2$	OCH_3	CH	

TABLE 1-continued



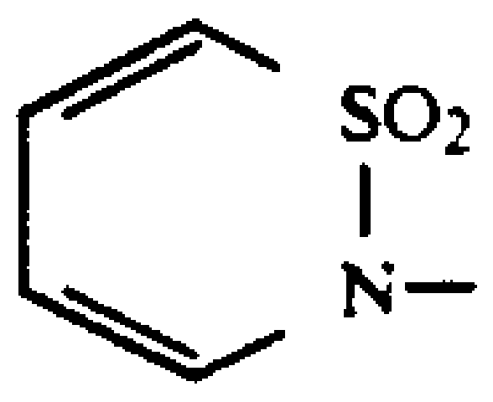
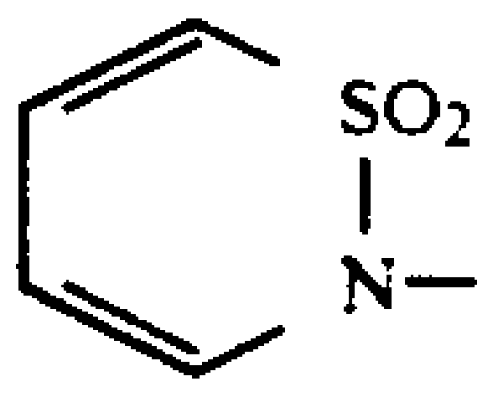
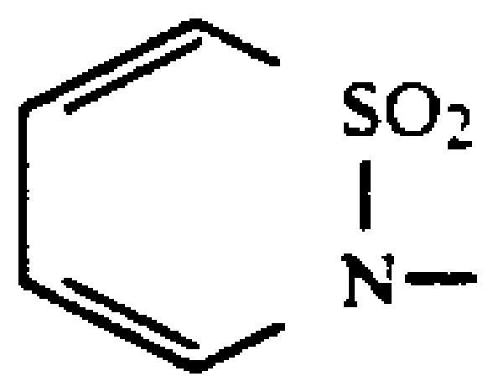
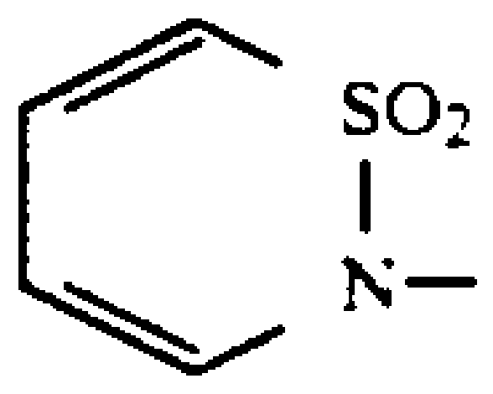
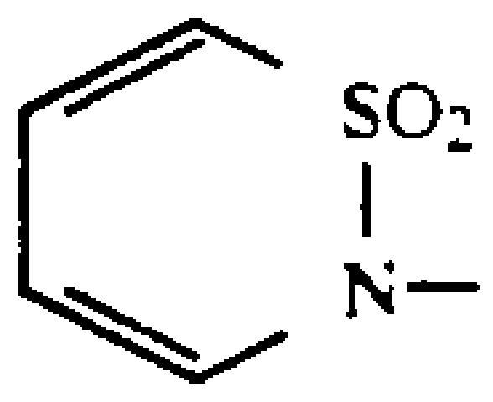
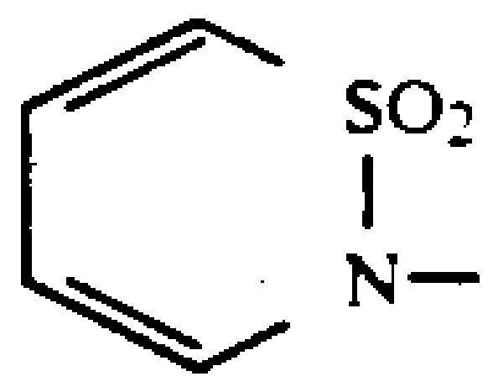
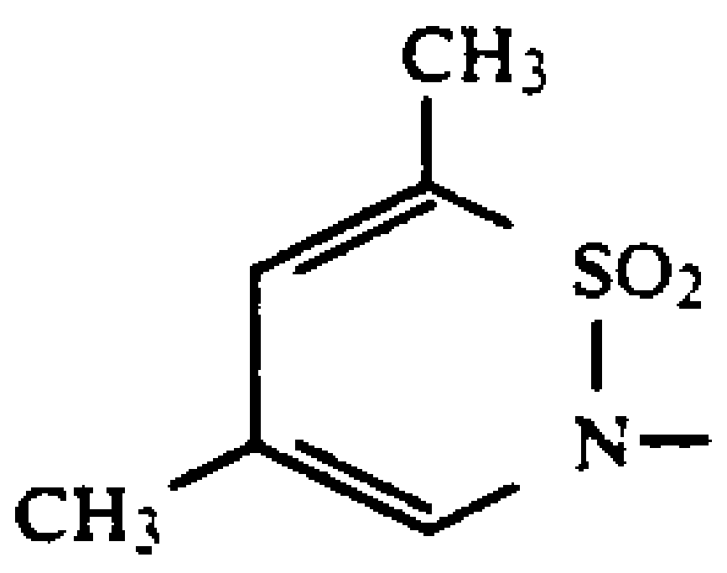
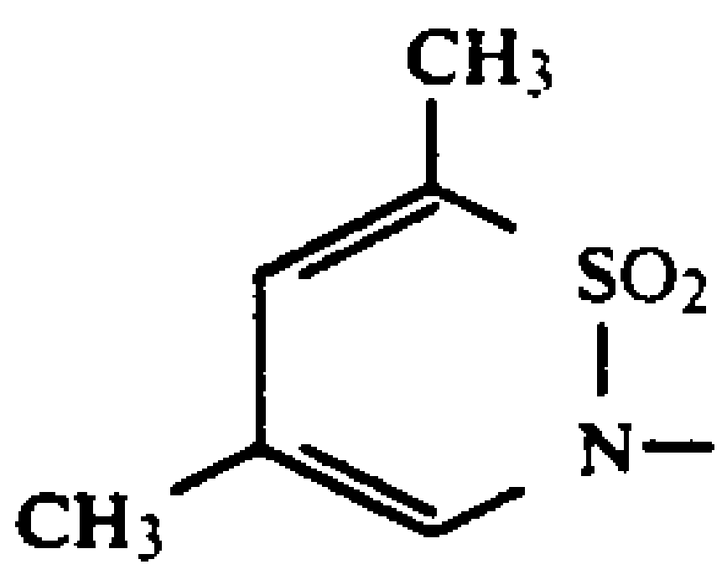
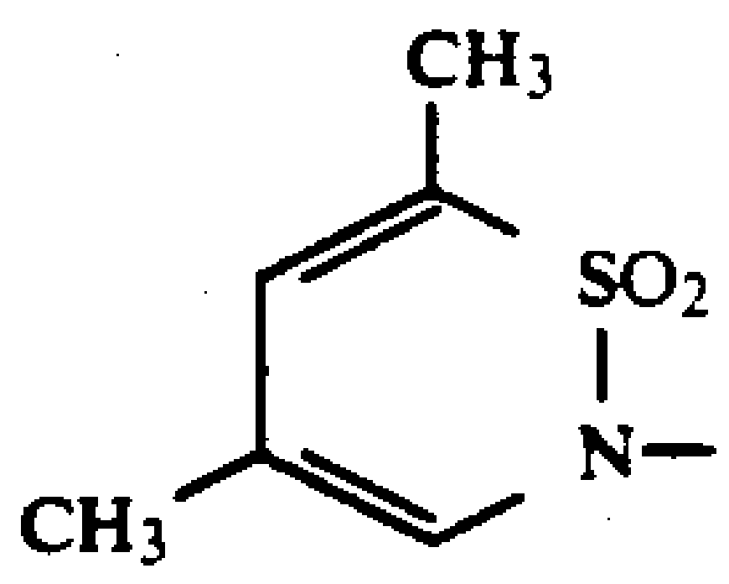
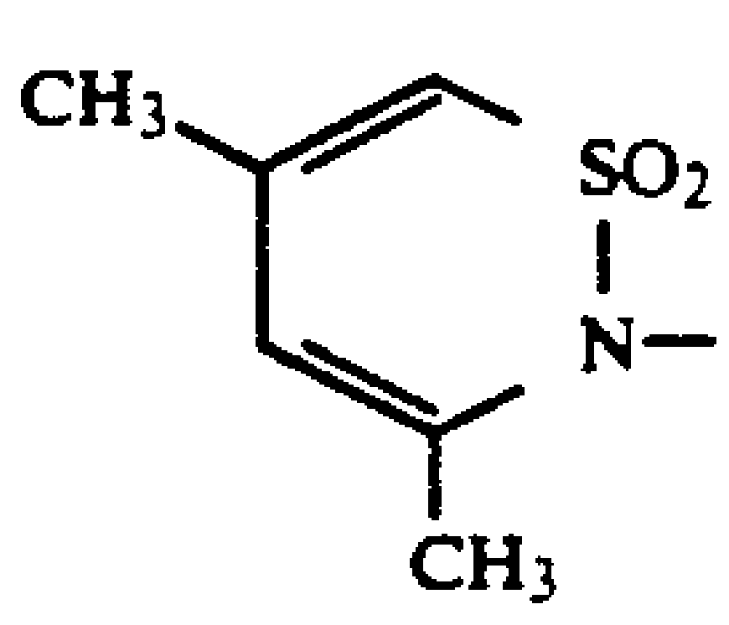
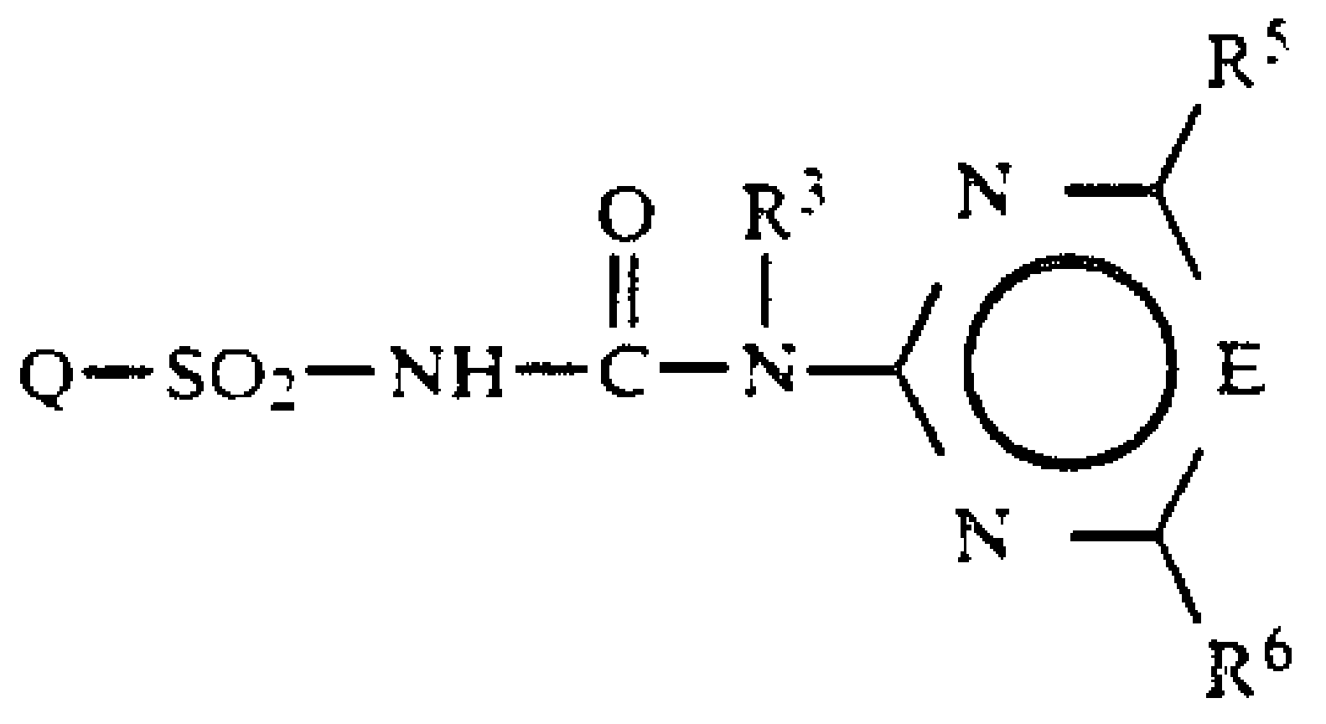
Example	Q	R^3	R^5	R^6	E	m.p.
298		H	$OCHF_2$	CH_3	CH	
299		H	OCH_3	CH_3	N	
300		CH_3	OCH_3	CH_3	N	
301		H	OCH_3	OCH_3	N	
302		H	CH_3	CH_3	N	
303		N	H	CH_3	CH	
304		H	OCH_3	OCH_3	CH	
304		H	OCH_3	OCH_3	CH	
305		H	OCH_3	CH_3	N	
306		H	OCH_3	OCH_3	CH	112-114

TABLE 1-continued



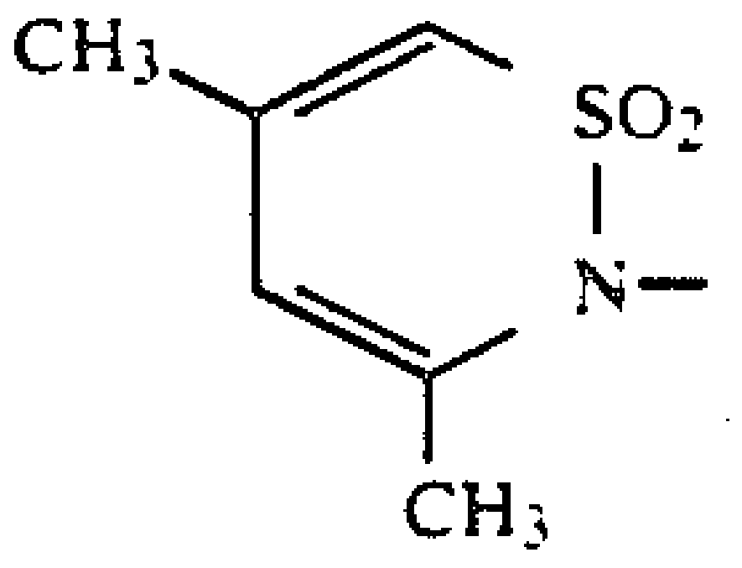
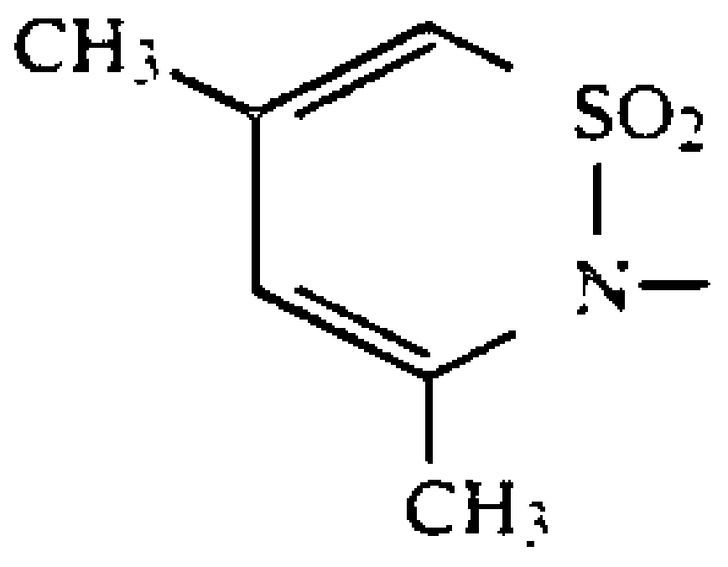
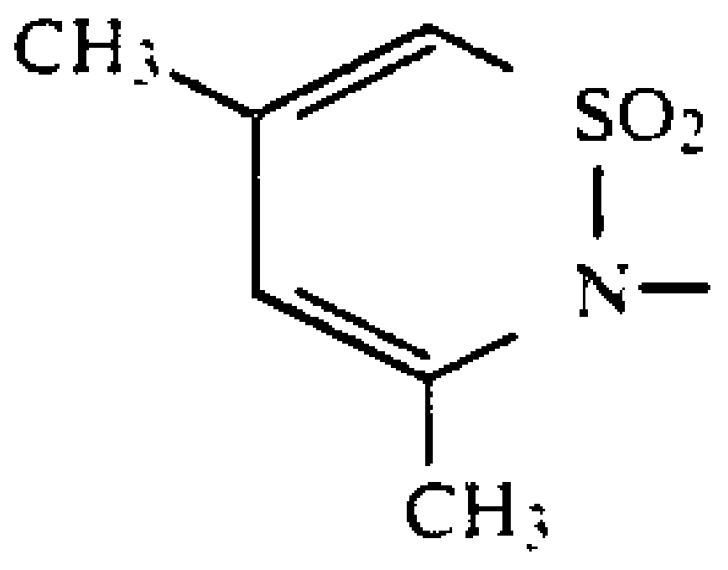
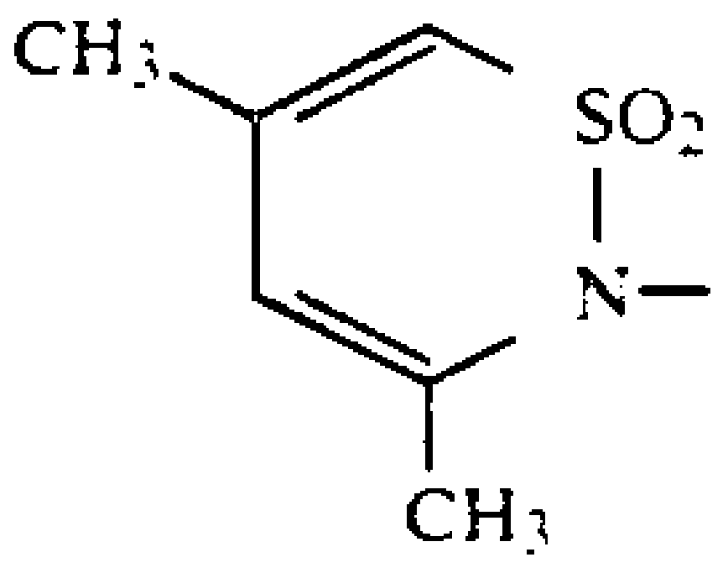
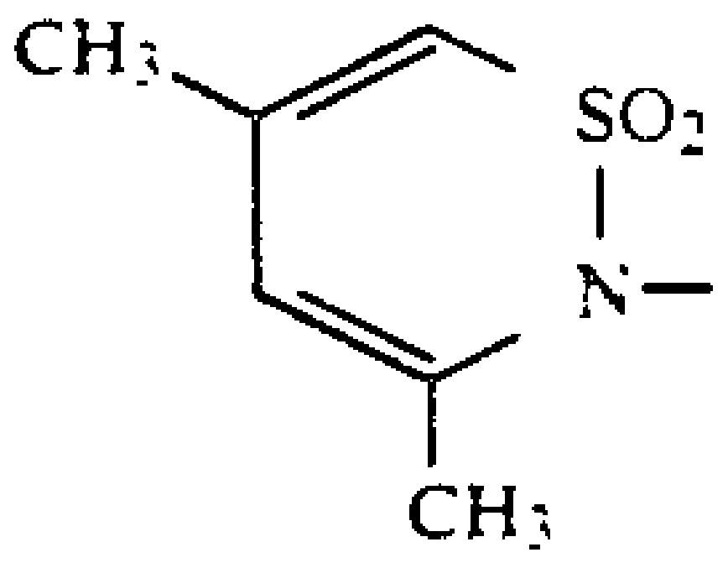
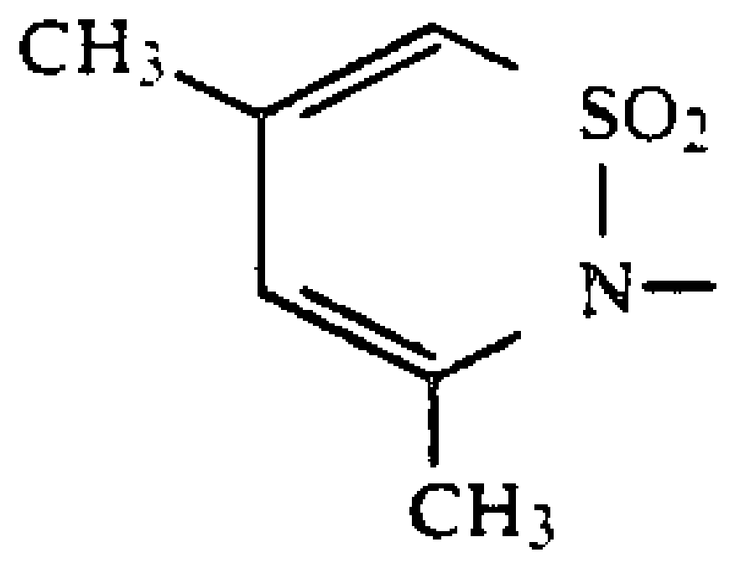
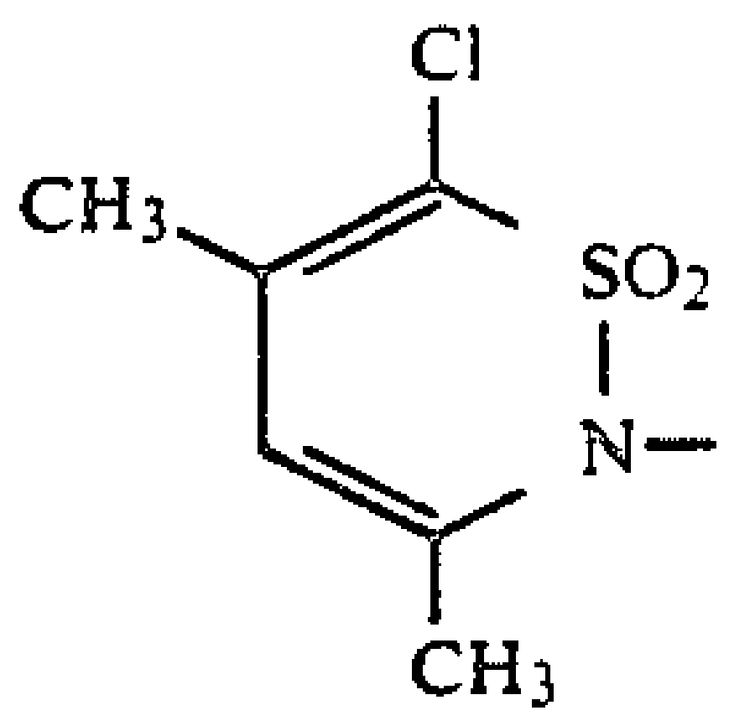
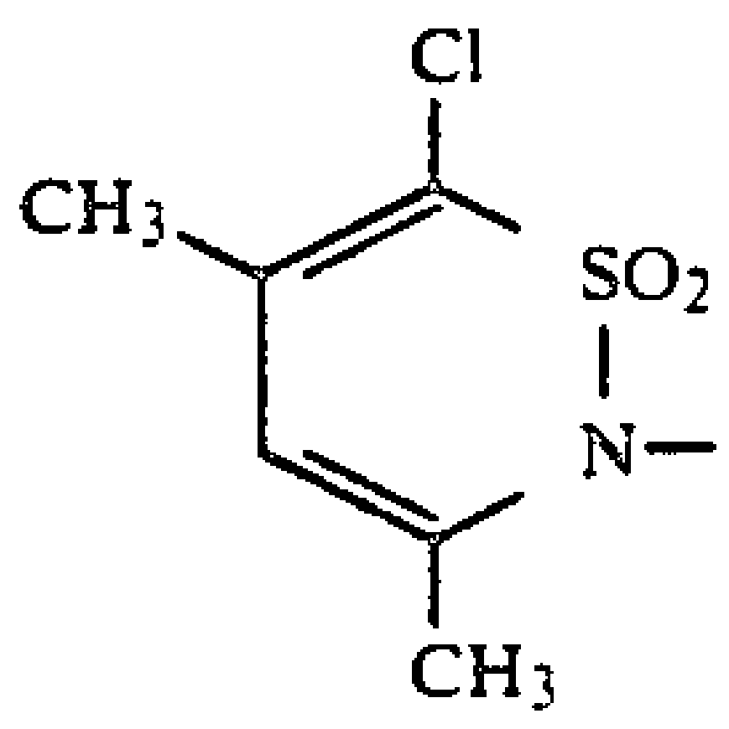
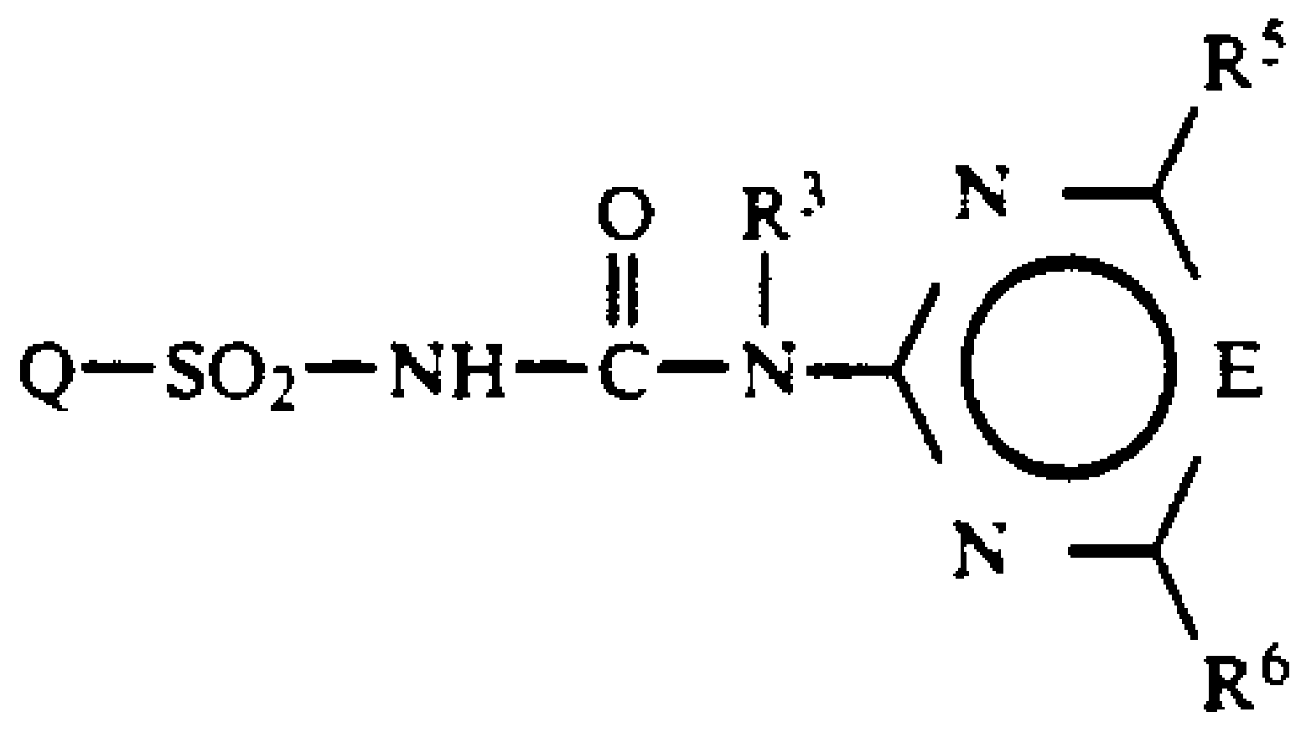
Example	Q	R^3	R^5	R^6	E	m.p.
307		H	OCH_3	CH_3	CH	
308		H	CH_3	CH_3	CH	
309		H	OCH_3	Cl	CH	
310		H	$OCHF_2$	$OCHF_2$	CH	
311		H	OCH_3	$NHCH_3$	CH	
312		H	OCH_3	CH_3	N	
313		CH_3	OCH_3	CH_3	N	
314		H	OCH_3	OCH_3	N	

TABLE 1-continued



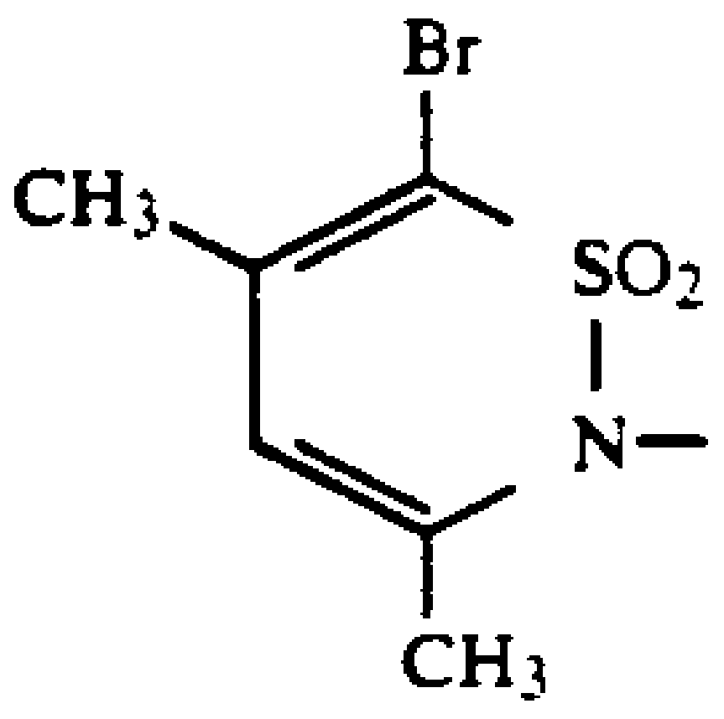
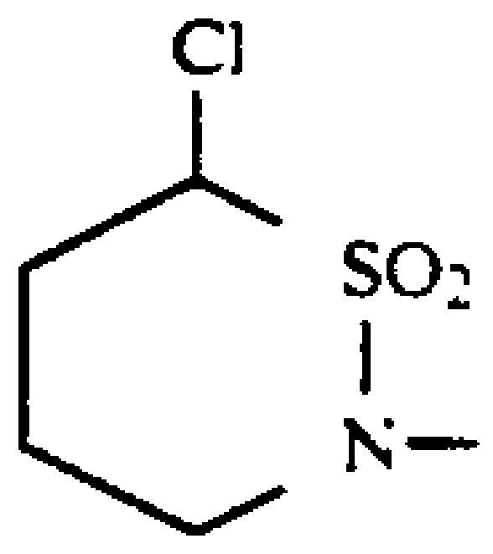
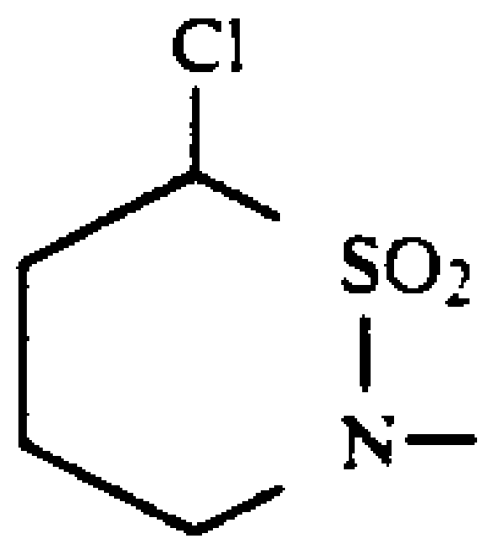
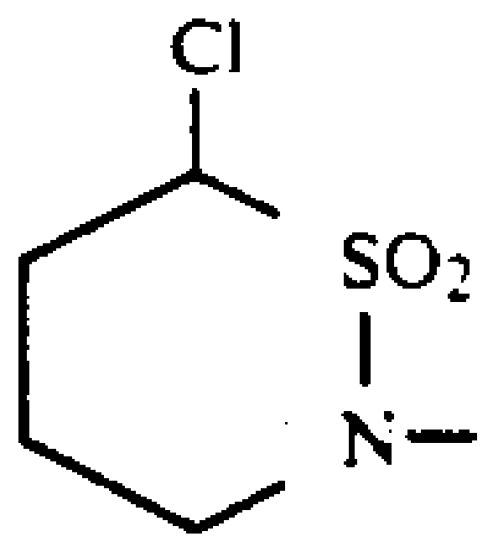
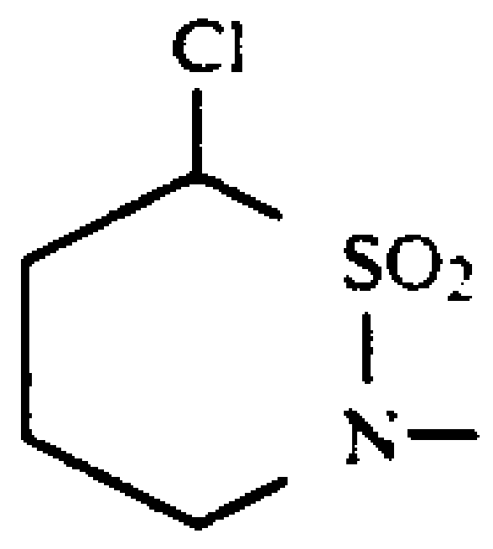
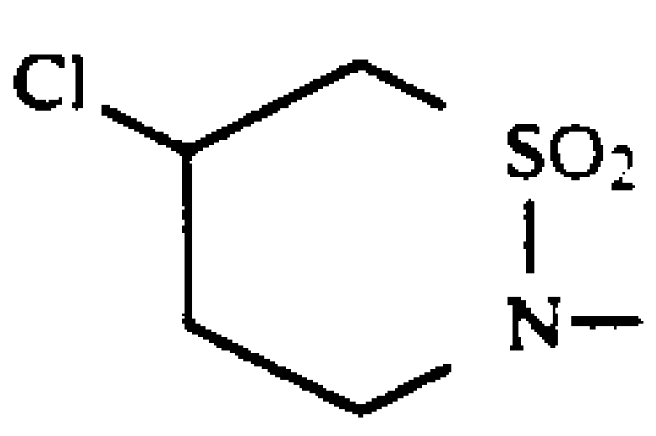
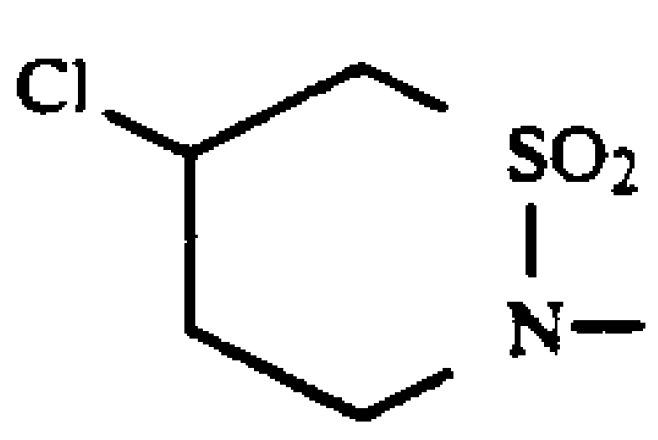
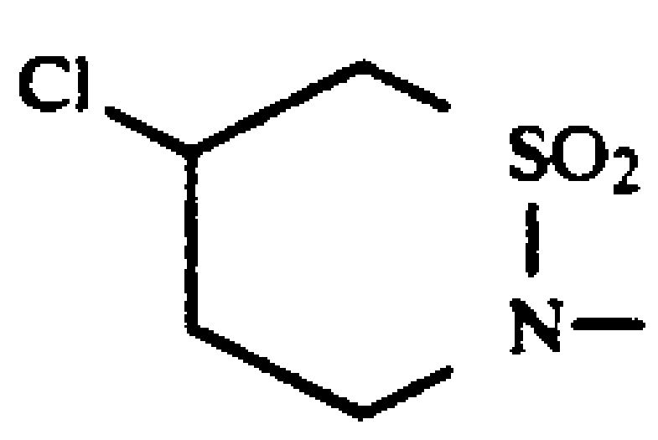
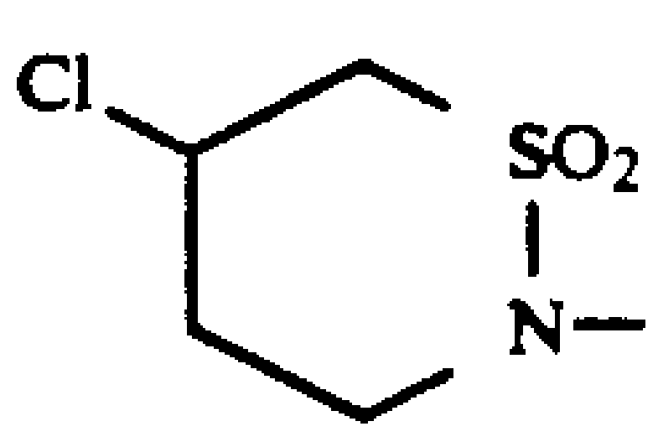
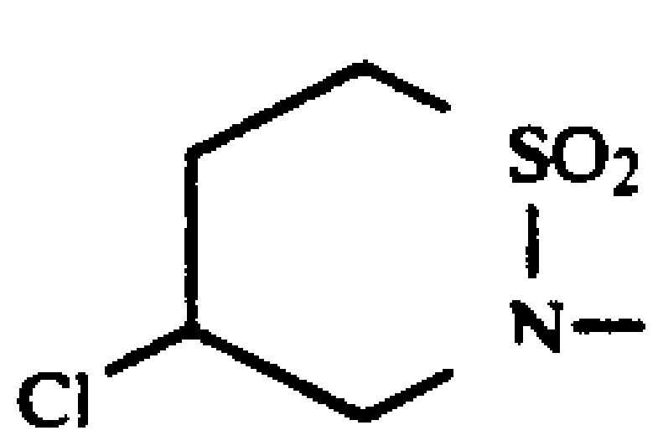
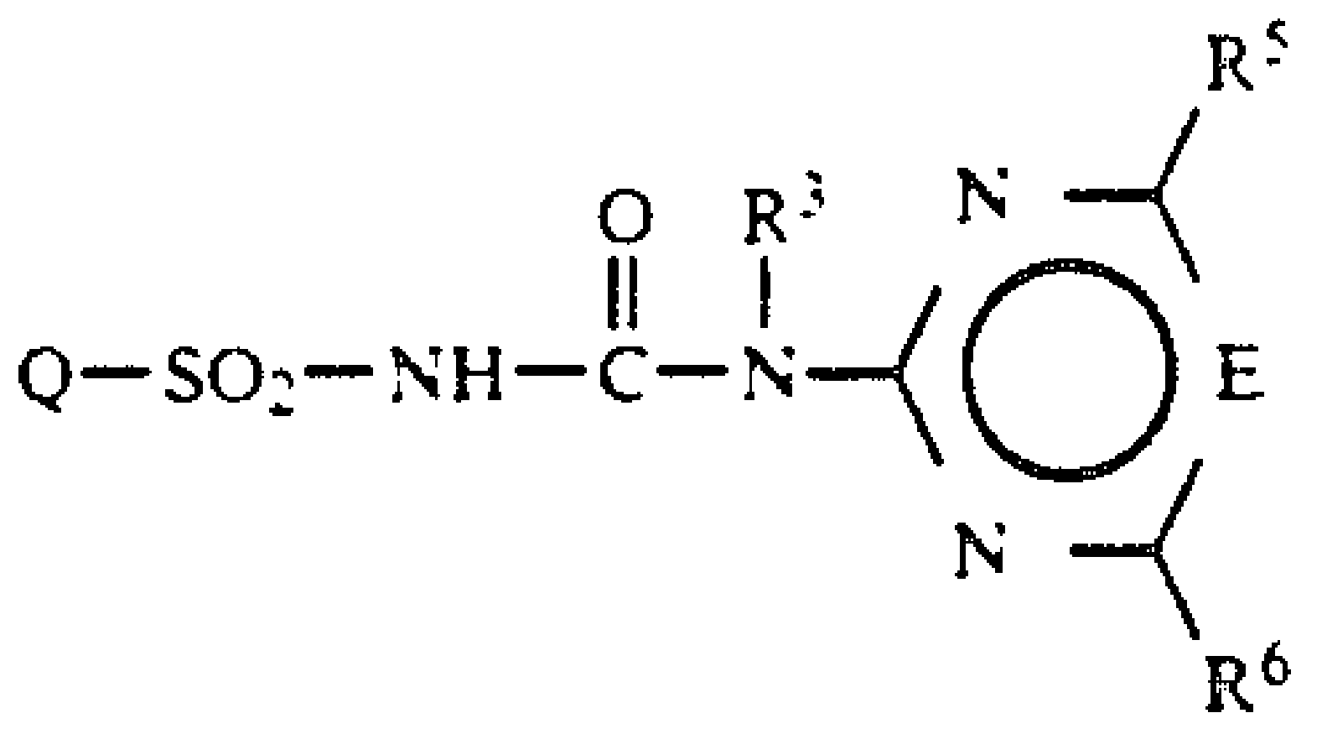
Example	Q	R³	R⁵	R⁶	E	m.p.
315		H	CH_3	CH_3	N	
316		H	OCH_3	OCH_3	CH	
317		H	OCH_3	CL	CH	
318		H	OCH_3	CH_3	CH	
319		H	CH_3	CH_3	CH	
320		H	OCH_3	OCH_3	CH	
321		H	CH_3	CH_3	CH	
322		H	OCH_3	$NHCH_3$	CH	
323		H	CH_3	H	CH	
324		H	OCH_3	OCH_3	CH	

TABLE 1-continued



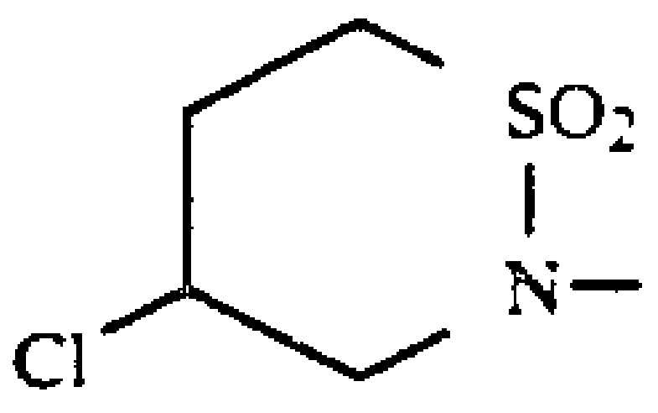
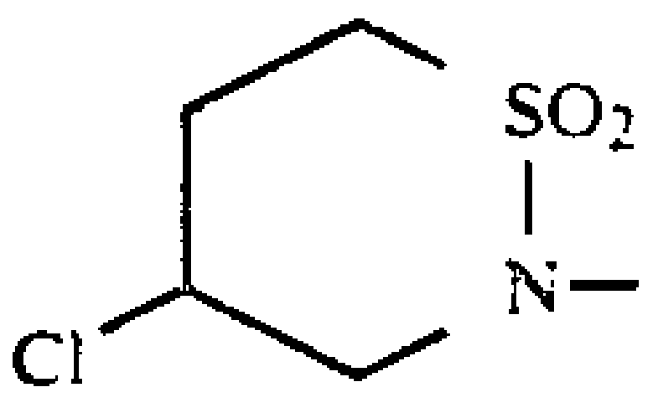
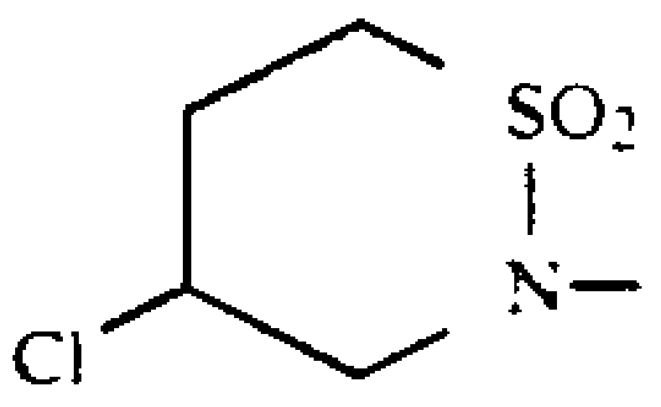
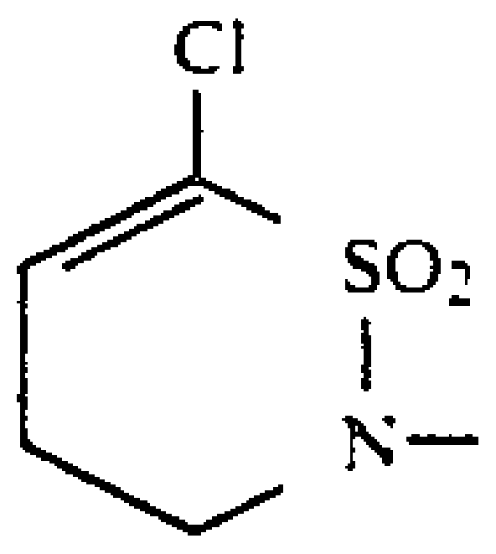
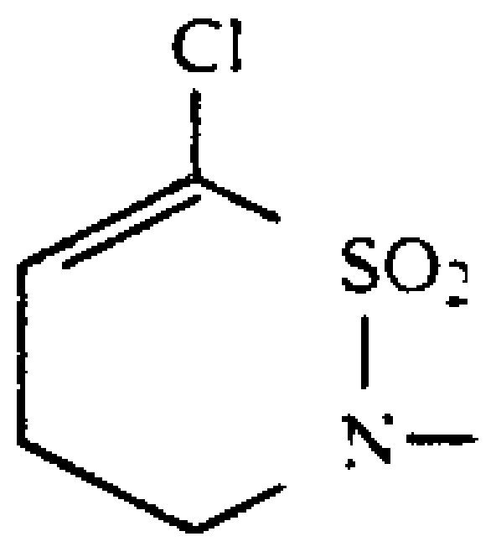
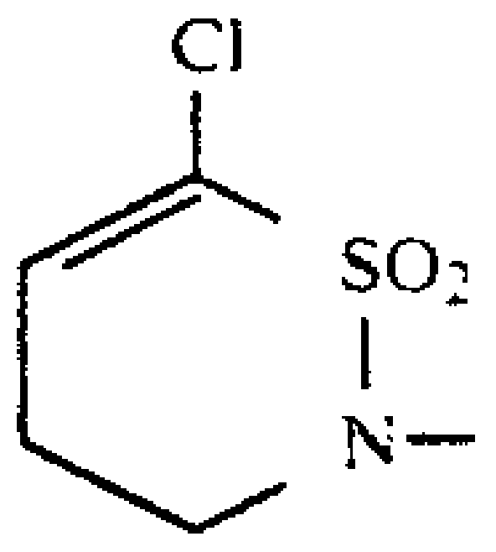
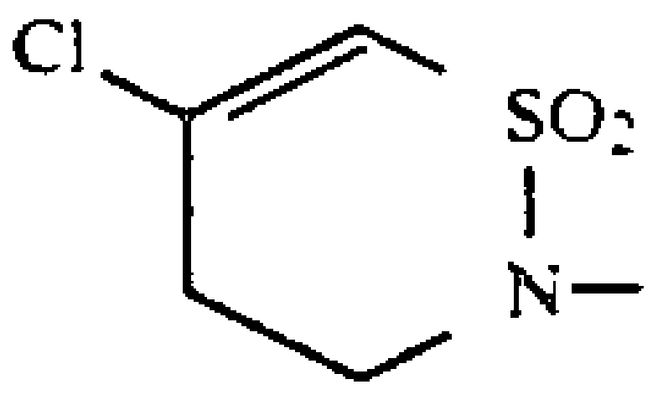
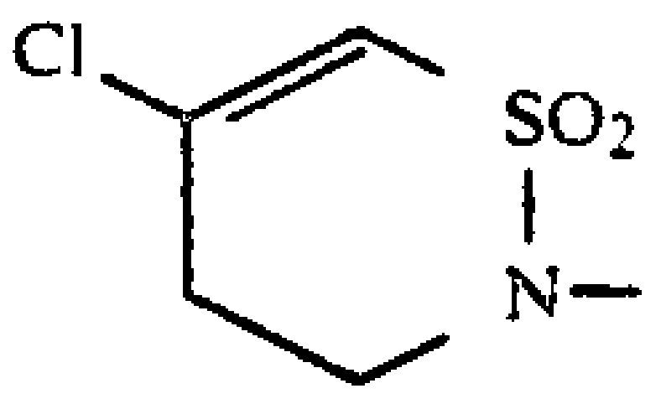
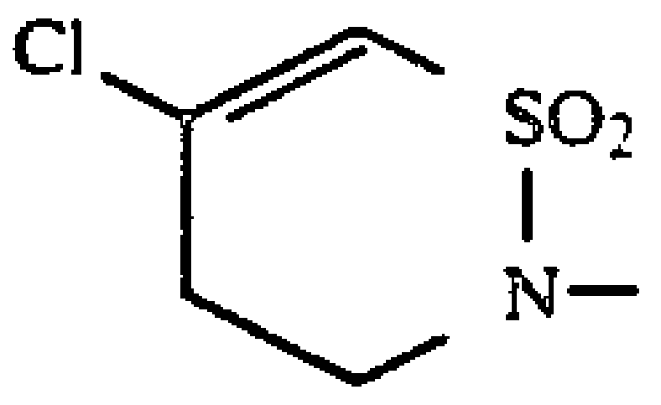
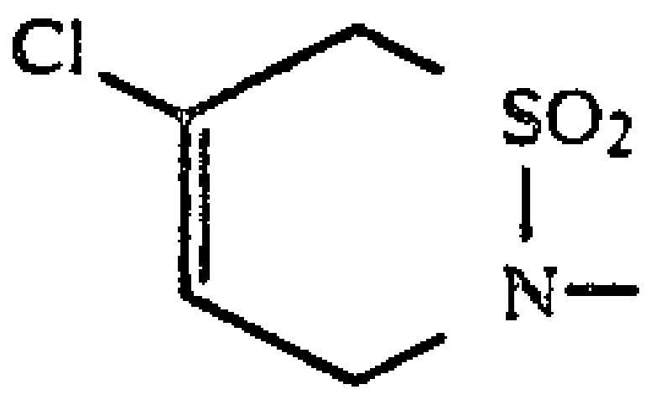
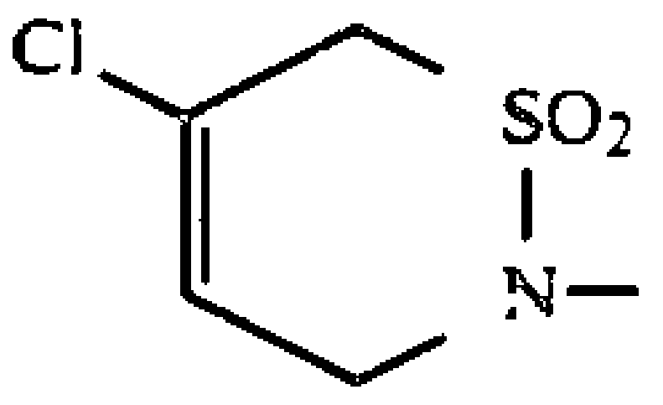
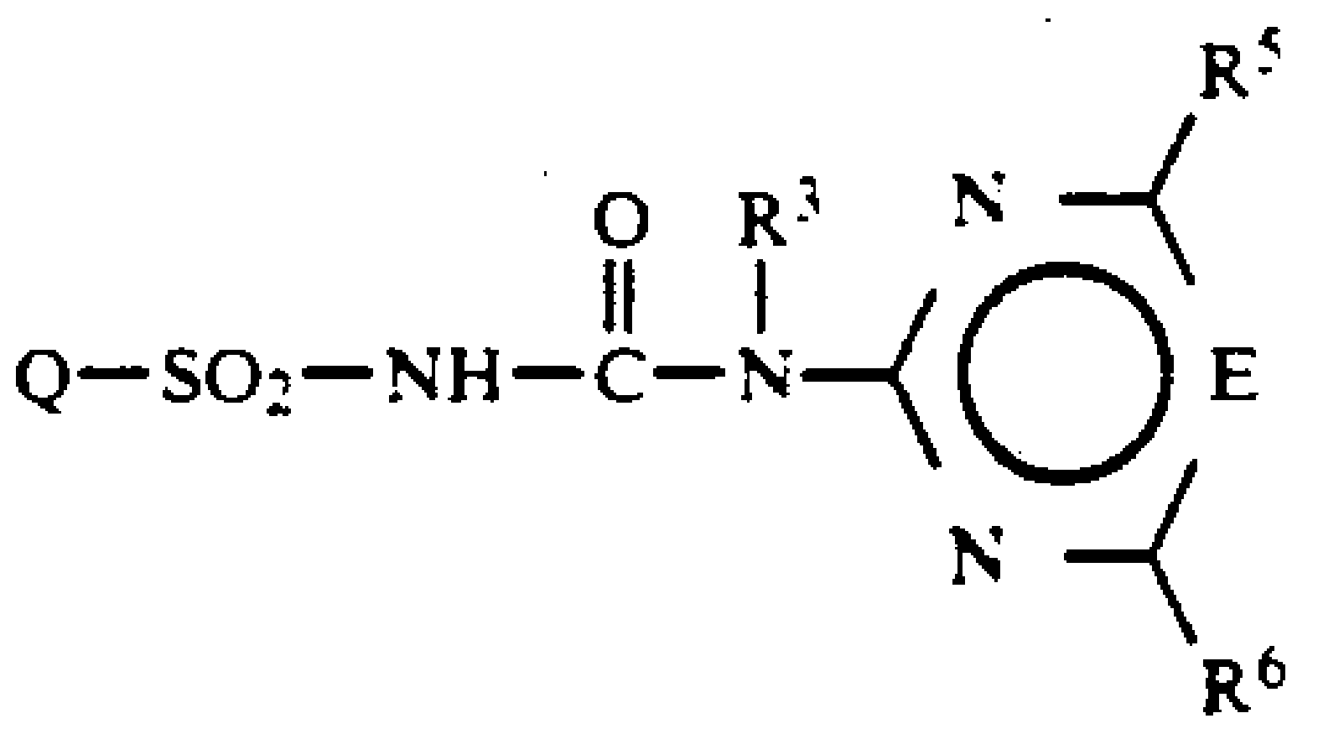
Example	Q	R³	R⁵	R⁶	E	m.p.
325		CH_3	OCH_3	CH_3	N	
326		H	OCH_3	OCH_3	N	
327		H	OCH_3	—	N	
328		H	OCH_3	CH_3	CH	
329		H	OCH_3	CH_3	CH	
330		H	OCH_3	H	CH	
331		H	OCH_3	OCH_3	CH	
332		H	OCH_3	CH_3	N	
333		H	OCH_3	H	N	
334		H	OCH_3	OCH_3	CH	
335		H	$OCHF_2$	$OCHF_2$	CH	

TABLE 1-continued



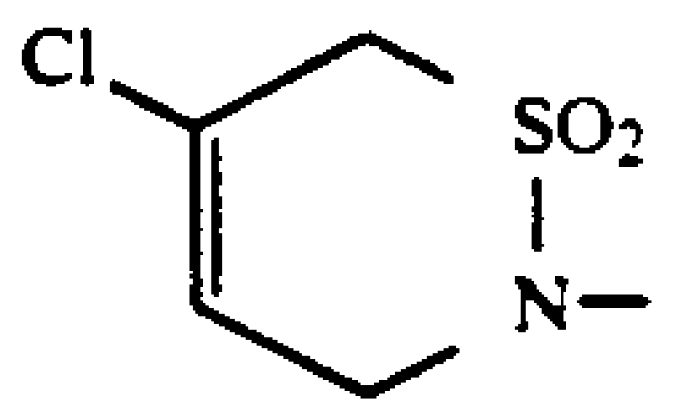
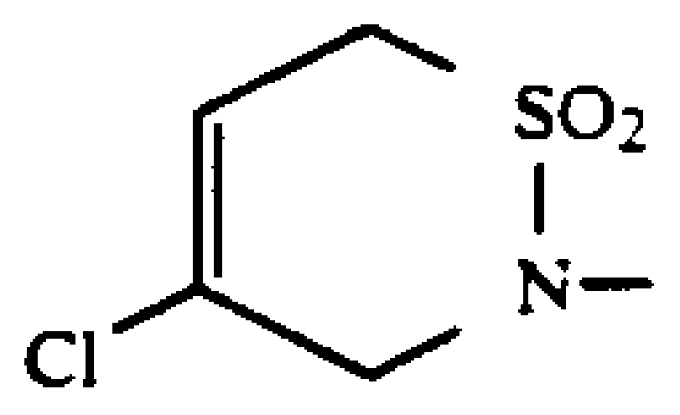
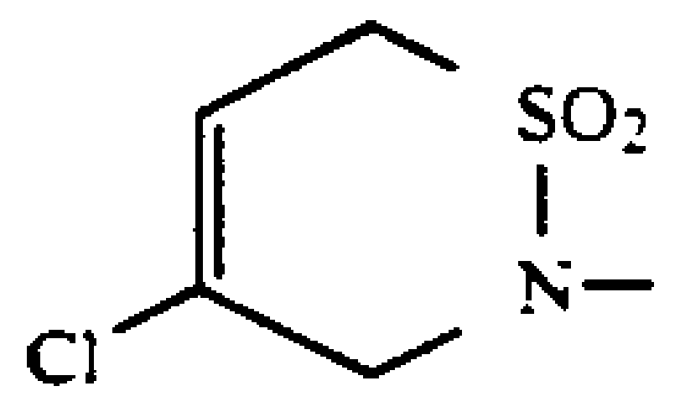
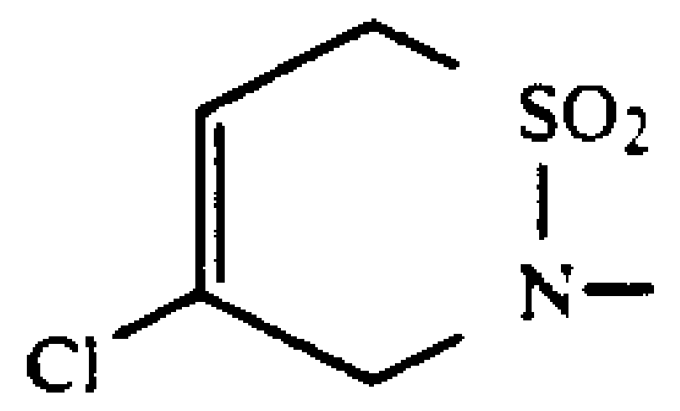
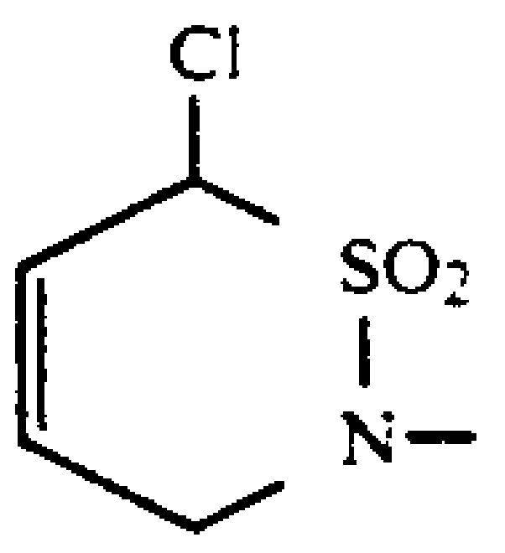
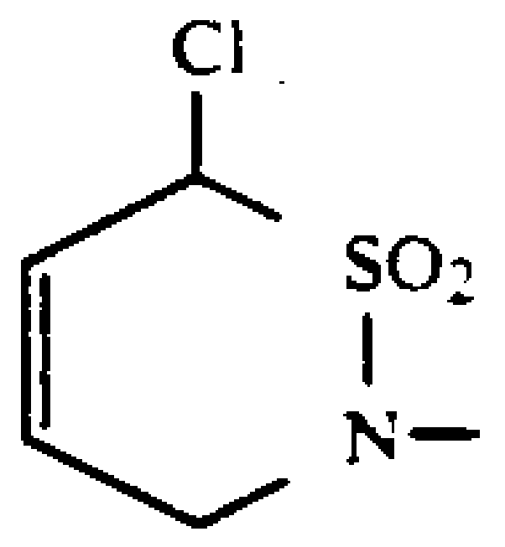
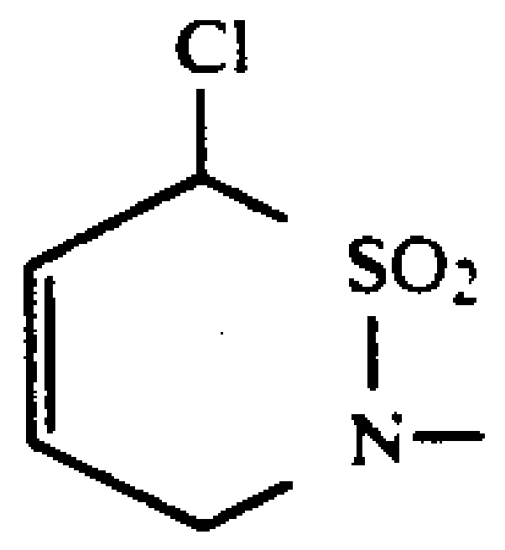
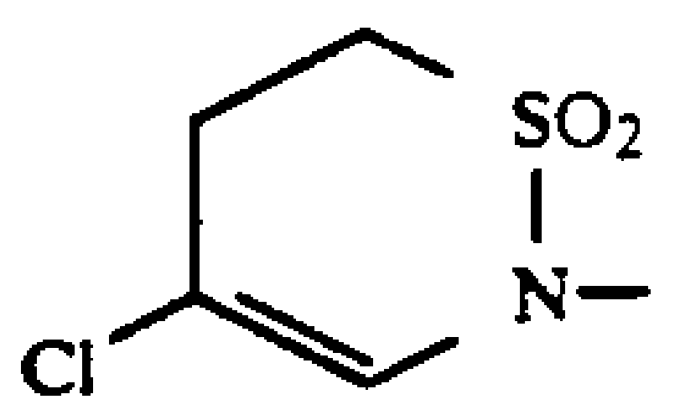
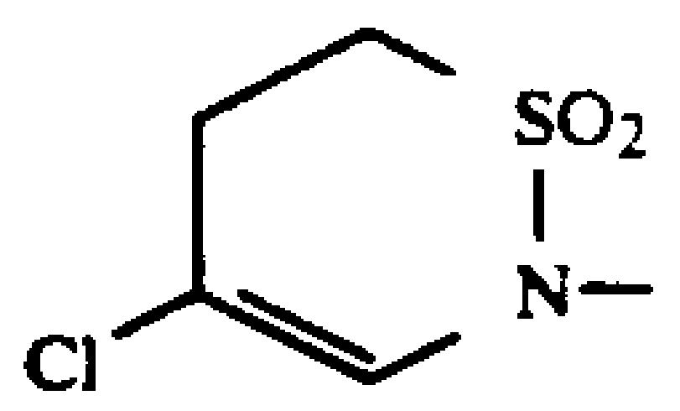
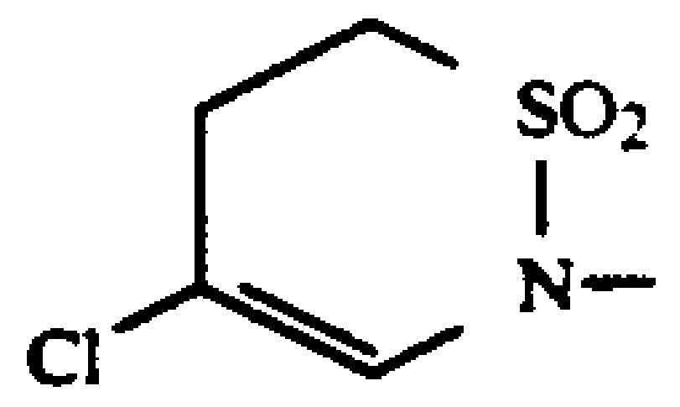
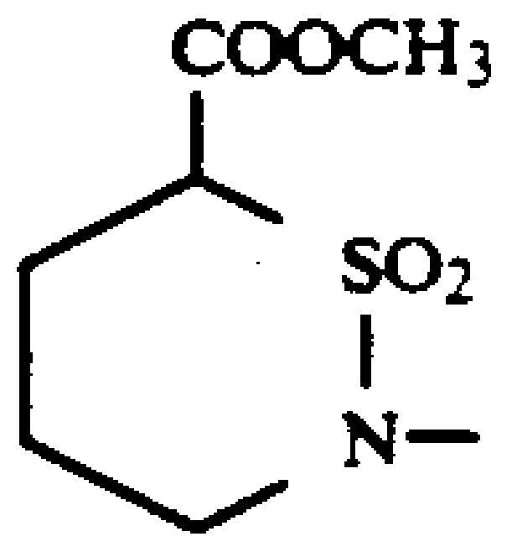
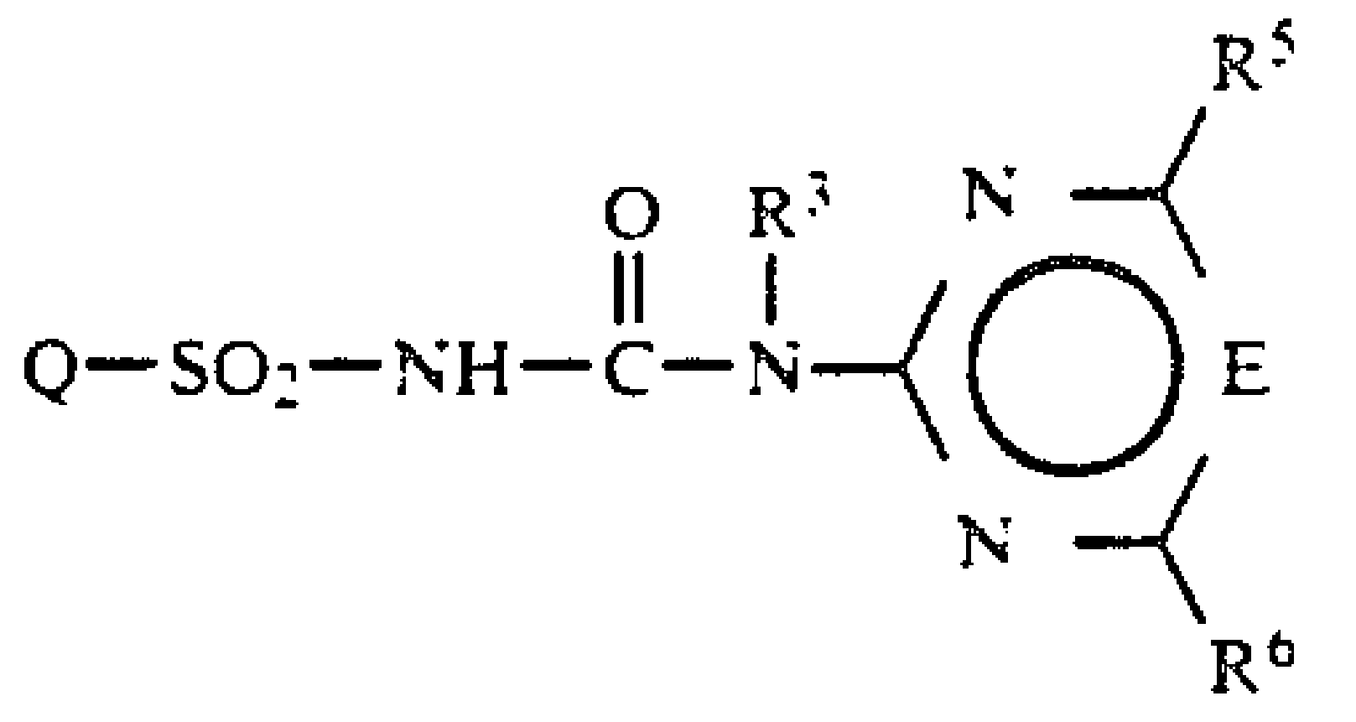
Example	Q	R^3	R^5	R^6	E	m.p.
336		H	CH$_3$	CH$_3$	CH	
337		H	OCH$_3$	OCH$_3$	CH	
338		H	SCH$_3$	CH$_3$	CH	
339		H	OCH$_3$	CH$_3$	N	
340		H	OCH$_3$	OCH$_3$	CH	
341		H	CH$_3$	CH$_3$	CH	
342		H	OCH$_3$	CH$_3$	N	
343		H	OCH$_3$	OCH$_3$	CH	
344		H	CH$_3$	CH$_3$	CH	
345		H	OCH$_3$	CH$_3$	N	
346		H	OCH$_3$	OCH$_3$	CH	

TABLE 1-continued



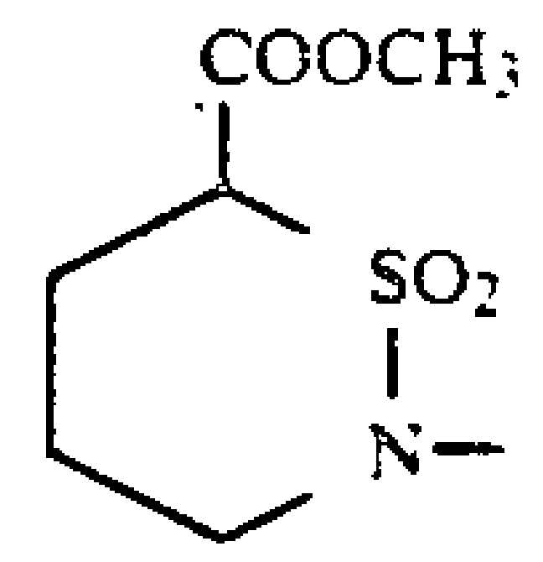
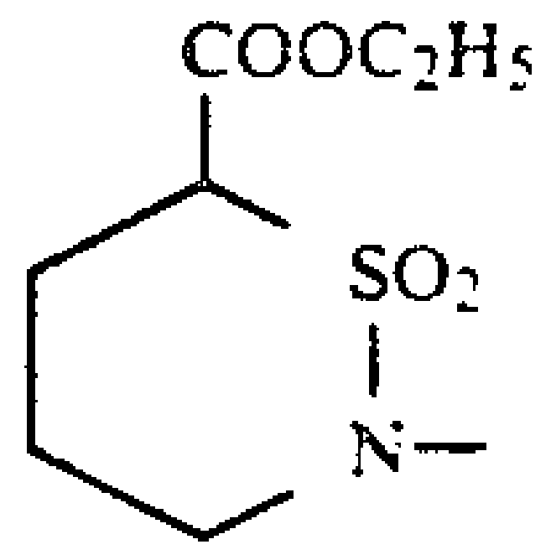
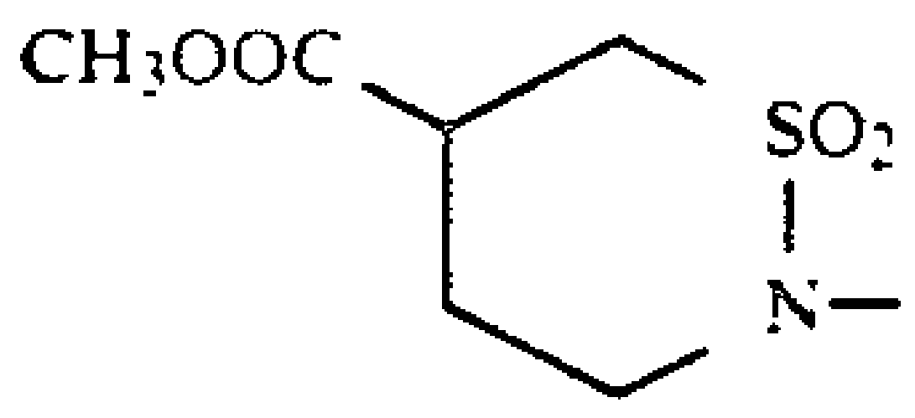
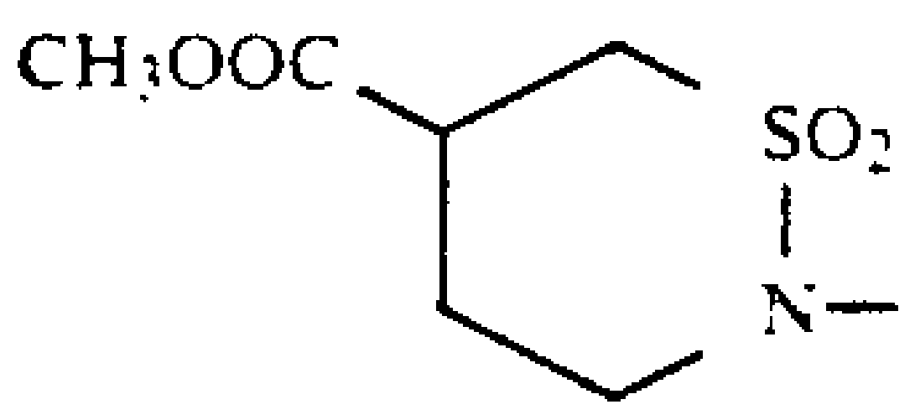
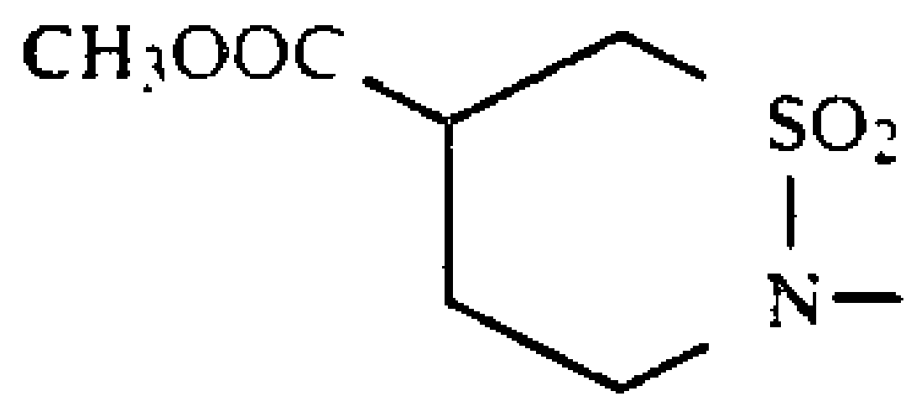
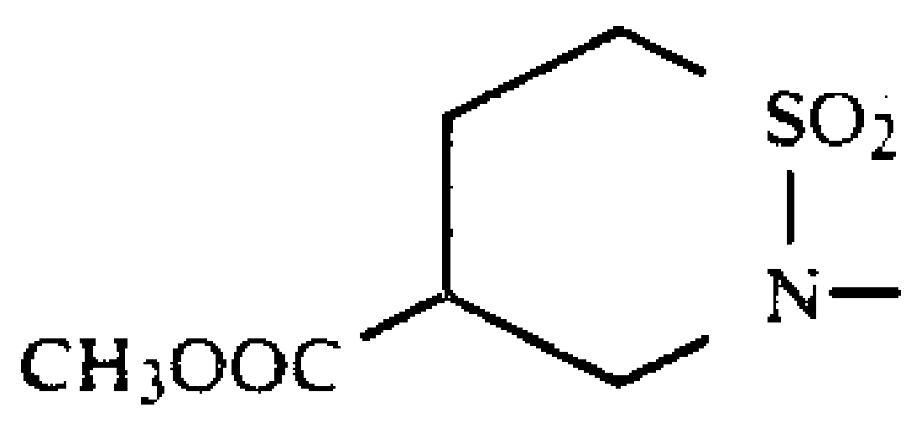
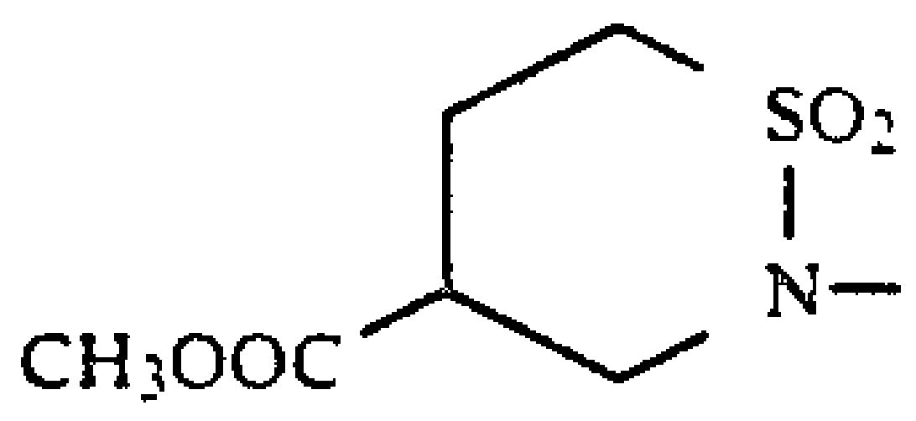
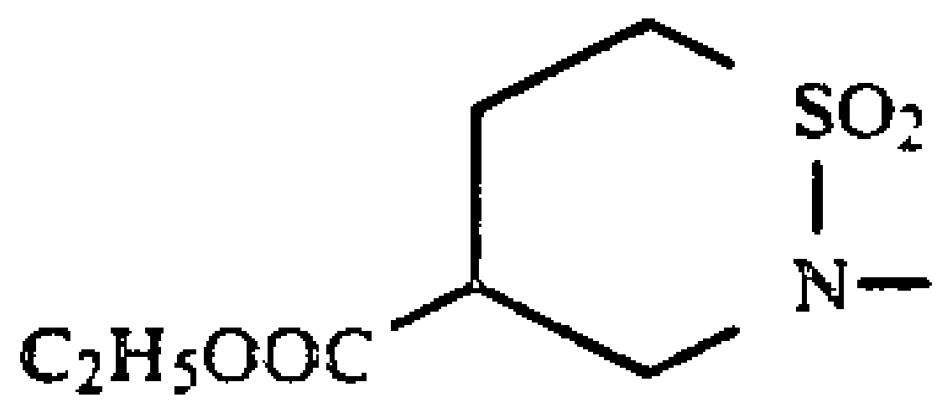
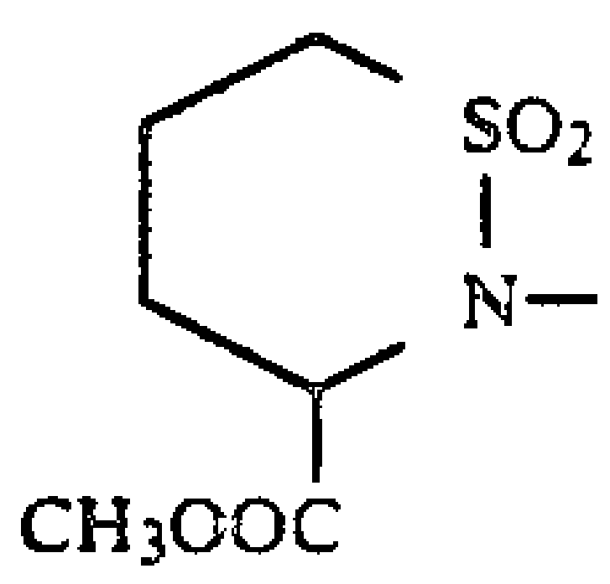
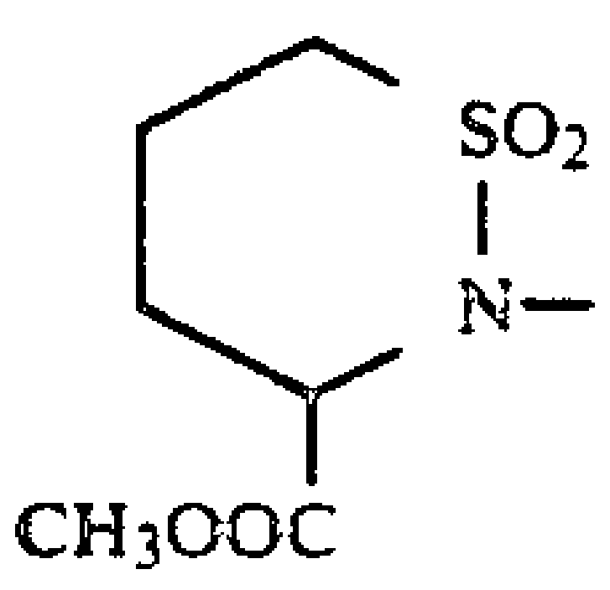
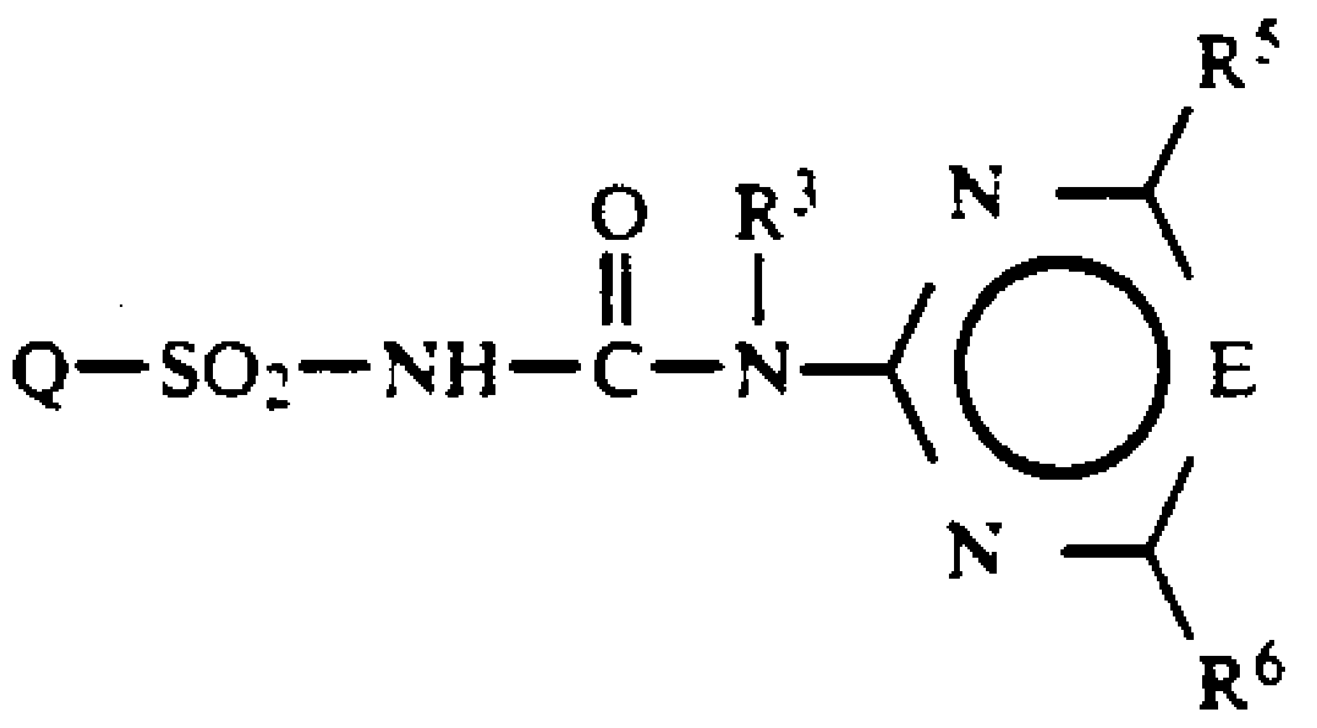
Example	Q	R^3	R^5	R^6	E	m.p.
347		H	CH_3	CH_3	CH	
348		H	OCH_3	OCH_3	CH	
349		H	OCH_3	OCH_3	CH	
350		H	CH_3	CH_3	CH	
351		H	OCH_3	CH_3	N	
352		H	OCH_3	OCH_3	CH	
353		H	OCH_3	Cl	CH	
354		H	OCH_3	OCH_3	CH	
355		H	OCH_3	OCH_3	CH	
356		H	OCH_3	CH_3	N	

TABLE 1-continued



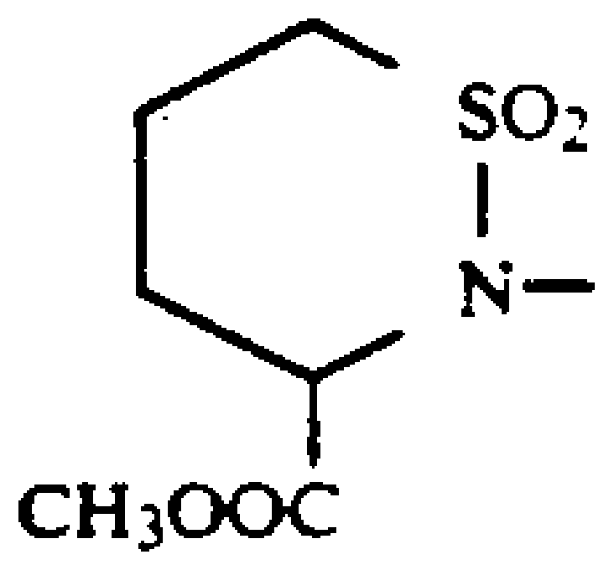
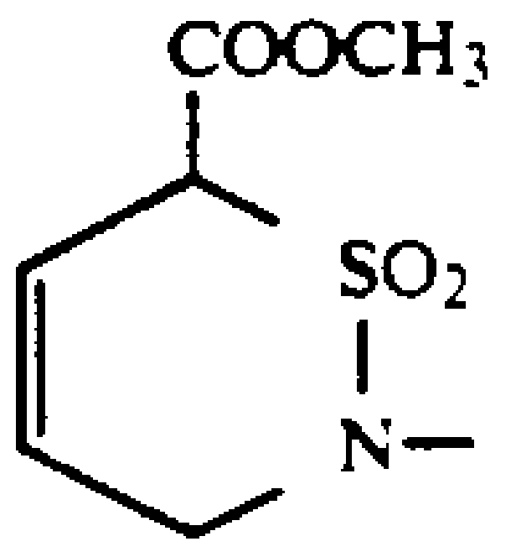
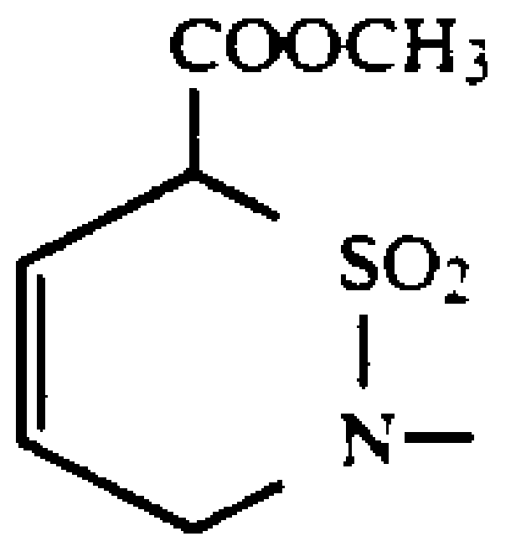
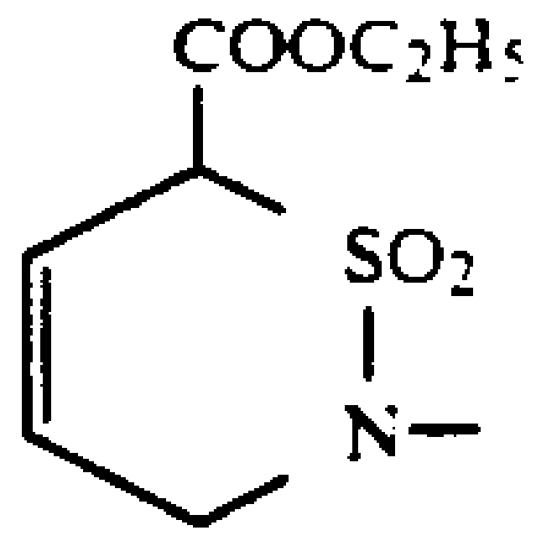
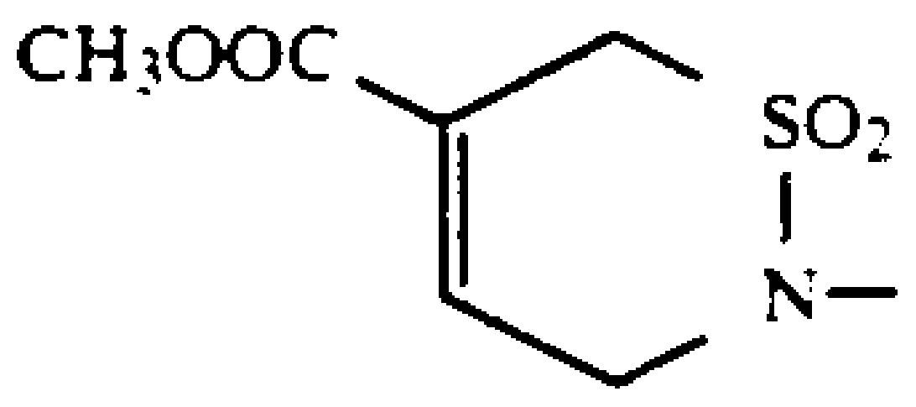
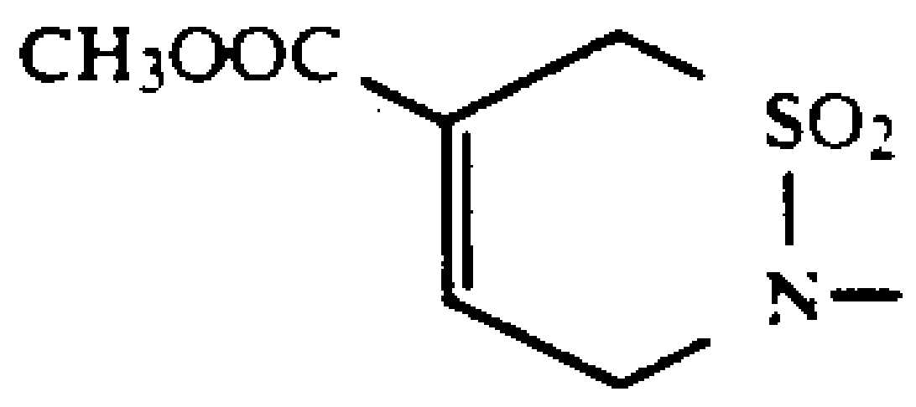
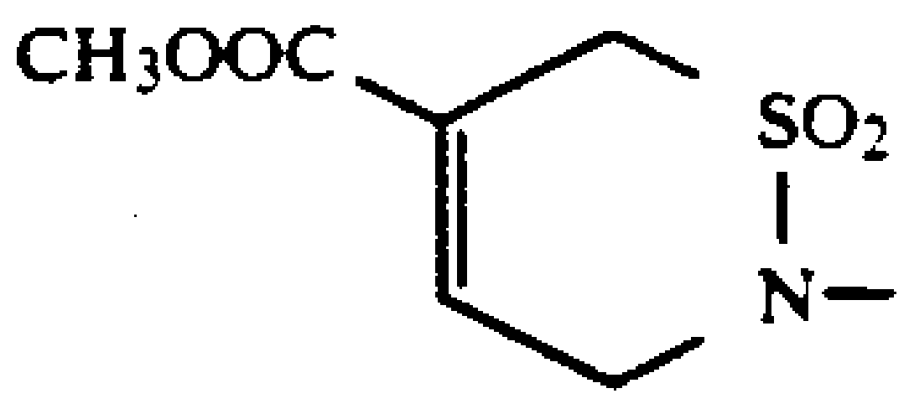
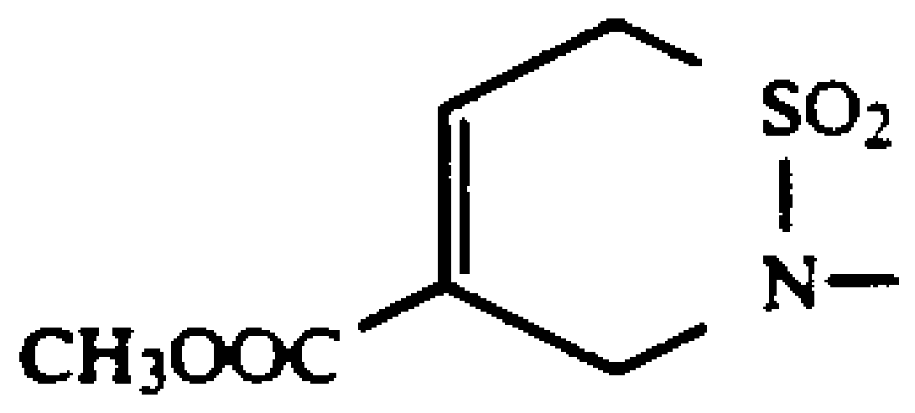
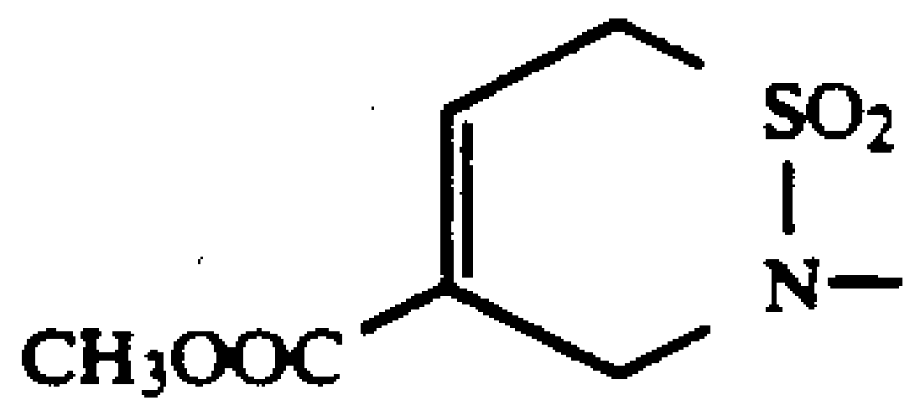
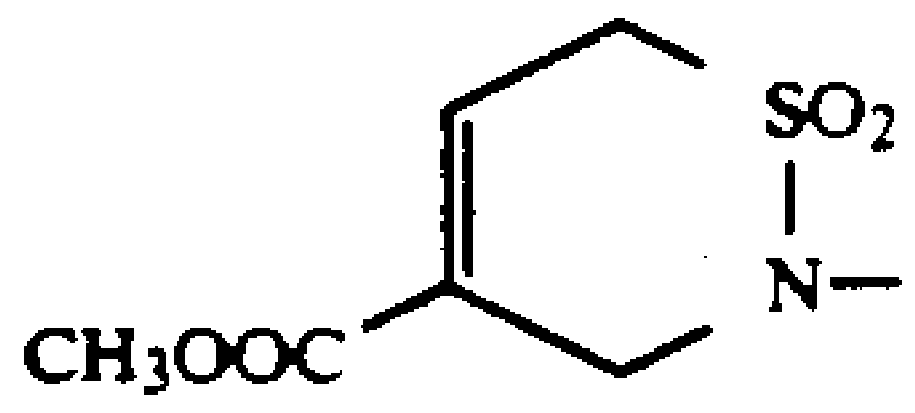
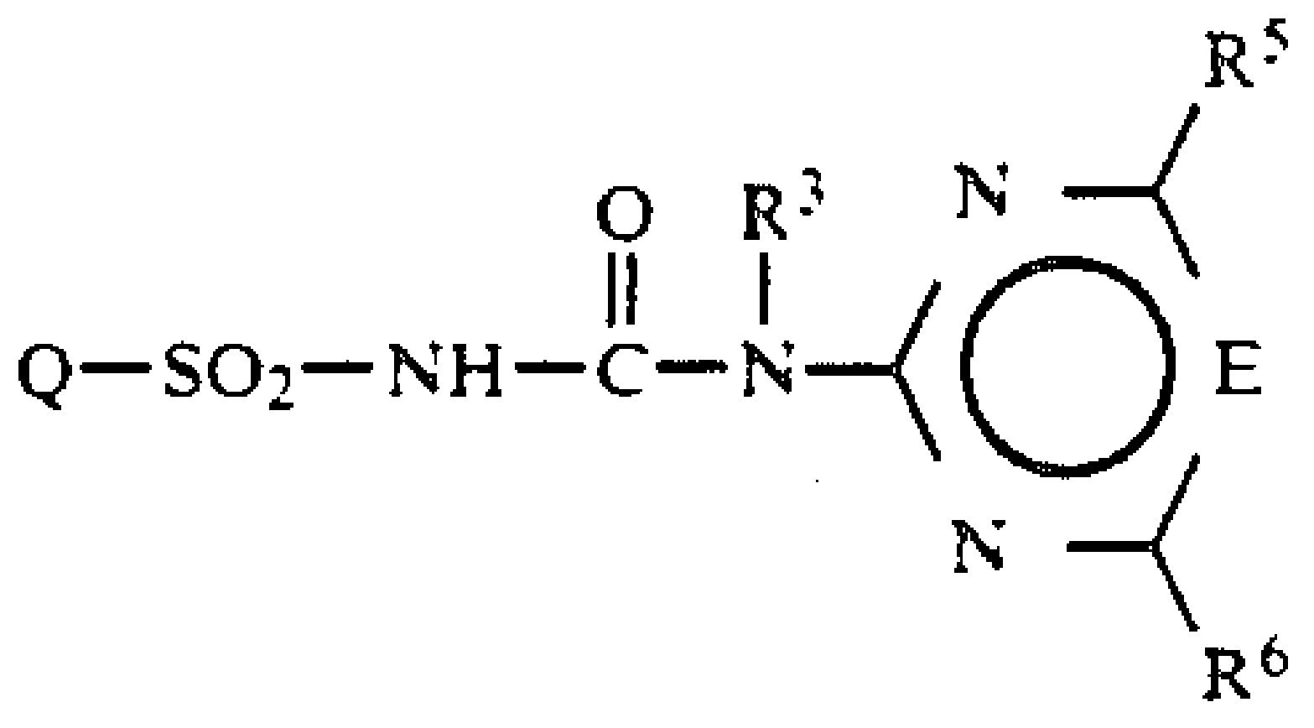
Example	Q	R³	R⁵	R⁶	E	m.p.
357		CH_3	OCH_3	CH_3	N	
358		H	OCH_3	OCH_3	CH	
359		H	OCH_3	CH_3	N	
360		H	OCH_3	OCH_3	CH	
361		H	OCH_3	OCH_3	CH	
362		H	OCH_3	CH_3	N	
363		CH_3	OCH_3	OCH_3	CH	
364		H	OCH_3	OCH_3	CH	
365		H	OCH_3	Cl	CH	
366		H	OCH_3	CH_3	N	

TABLE 1-continued



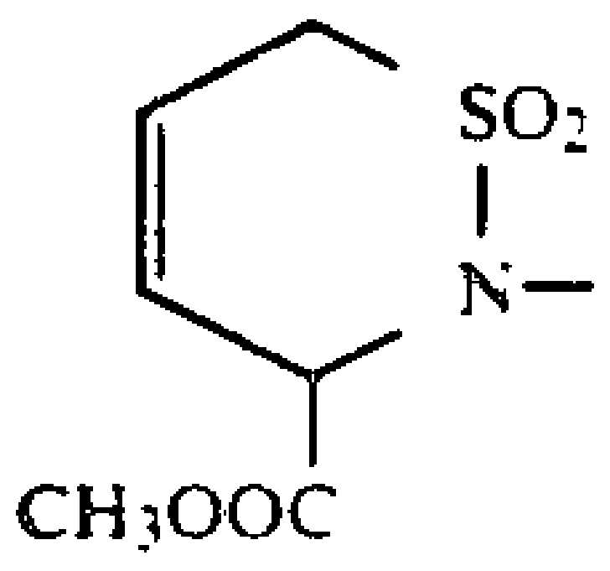
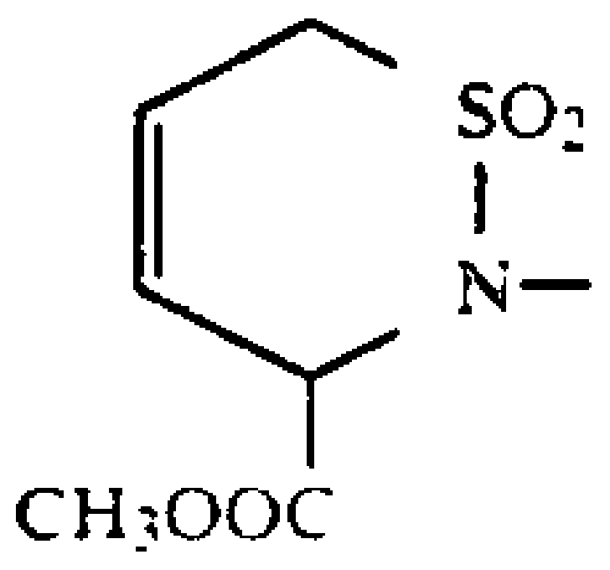
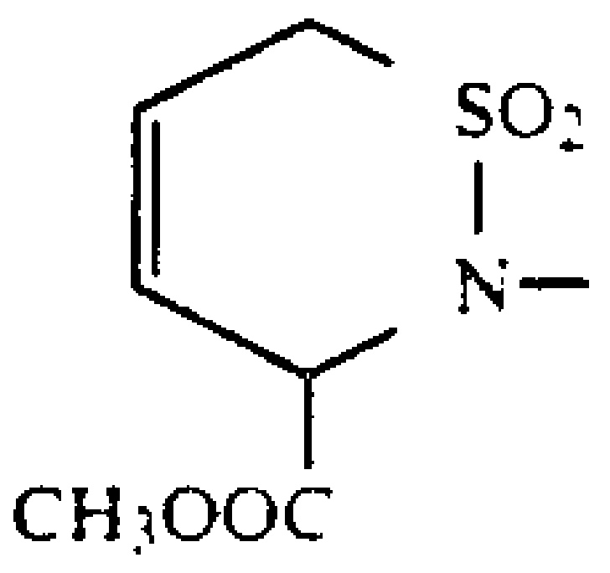
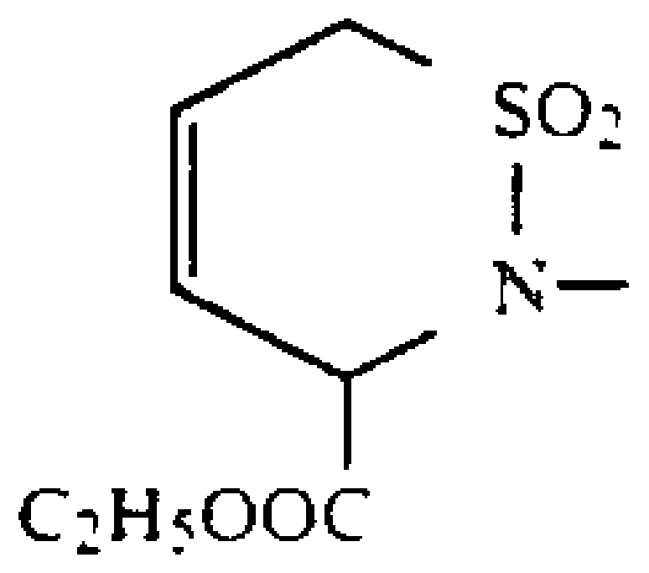
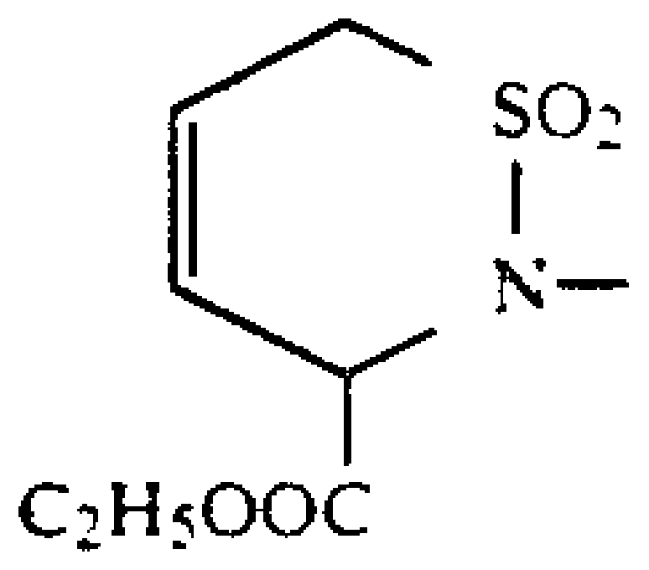
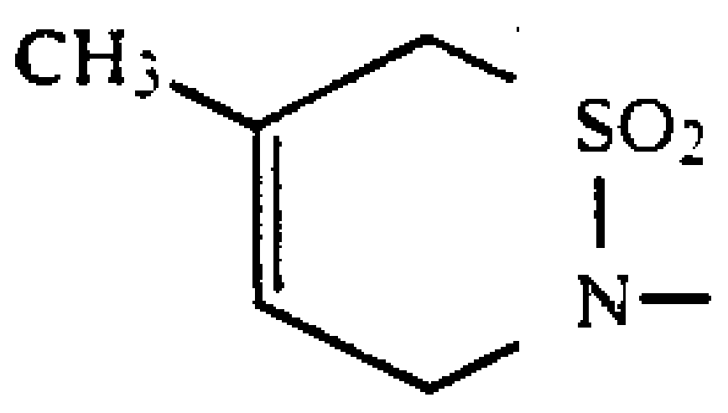
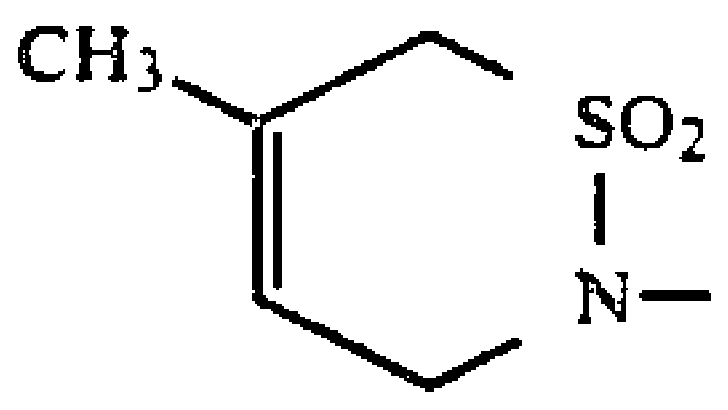
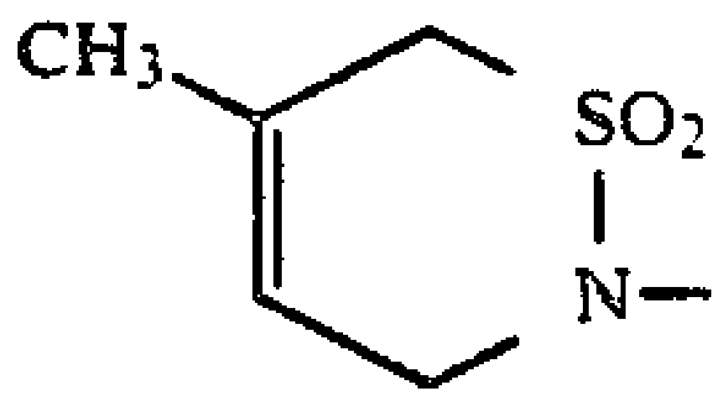
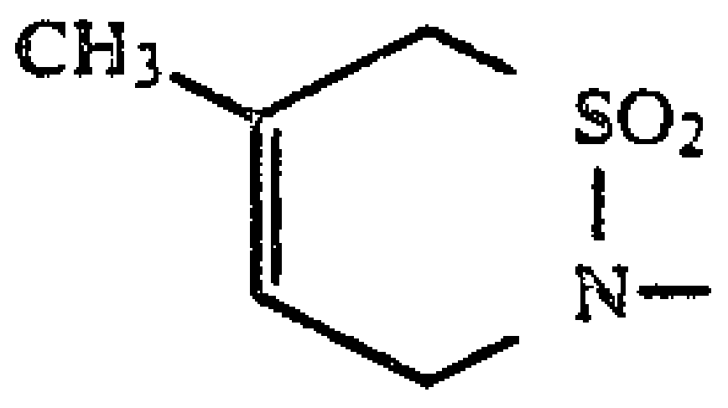
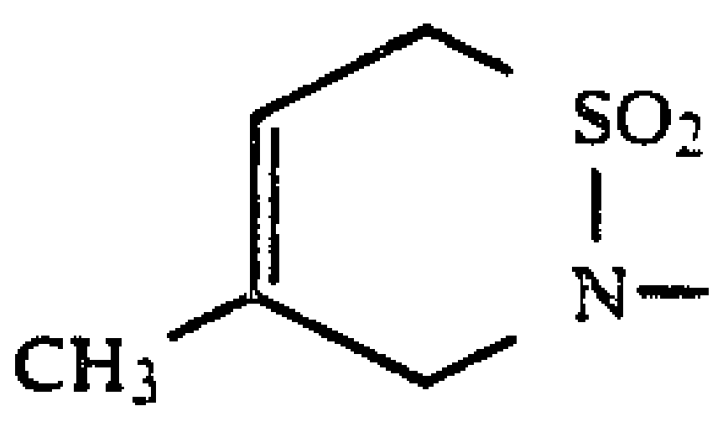
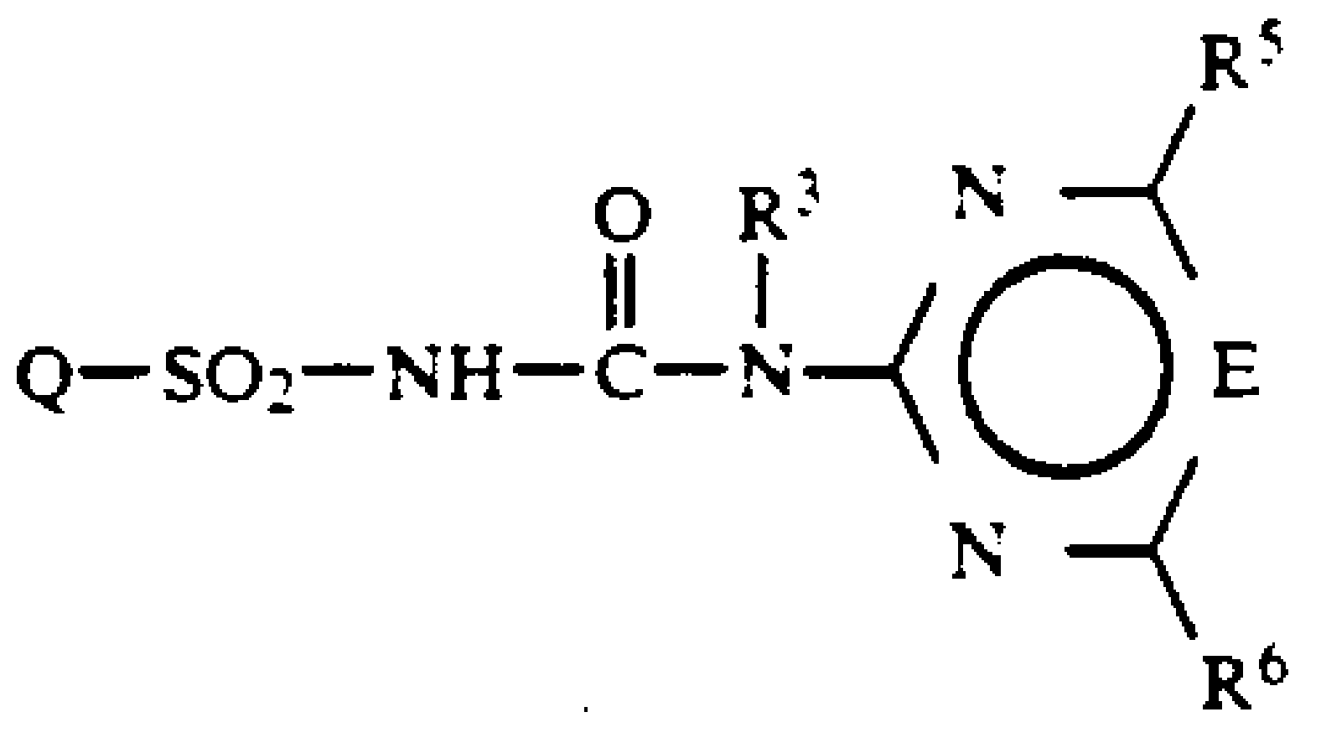
Example	Q	R^3	R^5	R^6	E	m.p.
367		H	OCH_3	OCH_3	CH	
368		H	OCH_3	CH_3	N	
369		H	OCH_3	Cl	CH	
370		H	OCH_3	OCH_3	CH	
371		H	OCH_3	CH_3	N	
372		H	OCH_3	OCH_3	CH	
373		H	OCH_3	CH_3	N	
374		CH_3	OCH_3	CH_3	N	
375		H	OCH_3	Cl	CH	
376		H	OCH_3	OCH_3	CH	

TABLE 1-continued



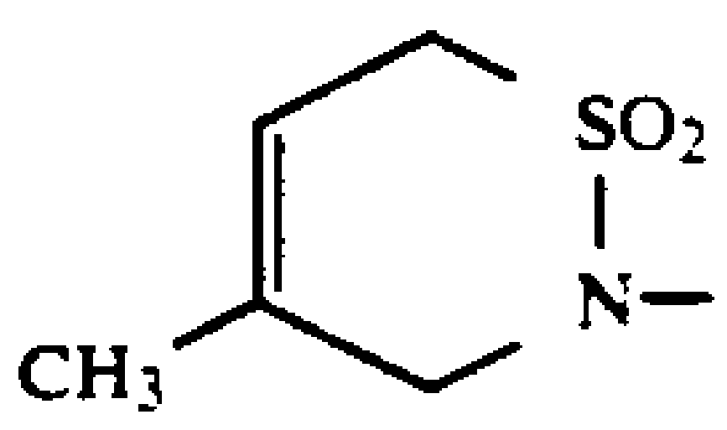
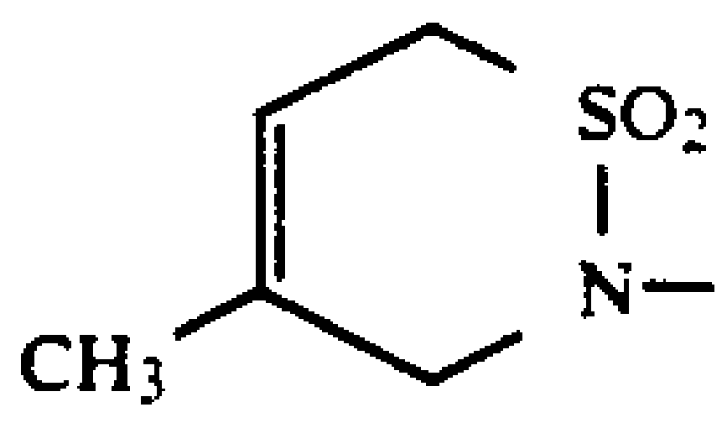
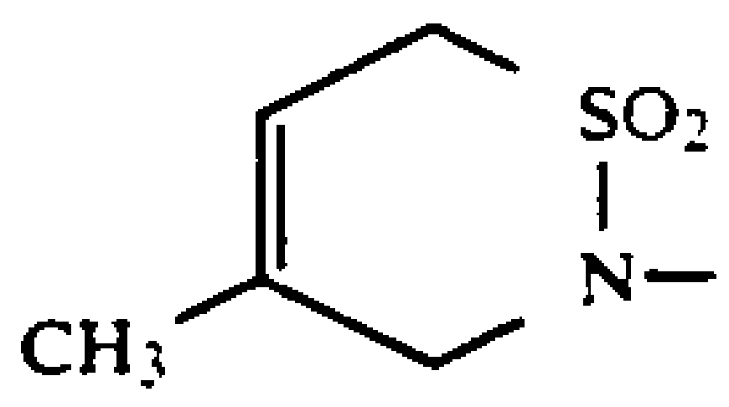
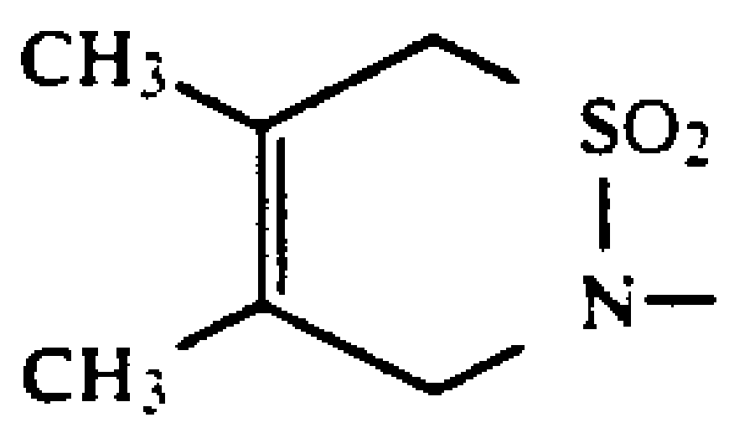
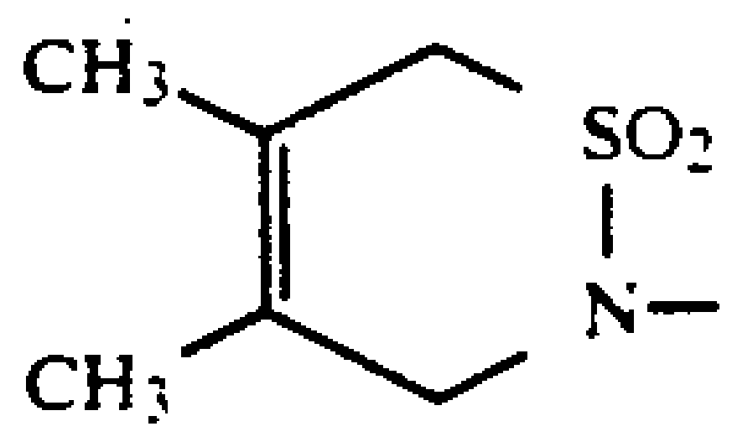
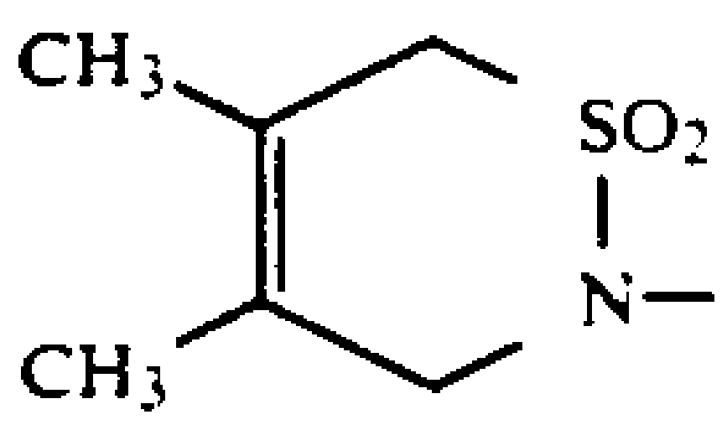
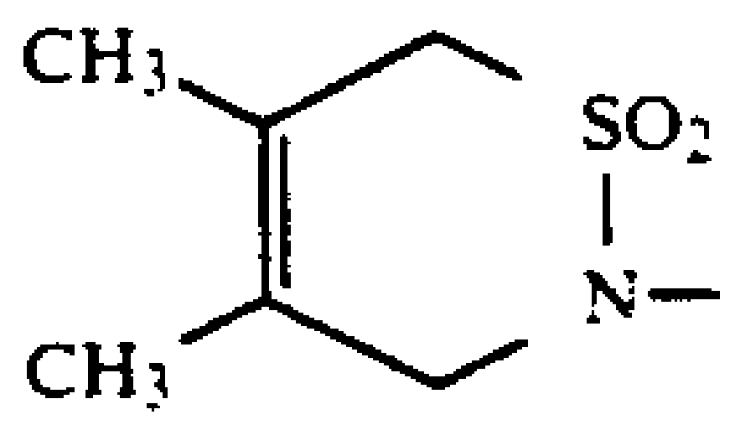
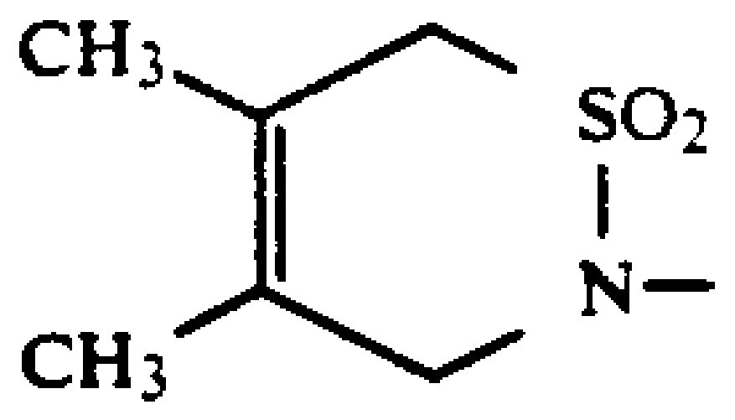
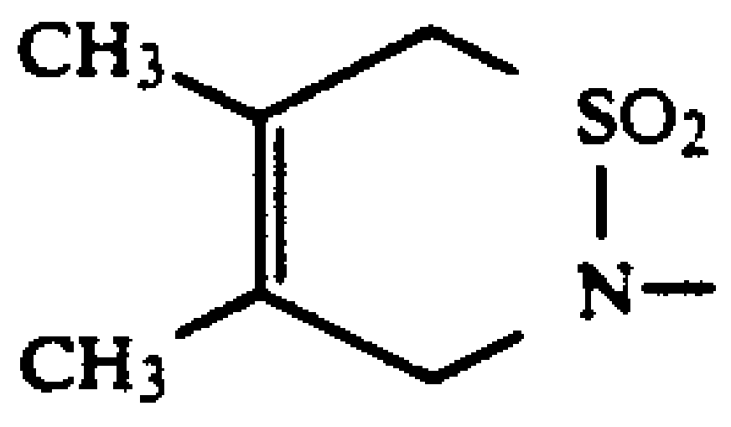
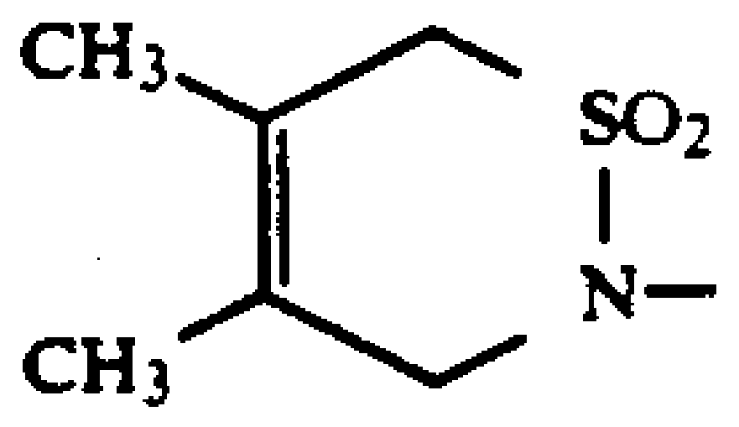
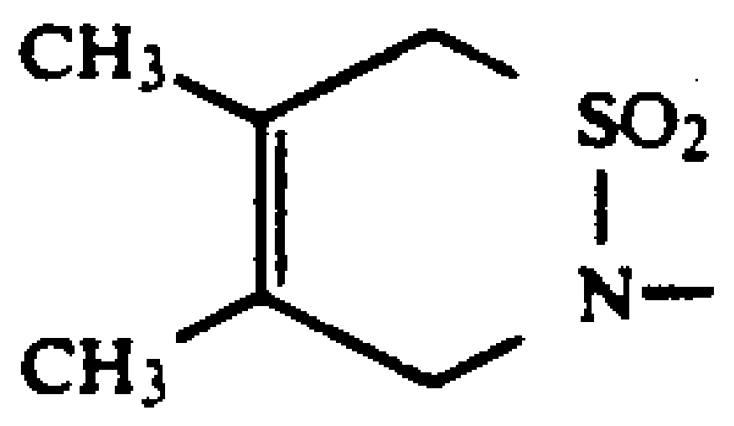
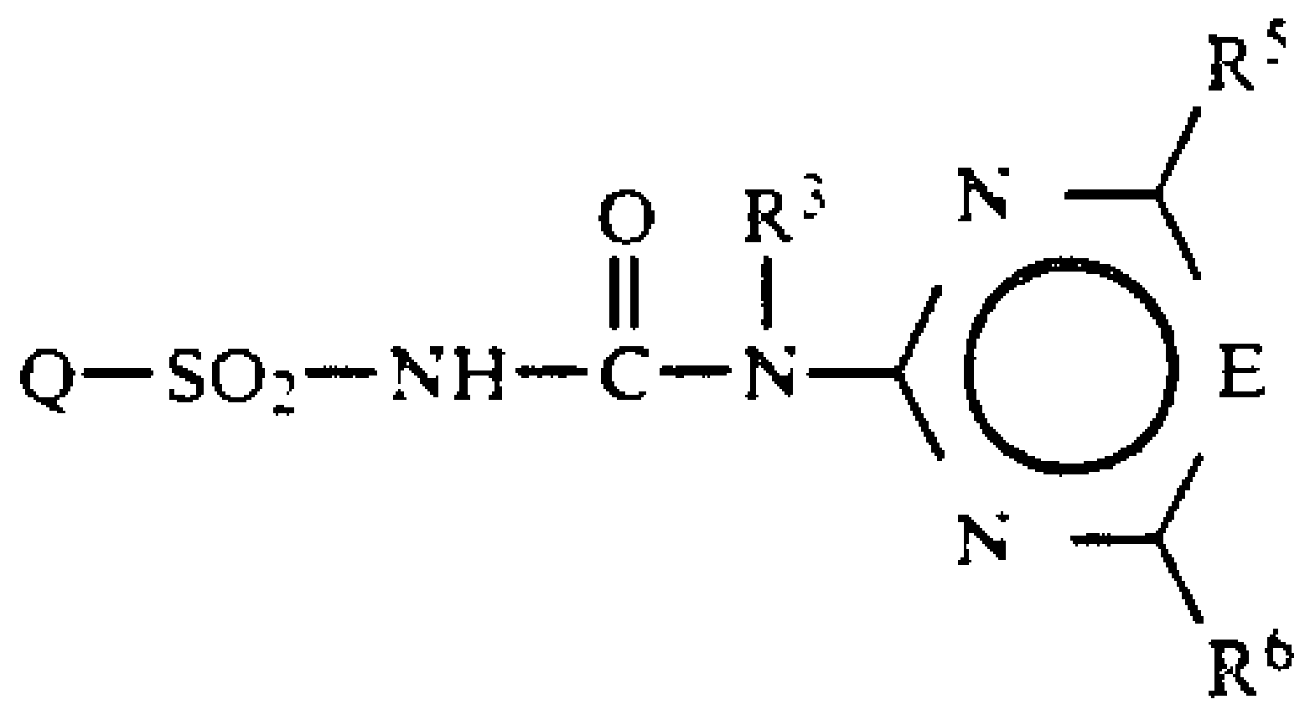
Example	Q	R^3	R^5	R^6	E	m.p.
377		H	OCH_3	Cl	CH	
378		H	CH_3	CH_3	CH	
379		H	OCH_3	CH_3	N	
380		H	OCH_3	OCH_3	CH	
381		H	OCH_3	CH_3	CH	
382		H	OCH_3	Cl	CH	
383		H	$OCHF_2$	$OCHF_2$	CH	
384		H	OCH_3	CH_3	N	
385		CH_3	OCH_3	CH_3	N	
386		H	OCH_3	$NHCH_3$	N	
387		H	OCH_3	OCH_2CF_3	N	

TABLE 1-continued



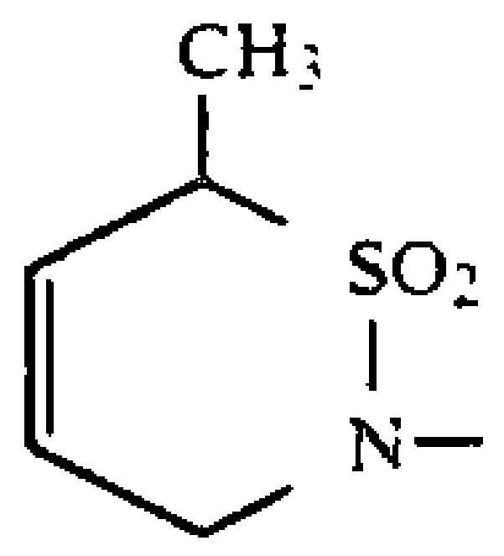
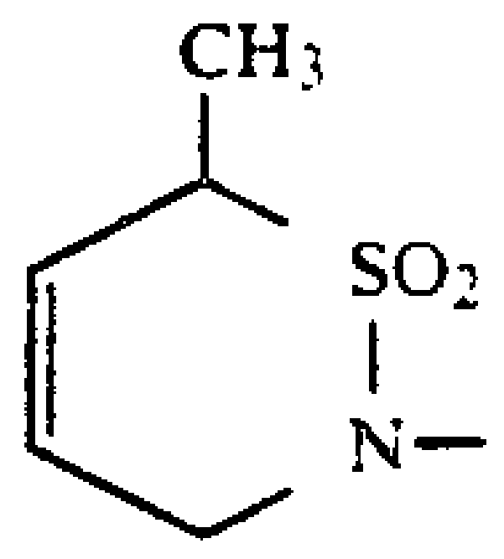
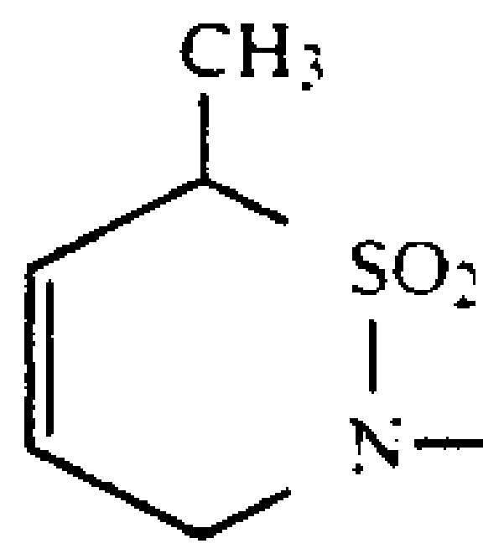
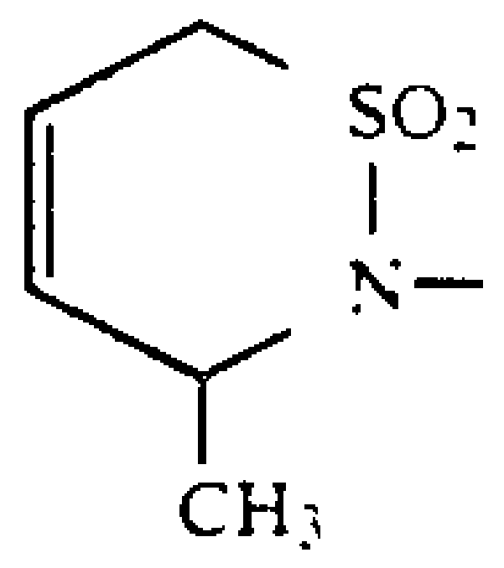
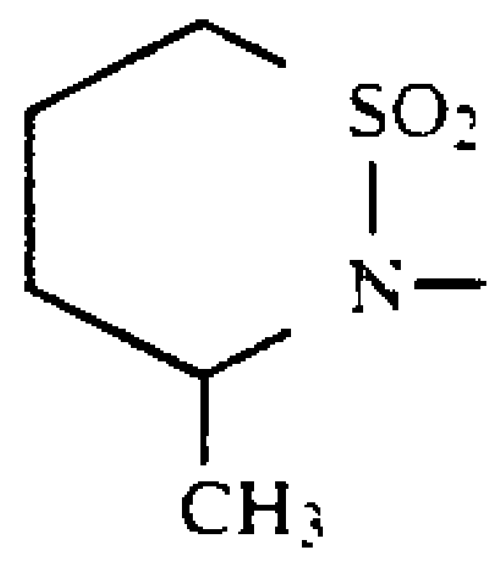
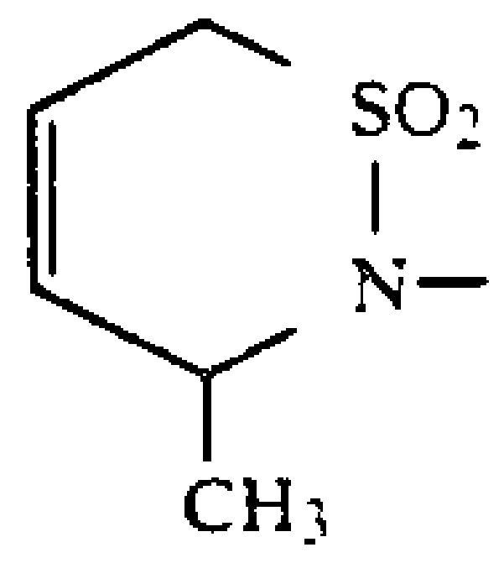
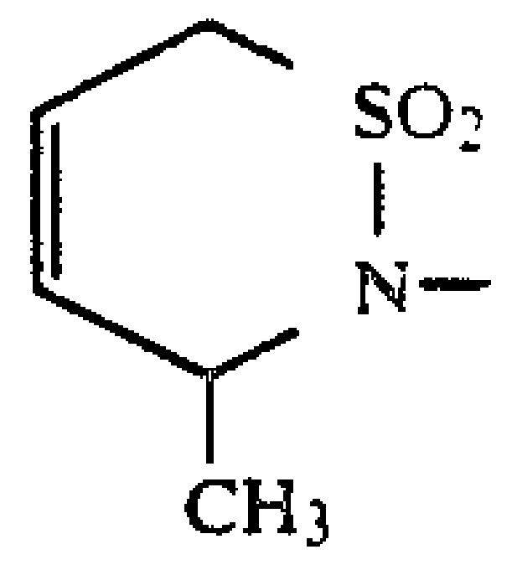
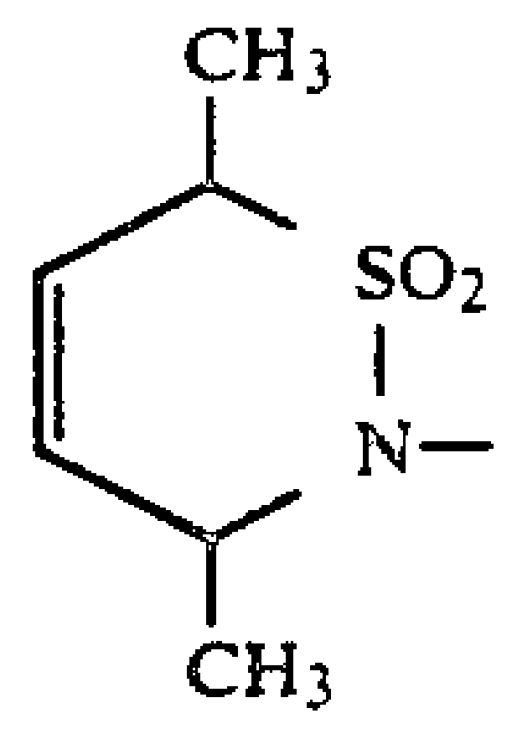
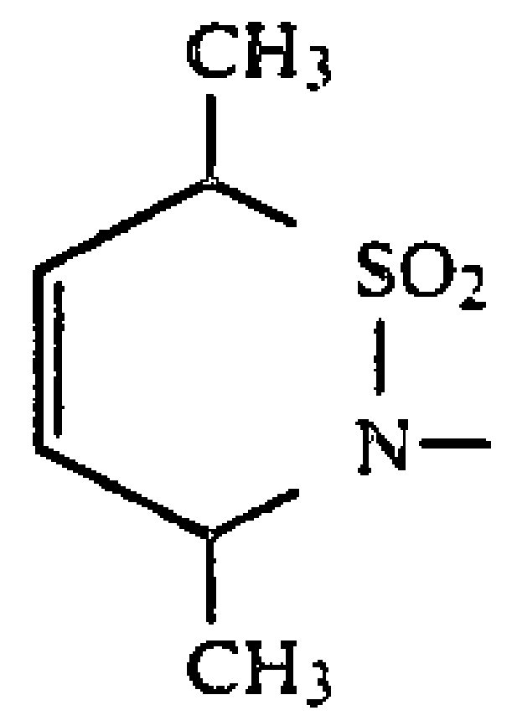
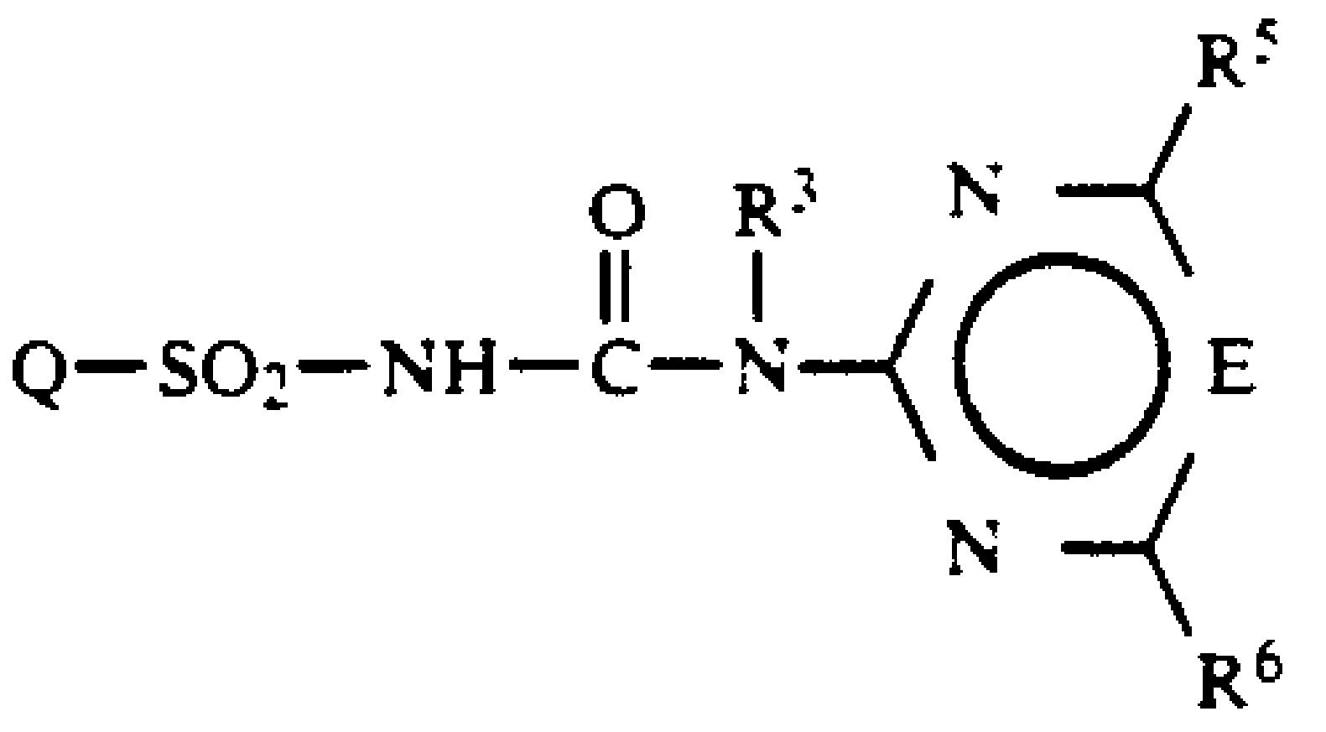
Example	Q	R^3	R^5	R^6	E	m.p.
388		H	OCH_3	OCH_3	CH	
389		CH_3	OCH_3	OCH_3	CH	
390		H	OCH_3	CH_3	N	
391		H	OCH_3	OCH_3	CH	
392		H	OCH_3	Cl	CH	
393		H	CH_3	CH_3	CH	
394		H	OCH_3	CH_3	N	
395		H	OCH_3	OCH_3	CH	
396		H	OCH_3	CH_3	CH	

TABLE 1-continued



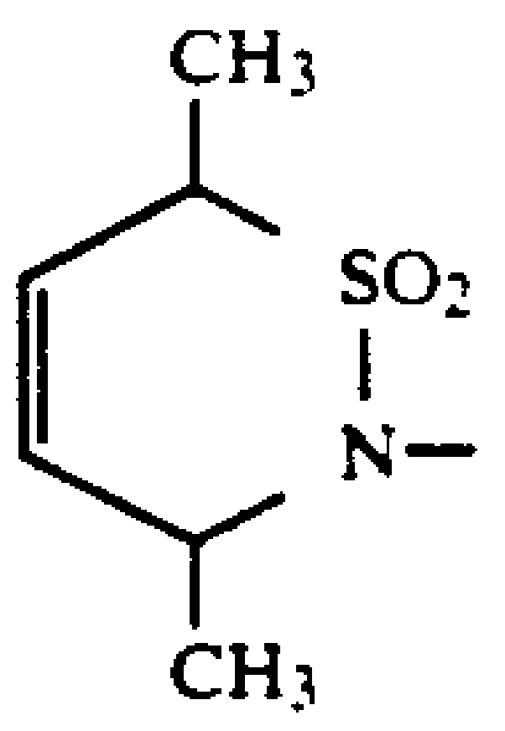
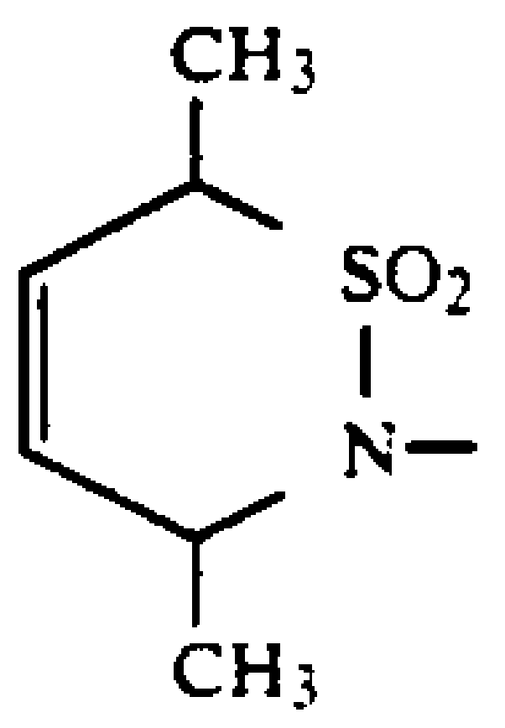
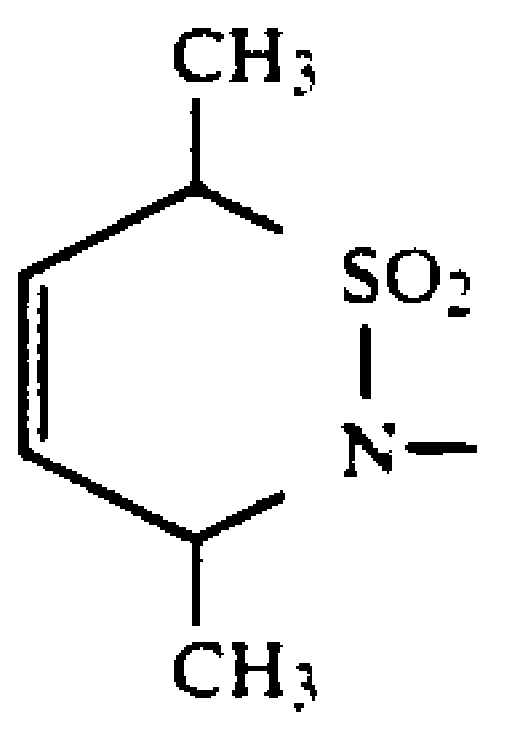
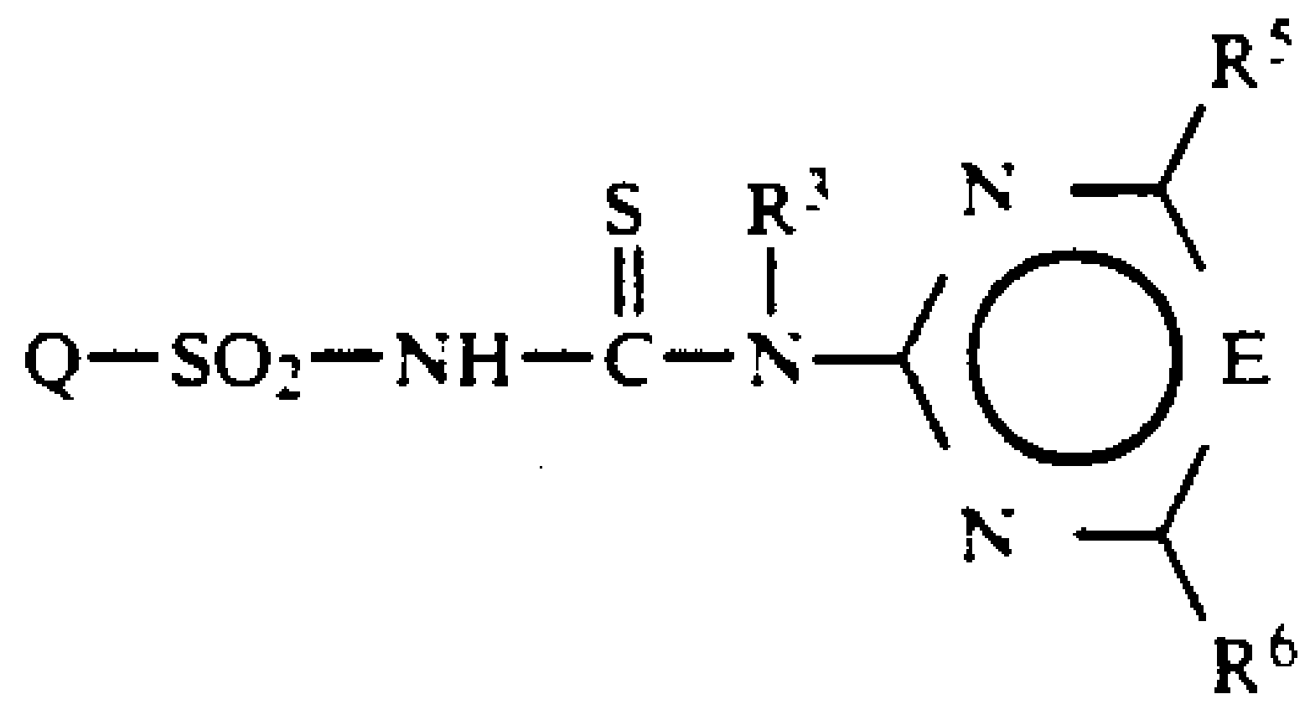
Example	Q	R^3	R^5	R^6	E	m.p.
397		H	CH_3	CH_3	CH	
398		H	OCH_3	Cl	CH	
399		H	OCH_3	CH_3	N	

TABLE 2



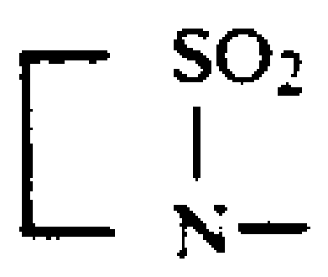
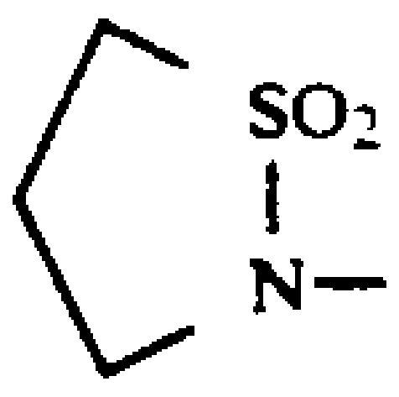
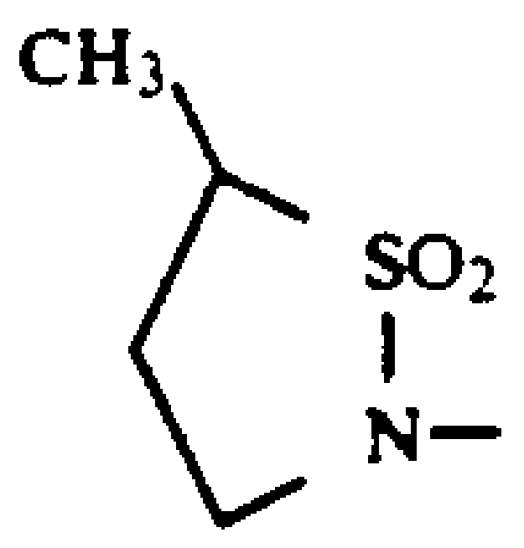
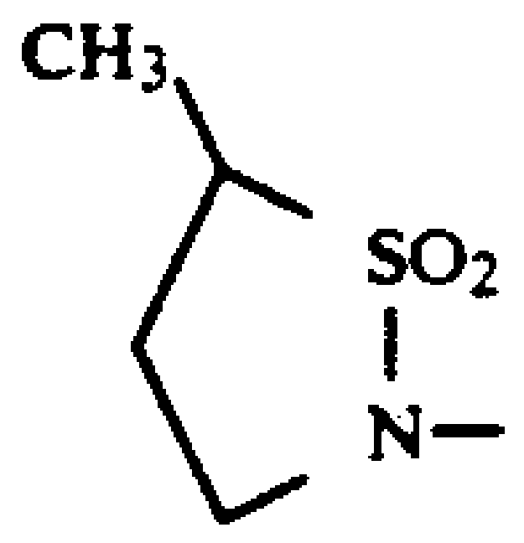
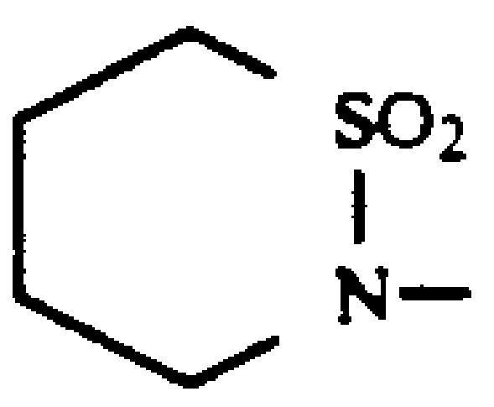
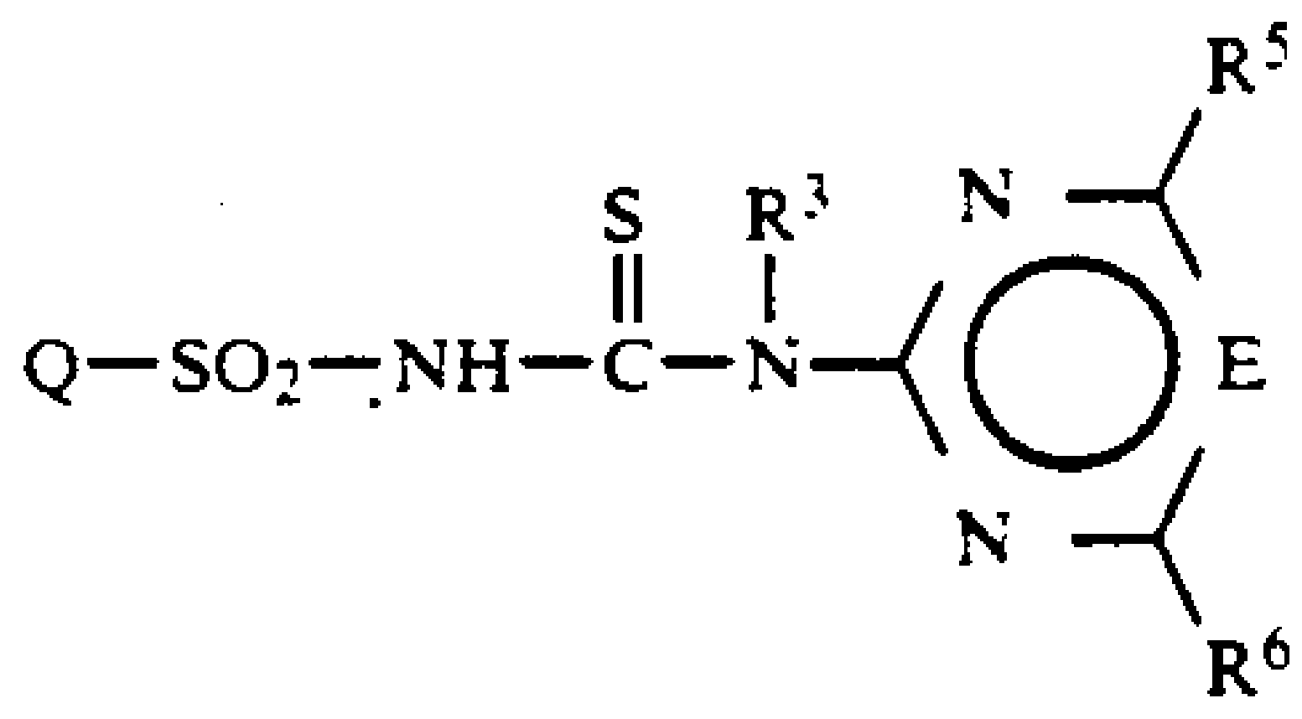
Example	Q	R^3	R^5	R^6	E	m.p.
400		H	OCH_3	OCH_3	CH	
401		H	OCH_3	OCH_3	CH	
402		H	OCH_3	OCH_3	CH	
403		H	OCH_3	CH_3	N	
404		H	OCH_3	OCH_3	CH	

TABLE 2-continued



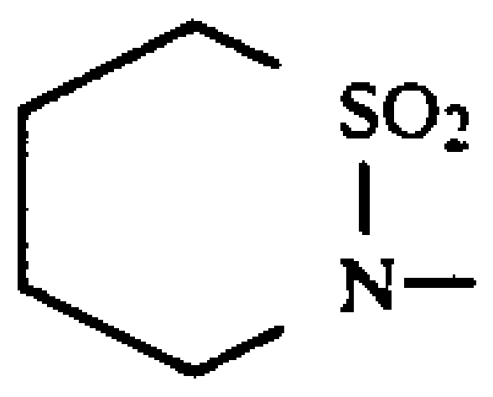
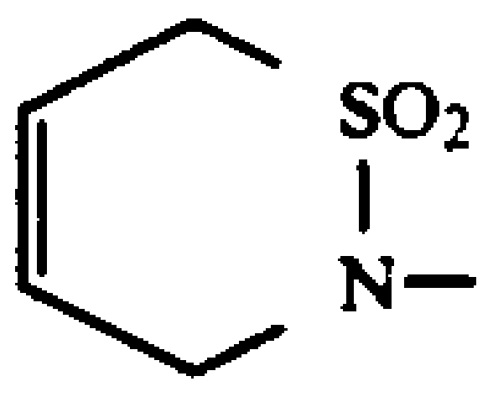
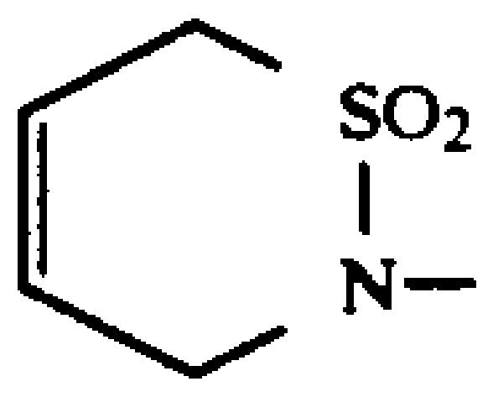
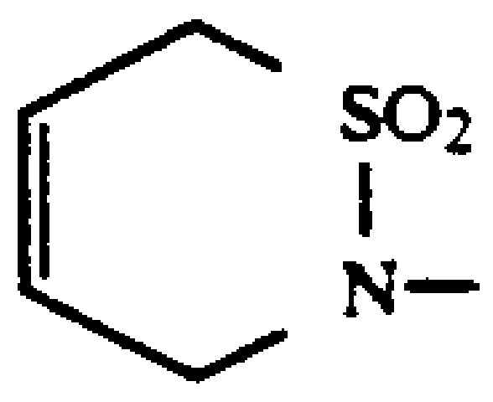
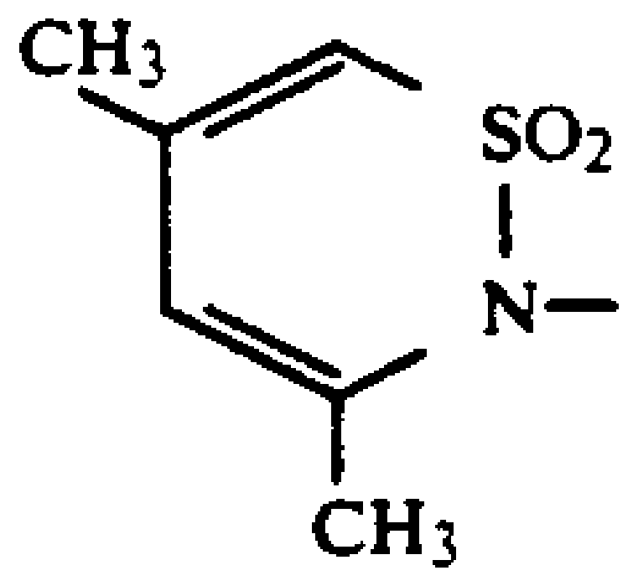
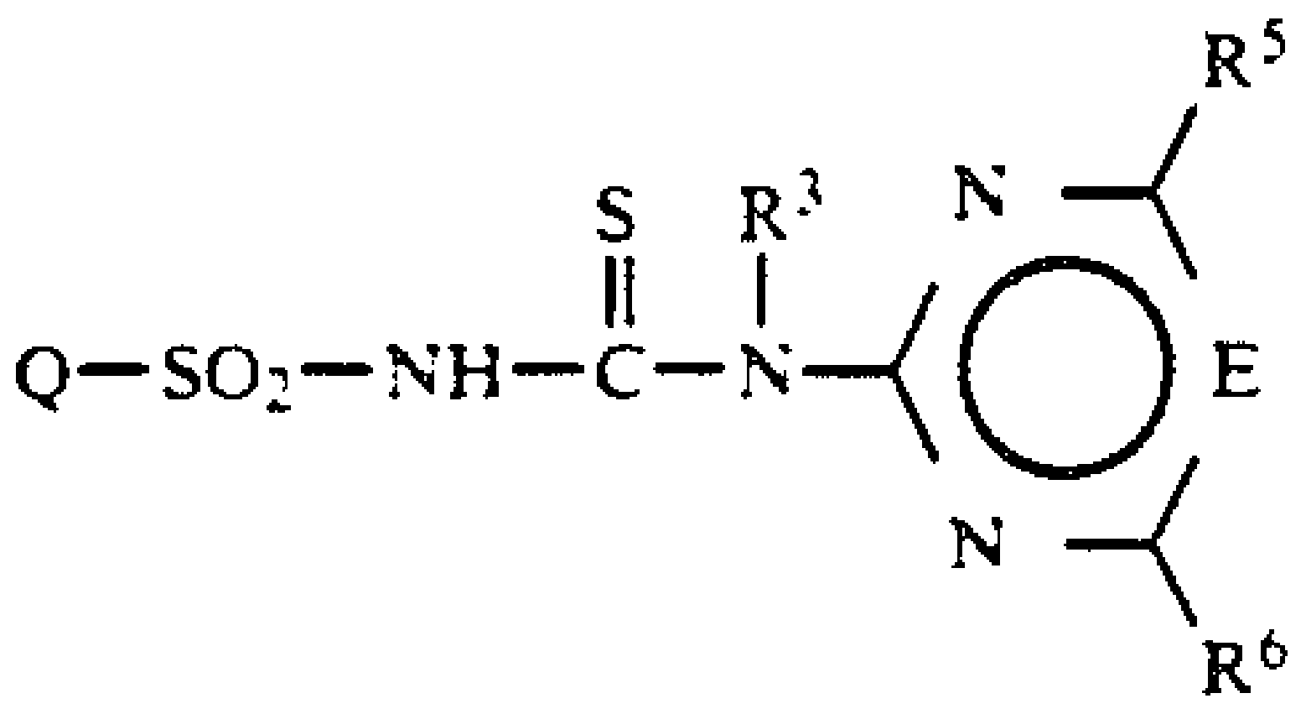
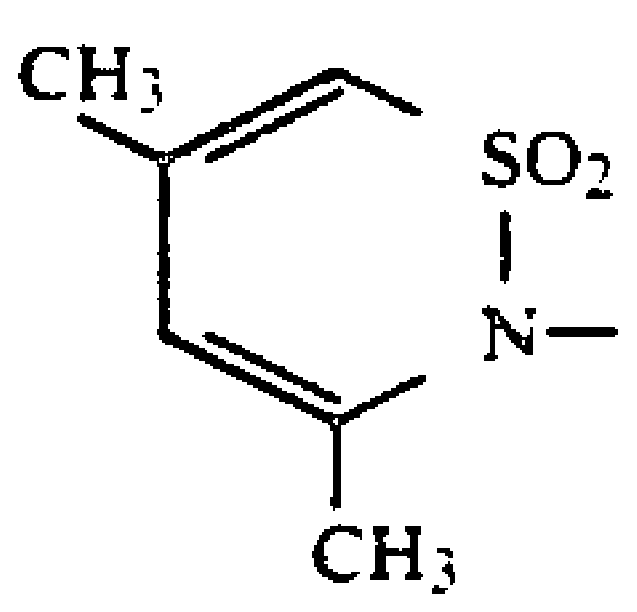
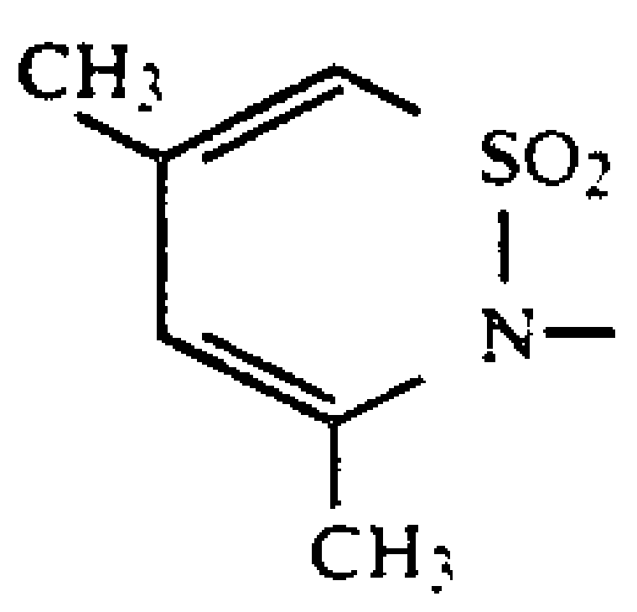
Example	Q	R^3	R^5	R^6	E	m.p.
405		H	OCH_3	CH_3	N	
406		H	OCH_3	OCH_3	CH	
407		H	OCH_3	Cl	CH	
408		H	OCH_3	OCH_3	CH	
409		H	OCH_3	OCH_3	CH	

TABLE 2-continued



Example	Q	R^3	R^5	R^6	E	m.p.
410		H	CH_3	CH_3	CH	
411		H	OCH_3	OCH_3	N	

BIOLOGICAL EXAMPLES

The damage to the weeds and the tolerance by crop plants were scored according to a key where numbers from 0 to 5 express the activity. In this key:

0 denotes no action
1 denotes 0-20% action or damage
2 denotes 20-40% action or damage
3 denotes 40-60% action or damage
4 denotes 60-80% action or damage
5 denotes 80-100% action or damage

1. Pre-emergence Action on Weeds

Seeds or rhizome pieces of monocotyledon and dicotyledon weeds were placed in plastic pots containing sandy loam and covered with soil. Various dosages of aqueous suspensions or emulsions of the compounds according to the invention formulated as wettable powders or emulsion concentrates were then applied to the surface of the cover soil, applying about 600-800 l/ha of water.

After treatment, the pots were placed in the greenhouse and kept under good growth conditions for the weeds. Visual scoring of the plant damage or the emergence damage was carried out after emergence of the test plants after a trial period of 3-4 weeks, comparing them to untreated control plants. As shown by the score data in Table 2, the compounds according to the invention have a good herbicidal pre-emergence activity against a broad range of weed grasses and weeds

2. Post-emergence Action on Weeds

Seeds or rhizome pieces of monocotyledon and dicotyledon weeds were placed in plastic pots in sandy loam, covered with soil and grown in the greenhouse under good growth conditions. Three weeks after sowing, the test plants were treated in the three-leaf stage.

Various dosages of the compounds according to the invention formulated as wettable powders or emulsion concentrates were sprayed onto the green parts of the plants, applying about 600-800 l/ha of water, and the action of the preparations was scored visually after the test plants had remained in the greenhouse for about 3 to 4 weeks under optimum growth conditions, comparing them to untreated control plants.

The agents according to the invention also exhibit a good herbicidal activity against a broad range of economically important weed grasses and weeds in the post-emergence treatment (Table 3).

3. Tolerance by Crop Plants

In further greenhouse experiments, seeds of a relatively large number of crop plants and weeds were placed in sandy loam and covered with soil.

Some of the pots were treated immediately as described under 1., and the remainder was placed in the greenhouse until the plants had developed two to three true leaves and were then sprayed with various dosages of the substances according to the invention as described under 2.

Four to five weeks after application, with the plants remaining in the greenhouse, visual scoring revealed that the compounds according to the invention did not cause any damage to dicotyledon crops, such as, for example soya beans, cotton, oilseed rape, sugar beet and potatoes when applied either as a pre-emergence and post-emergence treatment, even at high dosages of active substance. Furthermore, some of the substances also left crops of the Gramineae such as, for example barley, wheat, rye, sorghum millet species, maize or rice, unaffected. Thus, the compounds of the formula I exhibit high selectivity on application for controlling undesired plant growth in agricultural crops.

TABLE 4

Pre-emergence action of the compounds according to the invention

Product No.	Dosage kg of a.i./ha	herbicidal action SIA	CRS	STM	LOM
2	0.6	5	5	5	5
3	0.6	5	5	5	5
6	0.6	5	5	4	5
18	0.6	5	5	5	5
30	0.6	5	5	5	5
52	0.6	5	4	5	4
101	0.6	5	5	5	5
102	0.6	5	5	5	5
143	0.6	5	5	5	5
220	0.6	5	5	5	5
221	0.6	5	5	5	5
227	0.6	5	5	5	5
256	0.6	5	5	4	5
306	0.6	5	5	5	5

TABLE 5

Post-emergence action

Product No.	Dosage kg of a.i./ha	herbicidal action SIA	CRS	STM	LOM
2	0.6	5	5	5	5
3	0.6	5	5	5	5
6	0.6	5	5	4	5
18	0.6	5	5	5	5
30	0.6	4	5	5	5
52	0.6	5	5	5	5
101	0.6	5	5	5	5
102	0.6	5	5	5	5
143	0.6	5	5	5	5
220	0.6	5	5	5	5
221	0.6	5	5	5	5
222	0.6	5	5	5	5
256	0.6	5	5	5	5

TABLE 5-continued

	Post-emergence action				
Product No.	Dosage kg of a.i./ha	herbicidal action			
		SIA	CRS	STM	LOM
306	0.6	5	5	5	5

Abbreviations
SIA = *Sinapis alba*
CRS = *Chrysanthemum segetum*
STM = *Stellaria media*
LOM = *Lolium multiflorum*

Inhibition of Growth in Cereals

In experiments on young cereal plants (wheat, barley, rye) at the 3-leaf stage, grown in dishes in the greenhouse, the plants were sprayed with compounds according to the invention at various concentrations of active substance (kg/ha) until dripping wet.

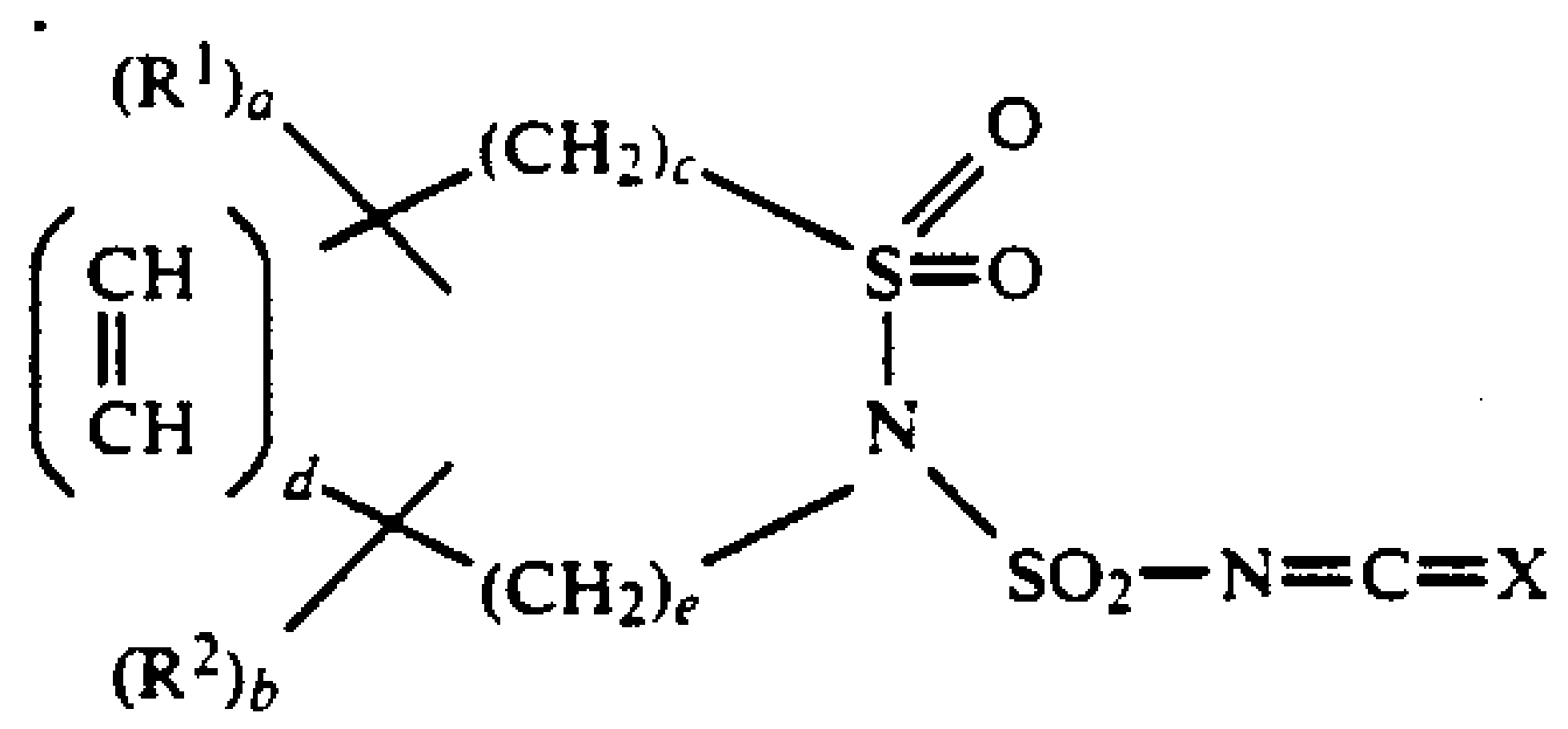
When the untreated control plants had reached a height of about 55 cm, the additional growth was measured on all plants and the growth inhibition was calculated as a percentage of the additional growth of the control plants. In addition, the phytotoxic action of the compounds was observed, with 100% denoting cessation of growth, and 0% denoting growth corresponding to that of the untreated control plants. It was evident that the compounds possess very good growth-regulating properties. The results are compiled in the table below.

TABLE 6

Compounds of Ex. No.	Application conc. kg/ha	Inhibition of growth (%)			Phytotox. action
		wheat	barley	rye	
3	0.62	25	24	43	no damage
	0.31	18	17	29	
101	0.62	19	22	35	no damage
	0.31	15	17	29	

We claim:

1. A compound of formula II


(II)

wherein:

R^1 and R^2 independently of one another are hydrogen, halogen, or are (C_1-C_8)-alkyl, (C_2-C_8)-alkenyl, (C_2-C_8)-alkynyl or (C_1-C_8)-alkoxy, each of which is unsubstituted or mono- or polysubstituted by halogen, or is mono- or disubstituted by (C_1-C_4)-alkoxy or (C_1-C_4)-alkylthio; or are $-(CH_2)_n-COOR^{11}$, where n is an integer from 0 to 2;

R^{11} is hydrogen, or (C_1-C_8)-alkyl, (C_2-C_4)-alkenyl or (C_2-C_4)-alkynyl each of which is mono- or polysubstituted by halogen or (C_1-C_4)-alkoxy radicals;

X is oxygen or sulfur; and

a, b, c, d and e independently of one another are 0, 1 or 2, with the proviso that the sum of c, d and e is greater than or equal to 2.

2. A compound of formula II as claimed in claim **1** wherein:

R^1 and R^2 independently of one another are hydrogen, halogen or (C_1-C_4)-alkyl which is unsubstituted, mono- or polysubstituted by halogen or mono- or disubstituted by (C_1-C_4)-alkoxy or (C_1-C_4)-alkylthio;

a and b independently of one another are 0, 1 or 2, but with the proviso that the sum of c, d and e is greater than or equal to 2 and less than or equal to 4; and

X is oxygen.

* * * * *